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LOGINID:sssptal202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
 NEWS 2 Apr 08 "Ask CAS" for self-help around the clock
 NEWS 3 Apr 09 BEILSTEIN: Reload and Implementation of a New Subject Area
 NEWS 4 Apr 09 ZDB will be removed from STN
 NEWS 5 Apr 19 US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
 NEWS 6 Apr 22 Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
 NEWS 7 Apr 22 BIOSIS Gene Names now available in TOXCENTER
 NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available
 NEWS 9 Jun 03 New e-mail delivery for search results now available
 NEWS 10 Jun 10 MEDLINE Reload
 NEWS 11 Jun 10 PCTFULL has been reloaded
 NEWS 12 Jul 02 FOREGE no longer contains STANDARDS file segment
 NEWS 13 Jul 22 USAN to be reloaded July 28, 2002;
 saved answer sets no longer valid
 NEWS 14 Jul 29 Enhanced polymer searching in REGISTRY
 NEWS 15 Jul 30 NETFIRST to be removed from STN
 NEWS 16 Aug 08 CANCERLIT reload
 NEWS 17 Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
 NEWS 18 Aug 08 NTIS has been reloaded and enhanced
 NEWS 19 Aug 19 Aquatic Toxicity Information Retrieval (AQUIRE)
 now available on STN
 NEWS 20 Aug 19 IFIPAT, IFICDB, and IFIUDB have been reloaded
 NEWS 21 Aug 19 The MEDLINE file segment of TOXCENTER has been reloaded
 NEWS 22 Aug 26 Sequence searching in REGISTRY enhanced
 NEWS 23 Sep 03 JAPIO has been reloaded and enhanced
 NEWS 24 Sep 16 Experimental properties added to the REGISTRY file
 NEWS 25 Sep 16 CA Section Thesaurus available in CAPLUS and CA
 NEWS 26 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
 NEWS 27 Oct 21 EVENTLINE has been reloaded
 NEWS 28 Oct 24 BEILSTEIN adds new search fields
 NEWS 29 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
 NEWS 30 Oct 25 MEDLINE SDI run of October 8, 2002
 NEWS 31 Nov 18 DKILIT has been renamed APOLLIT
 NEWS 32 Nov 25 More calculated properties added to REGISTRY
 NEWS 33 Dec 02 TIBKAT will be removed from STN
 NEWS 34 Dec 04 CSA files on STN
 NEWS 35 Dec 17 PCTFULL now covers WP/PCT Applications from 1978 to date
 NEWS 36 Dec 17 TOXCENTER enhanced with additional content
 NEWS 37 Dec 17 Adis Clinical Trials Insight now available on STN
 NEWS 38 Dec 30 ISMEC no longer available
 NEWS 39 Jan 13 Indexing added to some pre-1967 records in CA/CAPLUS

 NEWS EXPRESS January 6 CURRENT WINDOWS VERSION IS V6.01a,
 CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
 AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
 NEWS HOURS STN Operating Hours Plus Help Desk Availability
 NEWS INTER General Internet Information
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 NEWS PHONE Direct Dial and Telecommunication Network Access to STN
 NEWS WWW CAS World Wide Web Site (general information)

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Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 13:55:43 ON 15 JAN 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 13:56:03 ON 15 JAN 2003

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 JAN 2003 HIGHEST RN 479024-64-1

DICTIONARY FILE UPDATES: 14 JAN 2003 HIGHEST RN 479024-64-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNnote 27, Searching Properties in the CAS Registry File, for complete details:

<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 09995177z.str

L1 STRUCTURE UPLOADED

=>

Uploading 09995177y.str

L2 STRUCTURE UPLOADED

=>

Uploading 09995177x.str

L3 STRUCTURE UPLOADED

=> d l1, l2, l3

L2 IS NOT VALID HERE

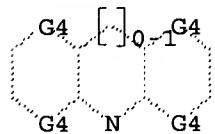
For an explanation, enter "HELP DISPLAY".

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=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,S

G2 S,N

G3 C,O

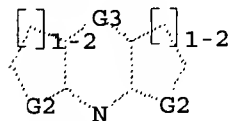
G4 C,N

Structure attributes must be viewed using STN Express query preparation.

=> d l2

L2 HAS NO ANSWERS

L2 STR



G1 O,S

G2 S,N

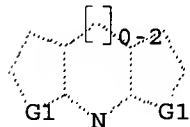
G3 C,O

Structure attributes must be viewed using STN Express query preparation.

=> d l3

L3 HAS NO ANSWERS

L3 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 13:57:42 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 255455 TO ITERATE

0.4% PROCESSED 1000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

17 ANSWERS

09/ 995,324

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**

PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 82904

L4 17 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 13:57:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 40.0% PROCESSED 400000 ITERATIONS 3890 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.16

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**

PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 48960

L5 3890 SEA SSS FUL L1

=> s l2 ful

FULL SEARCH INITIATED 13:58:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 372334 TO ITERATE

100.0% PROCESSED 372334 ITERATIONS 300 ANSWERS
SEARCH TIME: 00.00.04

L6 300 SEA SSS FUL L2

=> s l3 ful

FULL SEARCH INITIATED 13:58:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

< 40.0% PROCESSED 400000 ITERATIONS 71 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.09

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**

PROJECTED ITERATIONS: EXCEEDS 1000000
PROJECTED ANSWERS: EXCEEDS 207

L7 71 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	445.25	445.46

FILE 'CAPLUS' ENTERED AT 13:58:50 ON 15 JAN 2003
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FILE COVERS 1907 - 15 Jan 2003 VOL 138 ISS 3
FILE LAST UPDATED: 14 Jan 2003 (20030114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 13:55:43 ON 15 JAN 2003)

FILE 'REGISTRY' ENTERED AT 13:56:03 ON 15 JAN 2003

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 17 S L1
L5 3890 S L1 FUL
L6 300 S L2 FUL
L7 71 S L3 FUL

FILE 'CAPLUS' ENTERED AT 13:58:50 ON 15 JAN 2003

=> s l5

L8 334 L5

=> s l5 /biol

334 L5
5298920 BIOL/RL
L9 86 L5 /BIOL
(L5 (L) BIOL/RL)

=> s l6

L10 72 L6

=> s l7

L11 3 L7

=> s (l9 or l10) not l11

L12 156 (L9 OR L10) NOT L11

=> s l9 not l10

L13 86 L9 NOT L10

=> d l11 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:545684 CAPLUS

DOCUMENT NUMBER: 135:137394

TITLE: Preparation of diarylaminothiophenes as
electroluminescent phosphors

INVENTOR(S): Rogler, Wolfgang; Kanitz, Andreas; Hartmann, Horst;
Schumann, Joerg

PATENT ASSIGNEE(S): Siemens A.-G., Germany

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053286	A1	20010726	WO 2001-DE226	20010119
W: CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10002424	A1	20010726	DE 2000-10002424	20000120
EP 1248780	A1	20021016	EP 2001-909498	20010119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			DE 2000-10002424 A	20000120
			WO 2001-DE226 W	20010119

OTHER SOURCE(S): MARPAT 135:137394

AB Title compds. were prepd. as electroluminescent phosphors (no data).
Thus, Z(NPhCSCH₂Ph)₂ (Z = 1,4-phenylene) was cyclocondensed with
PhCOCHClPh to give Z(NPhR)₂ (R = 3,4,5-triphenyl-2-thienyl).

IT 351424-78-7P

RL: DEV (Device component use); SPN (Synthetic preparation); PREP
(Preparation); USES (Uses)
(prepn. of diarylaminothiophenes as electroluminescent phosphors)

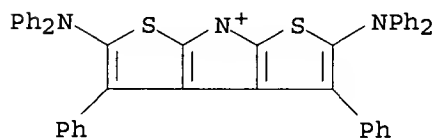
RN 351424-78-7 CAPLUS

CN 7H-Dithieno[2,3-b:3',2'-d]pyrrol-7-ylum, 2,5-bis(diphenylamino)-3,4-
diphenyl-, perchlorate (9CI) (CA INDEX NAME)

CM 1

CRN 351424-77-6

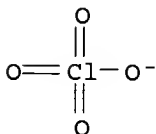
CMF C44 H30 N3 S2



CM 2

CRN 14797-73-0

CMF Cl O4



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2000:277989 CAPLUS

DOCUMENT NUMBER: 132:313703

TITLE: Heterocyclic condensed ring compounds in treatment
and/or prevention of conditions mediated by peroxisome
proliferator-activated receptors.

INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per

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PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Reddy's Research Foundation
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023451	A1	20000427	WO 1999-DK573	19991019
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 9963257	A1	20000508	AU 1999-63257	19991019
EP 1123297	A1	20010816	EP 1999-950503	19991019
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
US 6365586	B1	20020402	US 1999-420347	19991019
JP 2002527520	T2	20020827	JP 2000-577177	19991019
US 2002055502	A1	20020509	US 2001-994986	20011127
US 2002061876	A1	20020523	US 2001-995177	20011127
US 2002061880	A1	20020523	US 2001-995324	20011127
US 2002065267	A1	20020530	US 2001-994971	20011127
US 2002065268	A1	20020530	US 2001-995137	20011127
PRIORITY APPLN. INFO.:			DK 1998-1354	A 19981021
			US 1998-105913P	P 19981021
			US 1999-420347	A3 19991019
			WO 1999-DK573	W 19991019

OTHER SOURCE(S): MARPAT 132:313703

AB Heterocyclic arom. compds. such as 3-[4-[2-(8,9-dihydro-3,5-dithia-4-azacyclopenta{f}azulen-4-yl)ethoxy]phenyl]-2-ethoxypropionic acid are useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR).

IT 265318-05-6 265318-06-7 265318-07-8
265318-08-9 265318-09-0 265318-10-3
265318-11-4 265318-12-5 265318-13-6
265318-14-7 265318-15-8 265318-16-9
265318-17-0 265318-18-1 265318-19-2
265318-20-5 265318-21-6 265318-67-0
265318-68-1 265318-69-2 265318-70-5
265318-71-6 265318-72-7 265318-73-8
265318-74-9 265318-75-0 265318-76-1
265318-77-2 265318-78-3 265318-79-4
265318-80-7 265318-81-8 265318-82-9
265318-83-0 265318-84-1 265318-85-2
265318-86-3 265318-87-4 265318-88-5
265318-89-6 265318-90-9 265318-91-0
265318-92-1 265318-93-2 265318-94-3
265318-95-4 265318-96-5 265318-97-6
265318-98-7 265318-99-8 265319-00-4
265319-01-5 265319-02-6 265319-03-7
265319-04-8 265319-05-9 265319-06-0
265319-07-1 265319-08-2 265319-09-3 26531
9-10-6 265319-11-7 265319-12-8
265319-13-9 265319-14-0

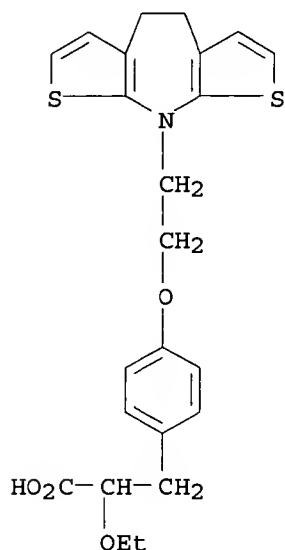
Applicant's

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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic condensed ring compds. in treatment and/or prevention of
conditions mediated by peroxisome proliferator-activated receptors)

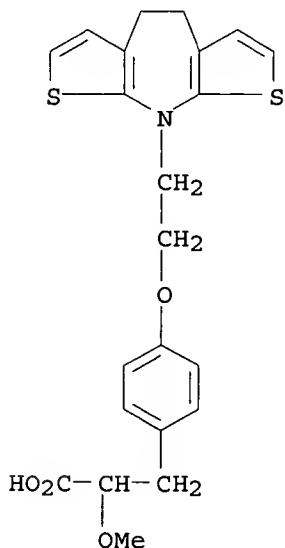
RN 265318-05-6 CAPLUS

CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



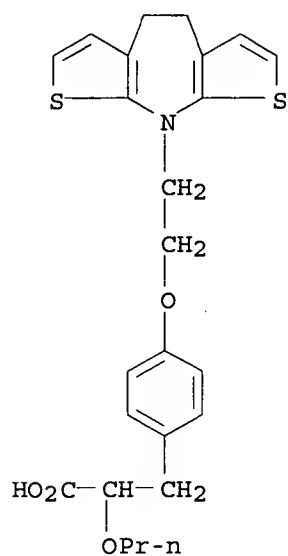
RN 265318-06-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)

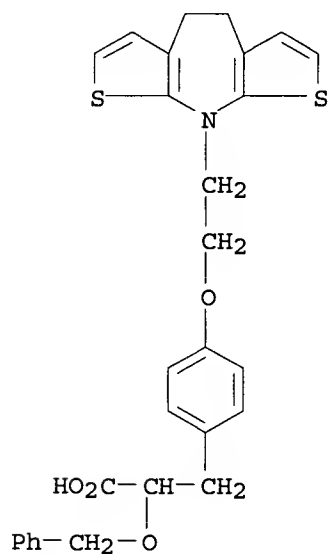


RN 265318-07-8 CAPLUS

CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)

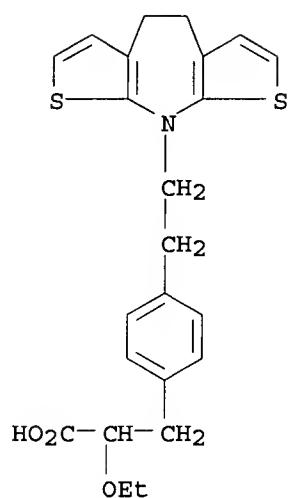


RN 265318-08-9 CAPLUS
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



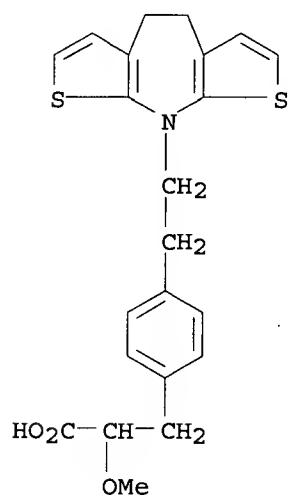
RN 265318-09-0 CAPLUS
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethyl]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)

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RN 265318-10-3 CAPLUS

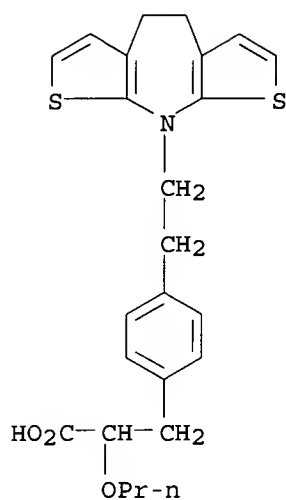
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethyl]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



RN 265318-11-4 CAPLUS

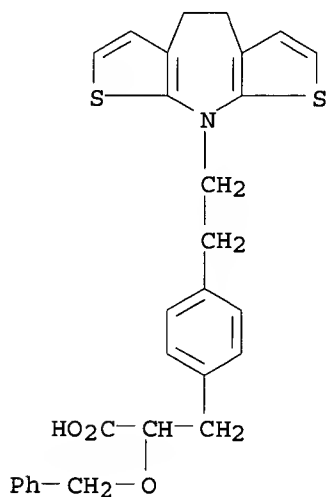
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethyl]-.alpha.-propoxy- (9CI) (CA INDEX NAME)

09/ 995,324



RN 265318-12-5 CAPLUS

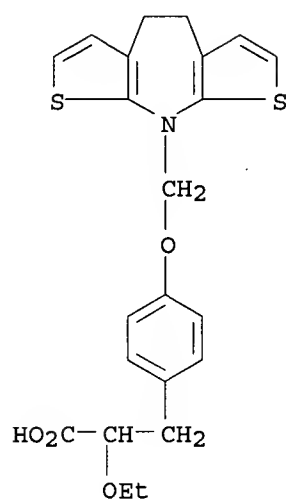
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethyl]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 265318-13-6 CAPLUS

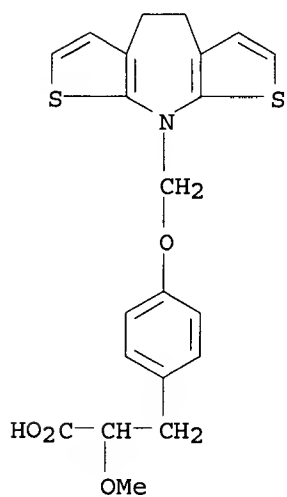
CN Benzenepropanoic acid, 4-[(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)methoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)

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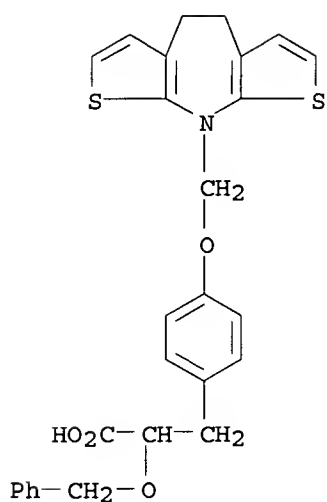
RN 265318-14-7 CAPLUS

CN Benzenepropanoic acid, 4-[(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)methoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



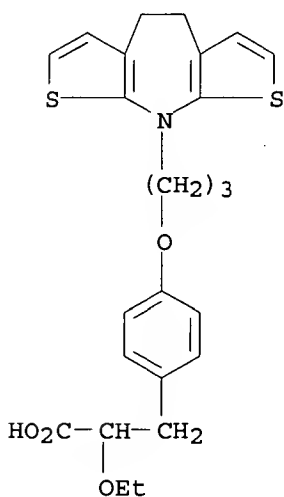
RN 265318-15-8 CAPLUS

CN Benzenepropanoic acid, 4-[(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)methoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 265318-16-9 CAPLUS

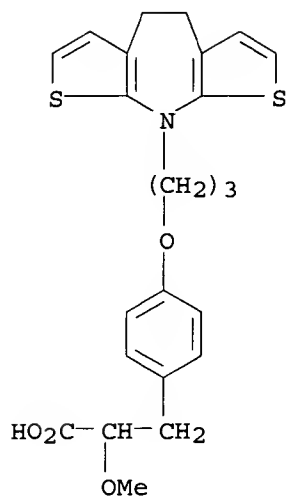
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



RN 265318-17-0 CAPLUS

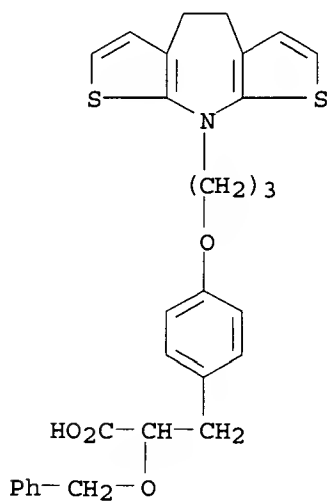
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)

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RN 265318-18-1 CAPLUS

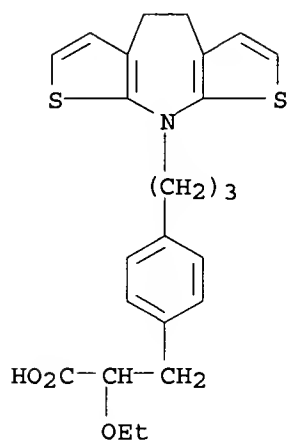
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 265318-19-2 CAPLUS

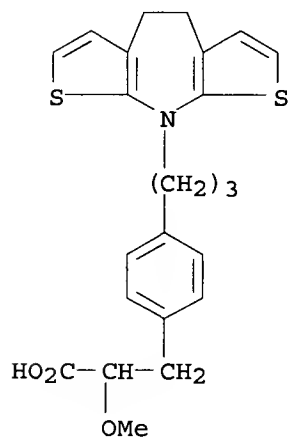
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propyl]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)

09/ 995,324



RN 265318-20-5 CAPLUS

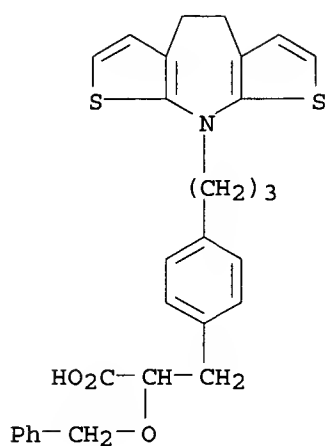
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propyl]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



RN 265318-21-6 CAPLUS

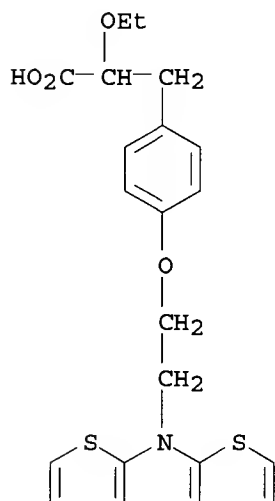
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propyl]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)

09/ 995,324



RN 265318-67-0 CAPLUS

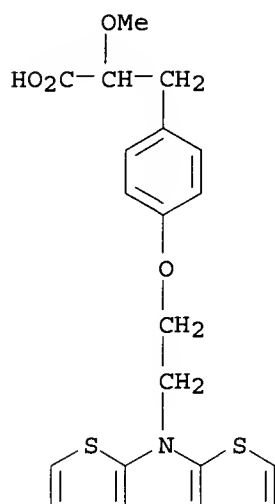
CN Benzenepropanoic acid, 4-[2-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



RN 265318-68-1 CAPLUS

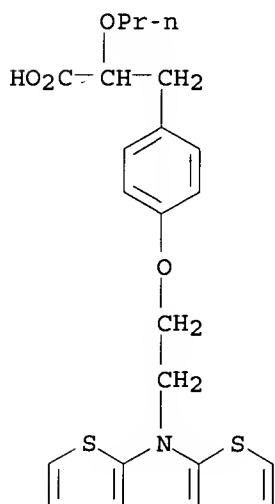
CN Benzenepropanoic acid, 4-[2-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)

09/ 995,324



RN 265318-69-2 CAPLUS

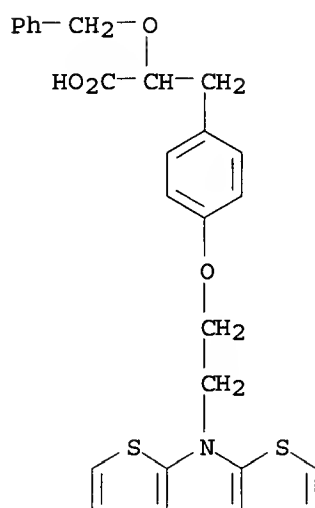
CN Benzenepropanoic acid, 4-[2-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-
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RN 265318-70-5 CAPLUS

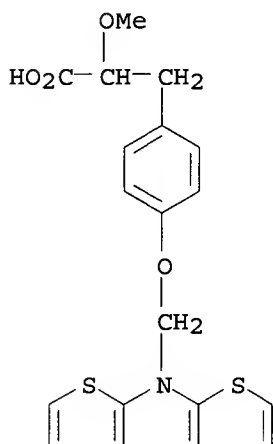
CN Benzenepropanoic acid, 4-[2-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-(phenylmethoxy)-
.alpha.- (9CI) (CA INDEX NAME)

09/ 995,324



RN 265318-71-6 CAPLUS

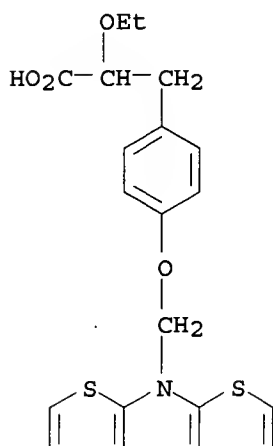
CN Benzenepropanoic acid, 4-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-ylmethoxy) -
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RN 265318-72-7 CAPLUS

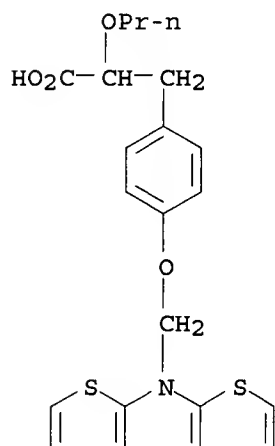
CN Benzenepropanoic acid, 4-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-ylmethoxy) -
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09/ 995,324



RN 265318-73-8 CAPLUS

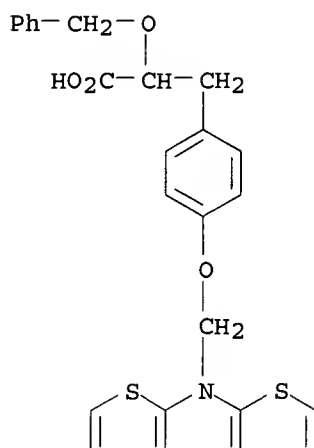
CN Benzenepropanoic acid, 4-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-ylmethoxy) -
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RN 265318-74-9 CAPLUS

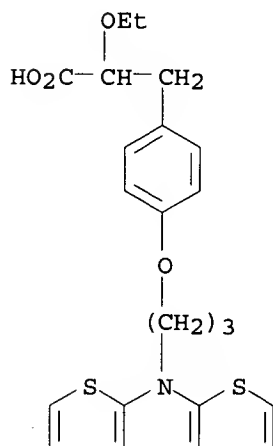
CN Benzenepropanoic acid, 4-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-ylmethoxy) -
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09/ 995,324



RN 265318-75-0 CAPLUS

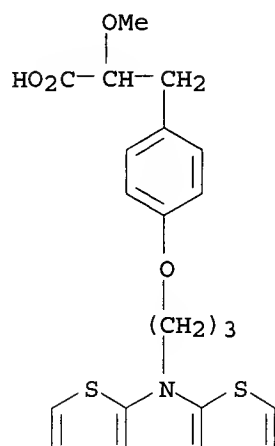
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



RN 265318-76-1 CAPLUS

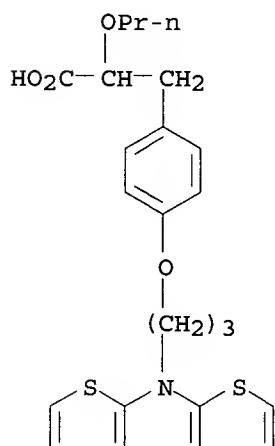
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)

09/ 995,324



RN 265318-77-2 CAPLUS

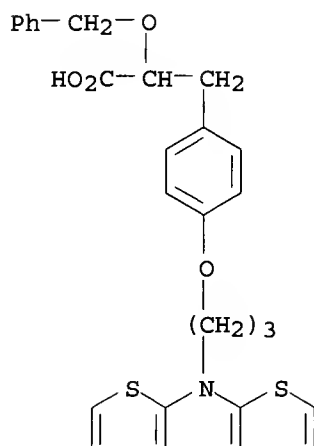
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



RN 265318-78-3 CAPLUS

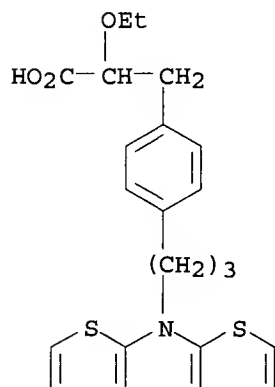
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)

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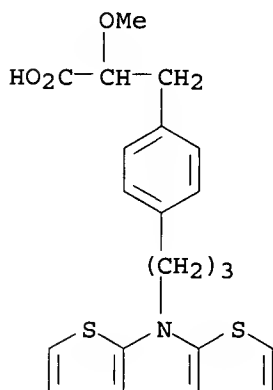
RN 265318-79-4 CAPLUS

CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



RN 265318-80-7 CAPLUS

CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-.alpha.-methoxy- (9CI) (CA INDEX NAME)

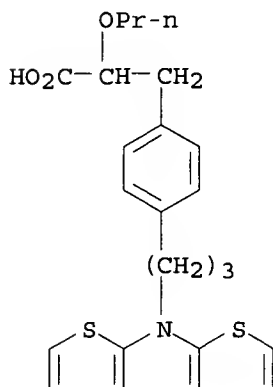


RN 265318-81-8 CAPLUS

CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-

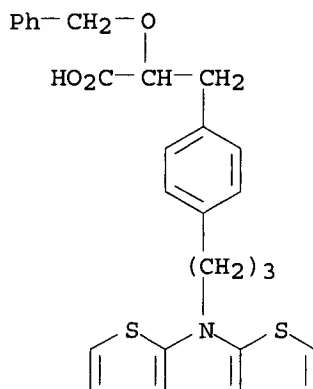
09/ 995,324

.alpha.-propoxy- (9CI) (CA INDEX NAME)



RN 265318-82-9 CAPLUS

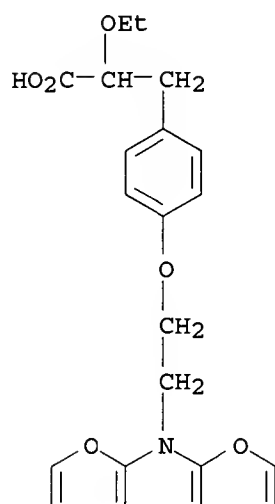
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-
.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 265318-83-0 CAPLUS

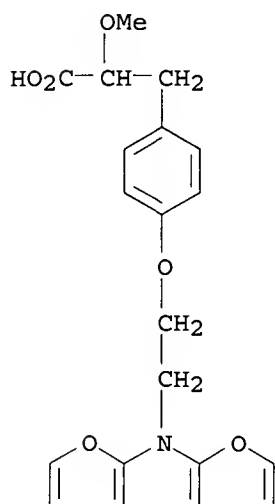
CN Benzenepropanoic acid, 4-[2-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-
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09/ 995,324



RN 265318-84-1 CAPLUS

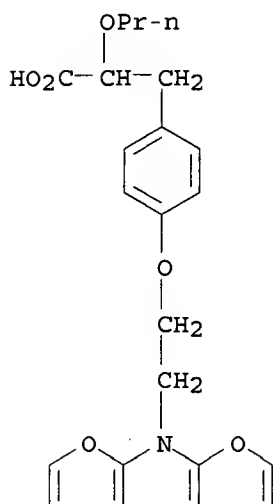
CN Benzenepropanoic acid, 4-[2-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-
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RN 265318-85-2 CAPLUS

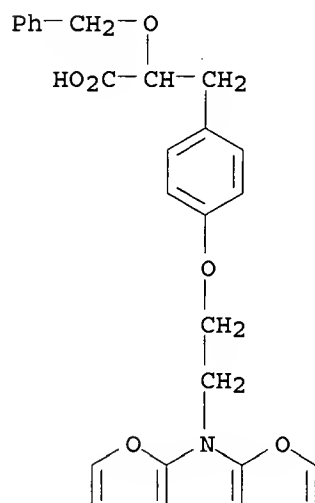
CN Benzenepropanoic acid, 4-[2-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-
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09/ 995,324



RN 265318-86-3 CAPLUS

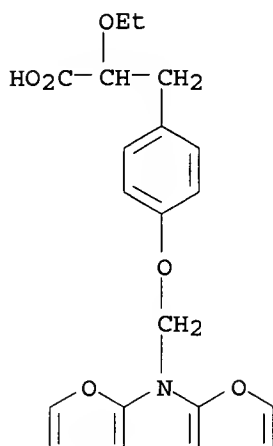
CN Benzenepropanoic acid, 4-[2-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-
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RN 265318-87-4 CAPLUS

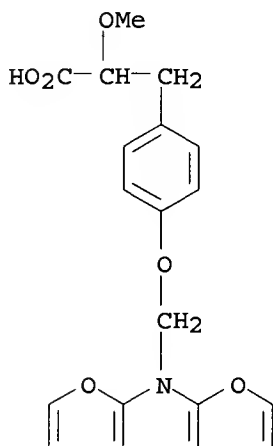
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09/ 995,324



RN 265318-88-5 CAPLUS

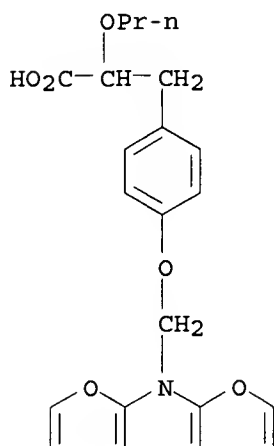
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RN 265318-89-6 CAPLUS

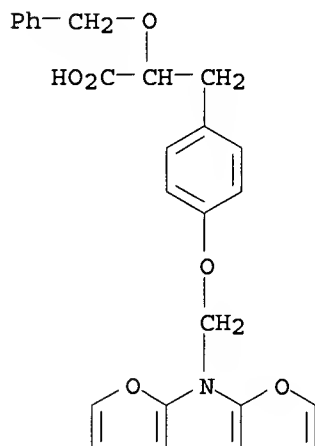
CN Benzenepropanoic acid, 4-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-
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09/ 995,324



RN 265318-90-9 CAPLUS

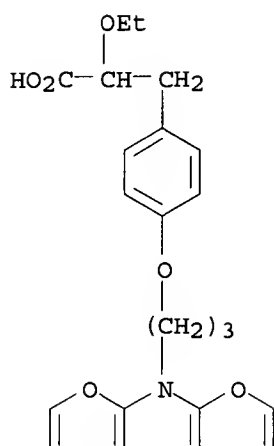
CN Benzenepropanoic acid, 4-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-
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RN 265318-91-0 CAPLUS

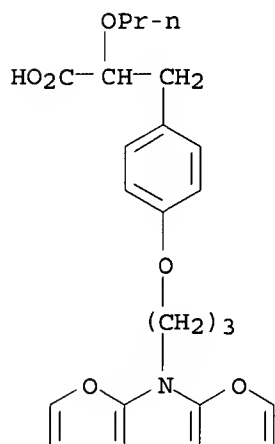
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-
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09/ 995,324



RN 265318-92-1 CAPLUS

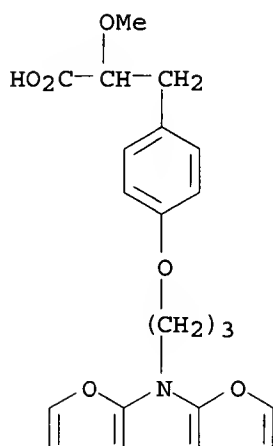
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-
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RN 265318-93-2 CAPLUS

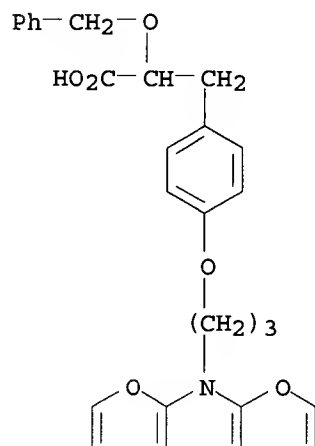
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-
.alpha.-methoxy- (9CI) (CA INDEX NAME)

09/ 995,324



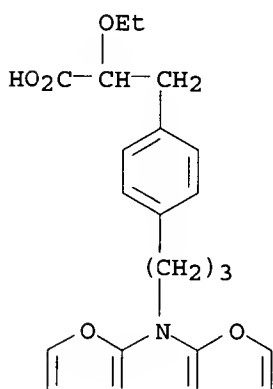
RN 265318-94-3 CAPLUS

CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-
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RN 265318-95-4 CAPLUS

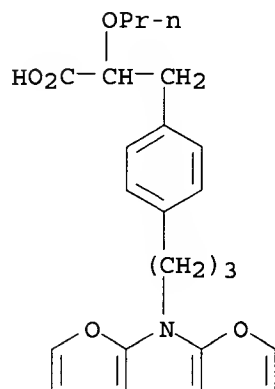
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-
.alpha.-ethoxy- (9CI) (CA INDEX NAME)



09/ 995,324

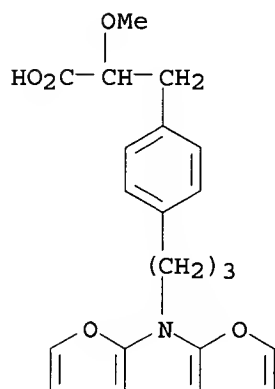
RN 265318-96-5 CAPLUS

CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-
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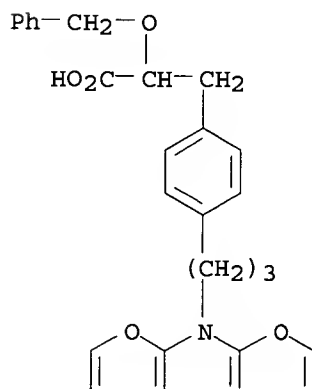
RN 265318-97-6 CAPLUS

CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-
.alpha.-methoxy- (9CI) (CA INDEX NAME)



RN 265318-98-7 CAPLUS

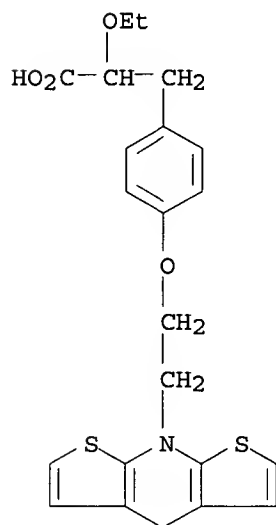
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-
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09/ 995,324

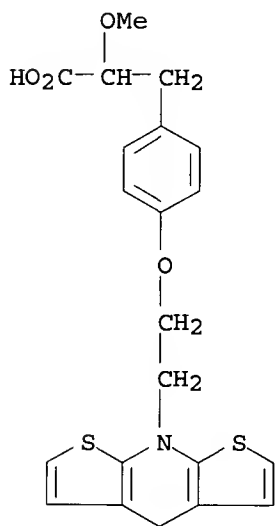
RN 265318-99-8 CAPLUS

CN Benzenepropanoic acid, 4-(2-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylethoxy)-
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RN 265319-00-4 CAPLUS

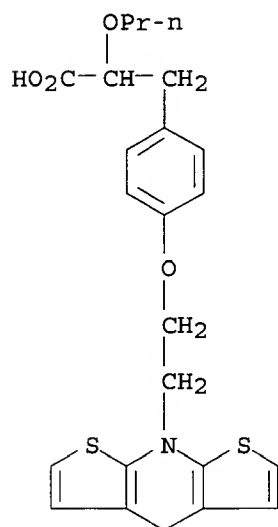
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RN 265319-01-5 CAPLUS

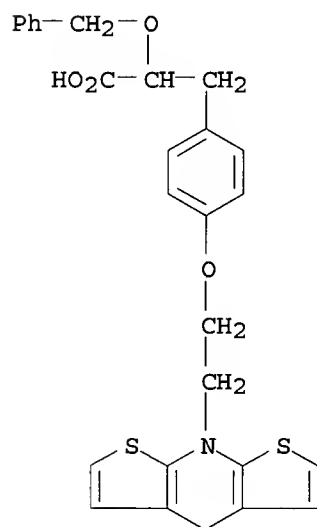
CN Benzenepropanoic acid, 4-(2-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylethoxy)-
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RN 265319-02-6 CAPLUS

CN Benzenepropanoic acid, 4-(2-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylethoxy)-
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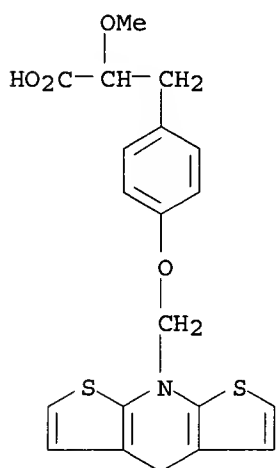


RN 265319-03-7 CAPLUS

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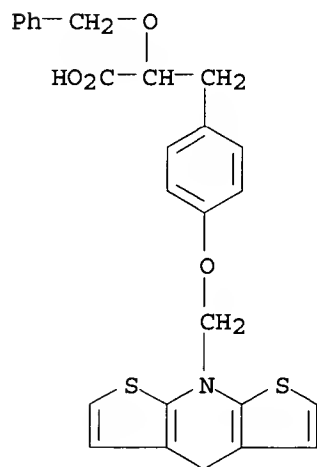
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.alpha.-methoxy- (9CI) (CA INDEX NAME)



CN Benzenepropanoic acid, 4-(dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylmethoxy)-
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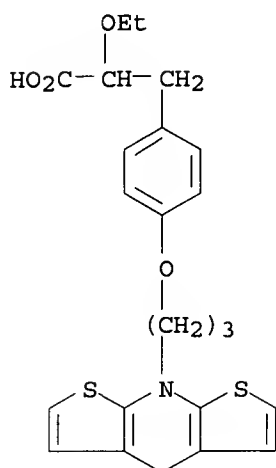
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CN Benzenepropanoic acid, 4-(dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylmethoxy)-
.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



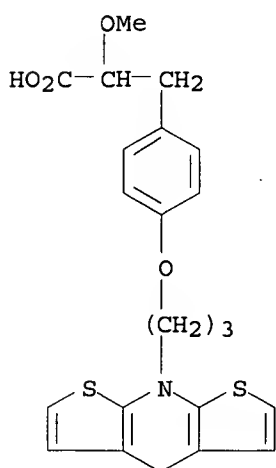
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)

09/ 995,324



RN 265319-08-2 CAPLUS

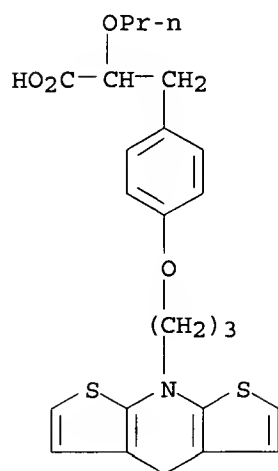
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropoxy)-.alpha.-methoxy- (9CI) (CA INDEX NAME)



RN 265319-09-3 CAPLUS

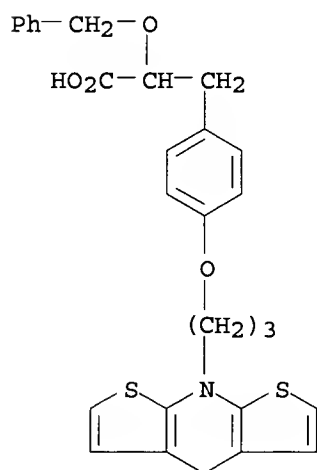
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropoxy)-.alpha.-propoxy- (9CI) (CA INDEX NAME)

09/ 995,324



RN 265319-10-6 CAPLUS

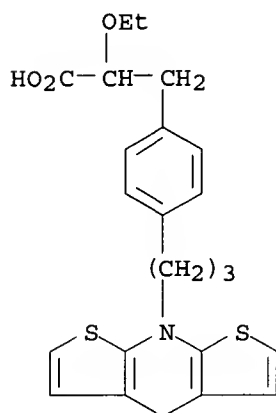
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropoxy)-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 265319-11-7 CAPLUS

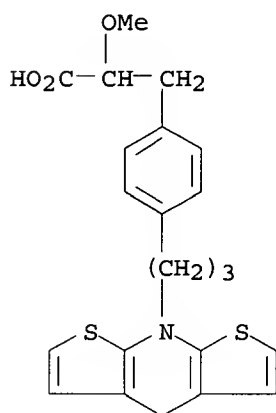
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropyl)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)

09/ 995,324



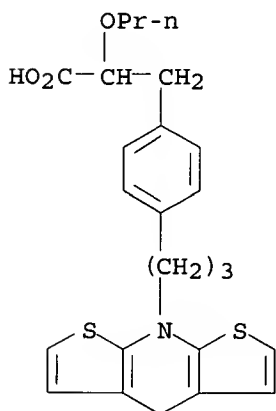
RN 265319-12-8 CAPLUS

CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropyl)-
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RN 265319-13-9 CAPLUS

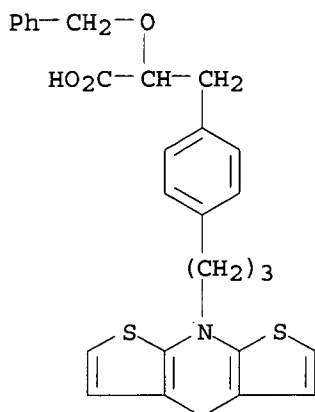
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropyl)-
.alpha.-propoxy- (9CI) (CA INDEX NAME)



RN 265319-14-0 CAPLUS

09/ 995,324

CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropyl)-
.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1998:814730 CAPLUS

DOCUMENT NUMBER: 130:191419

TITLE: Synthesis, antihistaminic and cytotoxic activity of
pyrido[3',2':4,5]dithieno[3,2-d]-1,2,3-triazines

AUTHOR(S): Quintela, Jose Maria; Peinador, Carlos; Veiga, Mari
Carmen; Botana, Luis M.; Alfonso, Amparo; Riguera,
Ricardo

CORPORATE SOURCE: Departamento de Quimica Fundamental e Industrial,
Facultad de Ciencias, Universidad de La Coruna, La
Coruna, 15071, Spain

SOURCE: European Journal of Medicinal Chemistry (1998),
33(11), 887-897

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis of pyrido[3',2':4,5]thieno[3,2-d]-1,2,3-triazines and
pyrido[3',2':4,5]dithieno[3,2-d]-1,2,3-triazines, and their inhibitory
action on the release of histamine from rat mast cells under immunol. and
chem. stimulus are presented. Some compds. are strong inhibitors under
all the conditions tested while some are good inhibitor in all conditions
except when it is preincubated with ovalbumin. Some compds. are good
inhibitors in the immunol. expts. but are practically inactive under chem.
stimulus. Some compds. show in vitro cytotoxic activity against several
human and mouse tumoral cell lines with IC50 values well under 1 mg/mL.

IT 220757-45-9P

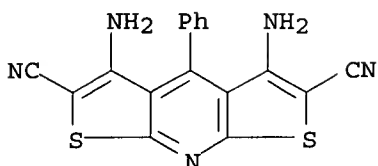
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(prepn. and antihistaminic and cytotoxic structure activity relations
of pyrido[3',2':4,5]dithieno[3,2-d]-1,2,3-triazines)

RN 220757-45-9 CAPLUS

CN Dithieno[2,3-b:3',2'-e]pyridine-2,6-dicarbonitrile, 3,5-diamino-4-phenyl-
(9CI) (CA INDEX NAME)

09/ 995,324



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 13:55:43 ON 15 JAN 2003)

FILE 'REGISTRY' ENTERED AT 13:56:03 ON 15 JAN 2003

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 17 S L1
L5 3890 S L1 FUL
L6 300 S L2 FUL
L7 71 S L3 FUL

FILE 'CAPLUS' ENTERED AT 13:58:50 ON 15 JAN 2003

L8 334 S L5
L9 86 S L5 /BIOL
L10 72 S L6
L11 3 S L7
L12 156 S (L9 OR L10) NOT L11
L13 86 S L9 NOT L10

=> d l12 1- ibib abs fhitr

YOU HAVE REQUESTED DATA FROM 156 ANSWERS - CONTINUE? Y/(N):y

L12 ANSWER 1 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:927424 CAPLUS

DOCUMENT NUMBER: 138:14006

TITLE: Preparation of carbazoles for treating neuropeptide
Y-related diseases

INVENTOR(S): Rudolf, Klaus; Hurnaus, Rudolf; Eberlein, Wolfgang;
Engel, Wolfhard; Wieland, Heike-Andrea; Krist, Bernd

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Novo
Nordisk A/S

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096902	A1	20021205	WO 2002-EP5750	20020524
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,			

09/ 995,324

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10125961 A1 20021212 DE 2001-10125961 20010529

PRIORITY APPLN. INFO.:

DE 2001-10125961 A 20010529

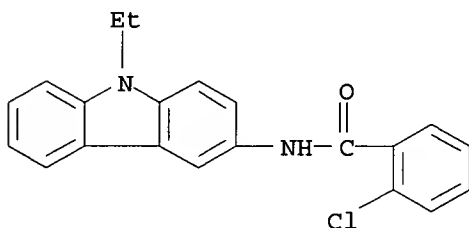
AB The invention relates to carbazoles (e.g. N-(9-ethyl-9H-carbazol-3-yl)nicotinamide), their use for the prepn. of a pharmaceutical compn. for the treatment of eating and metabolic disorders such as obesity, bulimia nervosa, anorexia nervosa, of sleep disturbance, of morphine withdrawal symptoms and of epileptic seizures, a pharmaceutical compn. contg. them and a process for prep. them. No pharmacol. data is included. Although the methods of prepn. are not claimed, several general methods are included and characterization data for .apprx.60 carbazoles are tabulated.

IT **416878-86-9P**, 2-Chloro-N-(9-ethyl-9H-carbazol-3-yl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)

(drug candidate; prepn. of carbazoles for treating neuropeptide Y-related diseases)

RN 416878-86-9 CAPLUS

CN Benzamide, 2-chloro-N-(9-ethyl-9H-carbazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:906181 CAPLUS

DOCUMENT NUMBER: 138:4617

TITLE: Substituted 1-benzyl-4-arylpiperazine analogs as melanin concentrating hormone receptor ligands

INVENTOR(S): Hutchison, Alan; Peterson, John; Doller, Dario; Gustavson, Linda E.; Caldwell, Timothy; Yoon, Taeyoung; Pringle, Wallace; Bakthavatchalam, Rajagopal; Shen, Yiping; Steenstra, Cheryl; Yin, Helen; De, Simone Robert; He, Xiao-shu

PATENT ASSIGNEE(S): Neurogen Corporation, USA; et al.

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094799	A2	20021128	WO 2002-US15979	20020521

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

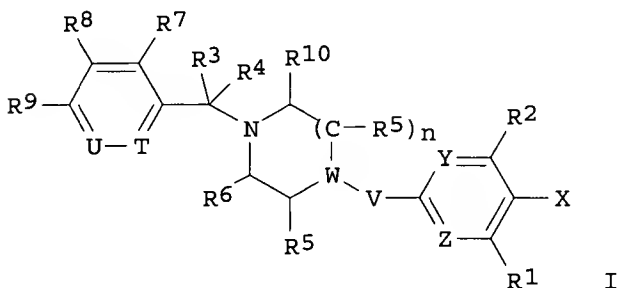
PRIORITY APPLN. INFO.:

US 2001-292719P P 20010522

OTHER SOURCE(S):

MARPAT 138:4617

GI



AB Title compds. I [T, U = N, O, (un)substituted CH; V = bond, CO; W = N, CH, C(OH), C(CN); X = halogen, OH, NO₂, CN, O, (un)substituted NH₂, OH, SO₂H, SO₂NH₂, CONH₂, NHCHO; Y, Z = CH, N; YR5 ZR5 = atoms required to complete a carbocyclic or heterocyclic ring; n = 1, 2; R₁, R₂, R₇, R₈, R₉ = H, halogen, OH, NO₂, CN, O, (un)substituted NH₂, OH, SO₂H, SO₂NH₂, CONH₂, NHCHO; R₃ = H, alkyl, alkenyl, haloalkyl; R₃T = atoms required to complete a carbocyclic or heterocyclic ring; R₄ = H, alkyl, haloalkyl; R₅, R₆ = H, halogen, OH, NO₂, CN, NH₂, O, alkyl, alkenyl, alkoxy, haloalkyl, haloalkoxy, aminoalkyl; R₁₀ = H, halogen, OH, NO₂, CN, alkyl, alkenyl, alkynyl, alkoxy, haloalkyl, haloalkoxy, (un)substituted NH₂; R₇R₁₀ = atoms required to form a ring] were prepd. for use as melanin concg. hormone receptor ligands. Such ligands may be used to modulate MCH binding to MCH receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of metabolic, feeding and sexual disorders in humans, domesticated companion animals and livestock animals. Thus, 1-(5-bromo-6-methoxypyridin-2-yl)piperazine was reductively alkylated with 3,4-(MeO)₂C₆H₃CHO to give the 4-(3,4-dimethoxybenzyl) deriv.

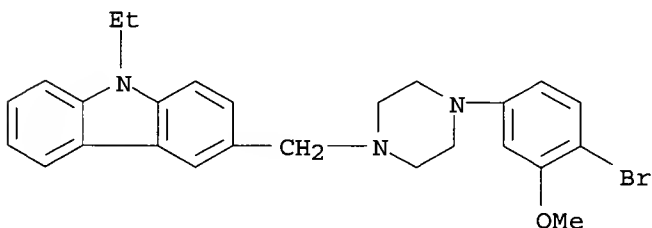
IT 477191-98-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted 1-benzyl-4-arylpiperazine analogs as melanin concg. hormone receptor ligands)

RN 477191-98-3 CAPLUS

CN 9H-Carbazole, 3-[[4-(4-bromo-3-methoxyphenyl)-1-piperazinyl]methyl]-9-ethyl- (9CI) (CA INDEX NAME)



L12 ANSWER 3 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:906136 CAPLUS

DOCUMENT NUMBER: 138:4422

TITLE: Aromatic and heteroaromatic amino alcohol derivatives

useful as .beta.3 adrenergic agonists, for treatment of pollakiuria and urinary incontinence, and their preparation.

INVENTOR(S) :

Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Kayakiri, Hiroshi; Taniguchi, Kiyoshi; Takamura, Fujiko

PATENT ASSIGNEE(S) :

Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE:

PCT Int. Appl., 256 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

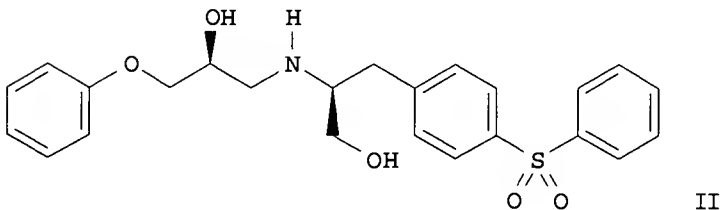
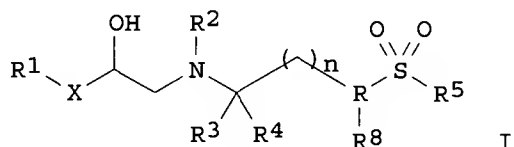
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094770	A2	20021128	WO 2002-JP4865	20020520
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			AU 2001-5232	A 20010524
			AU 2001-9780	A 20011228
			AU 2002-799	A 20020228

OTHER SOURCE(S) :

MARPAT 138:4422

GI



AB The invention relates to compds. I [wherein R1 is Ph, pyridyl, indolyl, or carbazolyl, each of which may be substituted with one or two substituent(s); R2 is hydrogen, an amino protective group, etc.; R3 and R4 are each independently hydrogen, lower alkyl or hydroxy(lower)alkyl; R is a benzene or pyridine nucleus; R5 is aryl, ar(lower)alkyl, heterocyclic, or alkyl, each of which may be substituted with one, two, or three substituent(s); R8 is hydrogen or halogen; X is a single bond or OCH2; and n is 0, 1, or 2] or salts thereof. I and their pharmaceutically acceptable salts are .beta.3 adrenergic receptor agonists, useful for the prophylactic and/or therapeutic treatment of pollakiuria or urinary

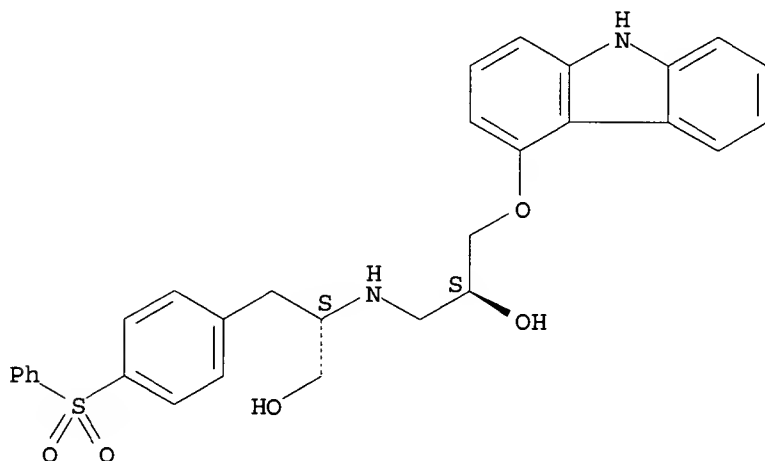
incontinence. Approx. 700 compds. were prepd. as invention compds. and/or intermediates. For instance, tert-Bu [(S)-2-hydroxy-1-(4-hydroxybenzyl)ethyl]carbamate was protected with Me₂C(OMe) as the oxazolidine, then converted to the aryl triflate, coupled with PhSH, oxidized to the sulfone, and deprotected to give (S)-2-amino-3-[4-(phenylsulfonyl)phenyl]-1-propanol as the hydrochloride. This compd. underwent reductive N-benylation with benzaldehyde, coupling with (S)-2-(phenoxymethyl)oxirane, and hydrogenolytic debenylation, to give title compd. II. When administered intraduodenally to anesthetized beagle dogs at 0.32 mg/kg, II gave a 30% inhibition of carbachol-induced (1.8 .mu.g/kg) increase in intravesical pressure (IVP).

IT **477256-98-7P**, (2S)-2-[[[(2S)-3-(9H-Carbazol-4-yloxy)-2-hydroxypropyl]amino]-3-[4-(phenylsulfonyl)phenyl]-1-propanol
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)
 (drug candidate; prepn. of arom. and heteroarom. amino alc. derivs. as .beta.3 adrenergic agonists)

RN 477256-98-7 CAPLUS

CN Benzenepropanol, .beta.-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-4-(phenylsulfonyl)-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 4 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:905855 CAPLUS

DOCUMENT NUMBER: 138:303

TITLE: Caspase inhibitors and therapeutic uses

INVENTOR(S): Mortimore, Michael; Miller, Andrew; Studley, John; Charrier, Jean-Damien

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094263	A2	20021128	WO 2002-US16353	20020523
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-292969P P 20010523

OTHER SOURCE(S): MARPAT 138:303

AB This invention provides compds. which are effective inhibitors of
apoptosis and IL-1.β. secretion. The invention also discusses the
therapeutic potential of these compds. in treating diseases like IL-1
mediated disease, apoptosis mediated disease or an inflammatory disease.

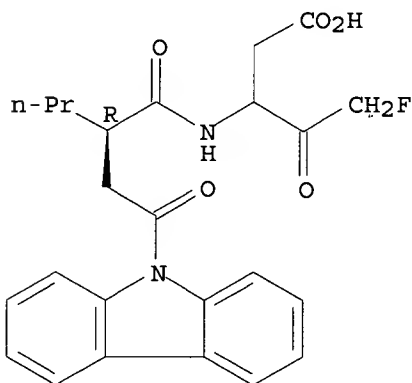
IT **476635-25-3P**

RL: PAC (Pharmacological activity); RCT (Reactant); **BIOL (Biological
study)**; THU (Therapeutic use); **BIOL (Biological study)**;
PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(caspase inhibitors)

RN 476635-25-3 CAPLUS

CN Pentanoic acid, 3-[[[(2R)-2-[2-(9H-carbazol-9-yl)-2-oxoethyl]-1-
oxopentyl]amino]-5-fluoro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 5 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:888718 CAPLUS

DOCUMENT NUMBER: 137:384842

TITLE: Benzimidazole compounds and antiviral uses thereof
INVENTOR(S): Lackey, John William; Kinder, Daniel S.; Tvermoes,
Nicolai A.

PATENT ASSIGNEE(S): Trimeris, Inc., USA

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092575	A1	20021121	WO 2002-US14598	20020510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

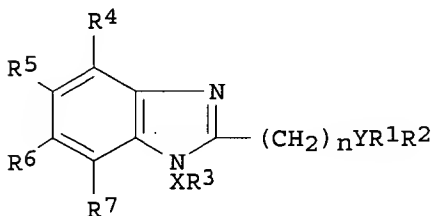
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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-290038P P 20010511

OTHER SOURCE(S): MARPAT 137:384842

GI



AB Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, OH, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkylene, C:N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prepd. for use as virucides that inhibit membrane fusion assocd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 .mu.g/mL.

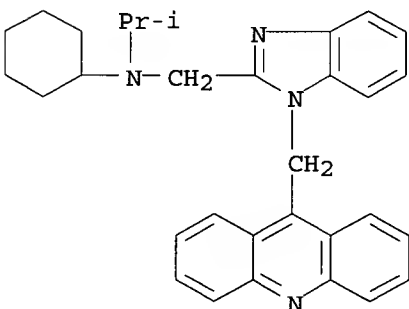
IT 475646-70-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475646-70-9 CAPLUS

CN 1H-Benzimidazole-2-methanamine, 1-(9-acridinylmethyl)-N-cyclohexyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:886145 CAPLUS

DOCUMENT NUMBER: 137:385004

TITLE: Preparation of morphinane derivatives as hypoglycemic

agents
 INVENTOR(S): Nagase, Hiroshi; Kawamura, Kuniaki; Mizusuna, Akira;
 Fujii, Hideaki; Nakaya, Izumi; Fujita, Tatsuya
 PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002332284	A2	20021122	JP 2001-137616	20010508
PRIORITY APPLN. INFO.:			JP 2001-137616	20010508
OTHER SOURCE(S):		MARPAT 137:385004		
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

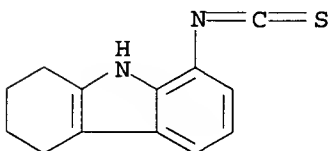
AB The compds. I (R1 = H, C1-5 alkyl, C4-7 cycloalkylalkyl, C5-7 cycloalkenylalkyl, C6-12 aryl; R2, R3 = H, OH, C1-5 alkoxy, C1-5 alkanoyloxy; R4 = H, NHZR6; Z = C:A, C:AXC:A; A = O, S; X = (CH2)n, NH(CH2)nNH, C6H4, n = 1-5; R6 = Q1, Q2, Q3, Q4; R7 = H, C1-5 alkyl, C4-7 cycloalkylalkyl, C5-7 cycloalkenylalkyl, C6-12 aryl, etc.; R8, R9 = H, OH, C1-5 alkyloxy, C1-5 alkanoyloxy; R10, R11 = H, C1-5 alkyl; R12, R13 = H, C1-5 alkyl, C6-12 aryl; R12R13 may form C3-6 bridge structure; R5 = H, C1-5 alkyl, (CH2)n(C:A)R6; if R4 = H, then R5 .noteq. H, C1-5 alkyl) or their pharmaceutically acceptable salts are prepd. Naltrexone hydrochloride was reacted with 2-nitrophenylhydrazine in the presence of HCl in AcOH at 80.degree. for 3 h and treated with MeSO3H to give 29% 17-cyclopropylmethyl-6,7-dehydro-4,5.alpha.-epoxy-3,14.beta.-dihydroxy-7'-nitro-6,7-2',3'-indolomorphinan methanesulfonate. A compd. satisfying structure I reduced blood glucose level in rats.

IT 475212-80-7P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of morphinan derivs. as hypoglycemic agents)

RN 475212-80-7 CAPLUS

CN 1H-Carbazole, 2,3,4,9-tetrahydro-8-isothiocyanato- (9CI) (CA INDEX NAME)



L12 ANSWER 7 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:868898 CAPLUS

DOCUMENT NUMBER: 137:369762

TITLE: Preparation of cyclohexane-1,4-diamines as regulators of the ORL1 opioid receptor

INVENTOR(S): Sundermann, Bernd; Hennies, Hagen-Heinrich; Englberger, Werner; Koegel, Babette-Yvonne

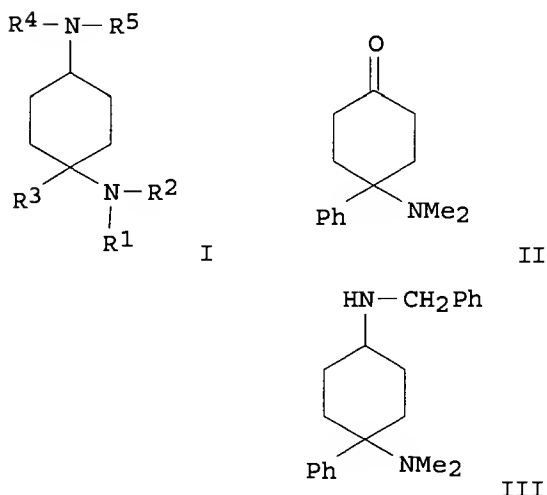
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

09/ 995,324

SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090317	A1	20021114	WO 2002-EP5051	20020508
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: DE 2001-10123163 A 20010509
OTHER SOURCE(S): MARPAT 137:369762
GI



AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc. or R1 and R2 together form a ring, e.g., CH₂CH₂OCH₂CH₂, (CH₂)₃₋₆, CH₂CH₂NR₆CH₂CH₂; R₆ = H, alkyl, cycloalkyl, etc.; R₃ = alkyl, cycloalkyl, (un)substituted aryl, etc.; R₄ = H, alkyl, C(X)R₇; X = O, S; R₇ = H, alkyl, cycloalkyl, etc.; R₅ = cycloalkyl, aryl, heteroaryl, etc.] and their pharmaceutically acceptable salts were prepd. For example, reductive amination of ketone II, e.g., prepd. from 1,4-dioxaspiro[4.5]decan-8-one in 3-steps, and benzylamine afforded after chromatog., the nonpolar diastereomer of diamine III.HCL. In ORL1 opioid receptor binding assays, 91-specific examples of compds. I exhibited binding to the receptor with K_i values ranging from 0.0004-0.75 .mu.M, e.g., the K_i of the nonpolar diastereomer of diamine III.HCL = 0.010 .mu.M. Compds. I may be useful in the treatment of anxiety, depression, epilepsy, etc.

IT 475097-83-7P, N'-(9-Ethyl-9H-carbazol-3-yl)-N,N-dimethyl-1-phenylcyclohexan-1,4-diamine Dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

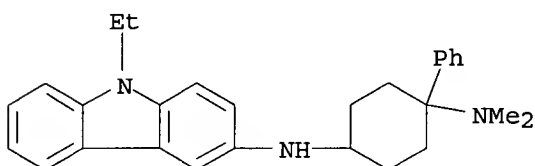
09/ 995,324

(Therapeutic use); **BIOL** (**B**iological **s**tudy); **PREP** (**P**reparation);
USES (**U**ses)

(drug candidate; prepn. of cyclohexyldiamines as regulators of the ORL1
opioid receptor)

RN 475097-83-7 **CAPLUS**

CN 1,4-Cyclohexanediamine, N4-(9-ethyl-9H-carbazol-3-yl)-N1,N1-dimethyl-1-
phenyl-, dihydrochloride (9CI) (**CA INDEX NAME**)



● 2 HCl

REFERENCE COUNT: 3 **THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT**

L12 ANSWER 8 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:868745 **CAPLUS**

DOCUMENT NUMBER: 137:369983

TITLE: Preparation of benzo[d]azepines as 5-HT6 receptor
antagonists

INVENTOR(S): Bromidge, Steven Mark; Moss, Stephen Frederick

PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK

SOURCE: PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

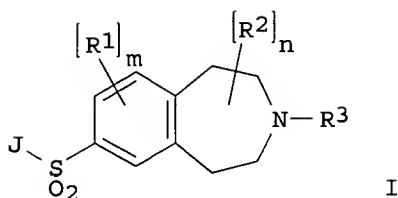
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089811	A1	20021114	WO 2002-EP4804	20020502
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: GB 2001-11186 A 20010508

OTHER SOURCE(S): MARPAT 137:369983

GI

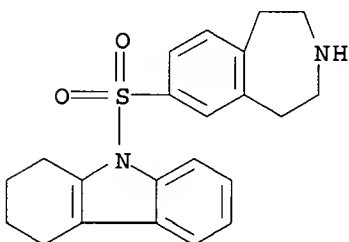


AB The title compds. [I; R1 = halo, alkyl, alkoxy, etc.; R2 = alkyl; R3 = H, (un)substituted alkyl; m = 0-3; n = 0-8; J = (un)substituted indol-1-yl, indazol-1-yl, carbazol-9-yl, etc.], useful in the treatment of disorders such like depression, anxiety and Alzheimer's disease, were prepd. Thus, reacting indole with 3-acetyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-sulfonyl chloride followed by N-deacetylation afforded I [R1-R3 = H; J = indol-1-yl]. All exemplified compds. I showed pKi of 7.7-9.7 at human cloned 5-HT6 receptors.

IT **475205-33-5P**
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of benzo[d]azepines as 5-HT6 receptor antagonists)

RN 475205-33-5 CAPLUS

CN 1H-Carbazole, 2,3,4,9-tetrahydro-9-[(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:868721 CAPLUS

DOCUMENT NUMBER: 137:369761

TITLE: Preparation of cyclohexane-1,4-diamines as regulators of the .mu.-opioid receptor

INVENTOR(S): Friderichs, Elmar Josef; Sundermann, Bernd; Hinze, Claudia; Koegel, Babette-Yvonne

PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

SOURCE: PCT Int. Appl., 125 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089783	A1	20021114	WO 2002-EP5122	20020509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,				

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
 UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

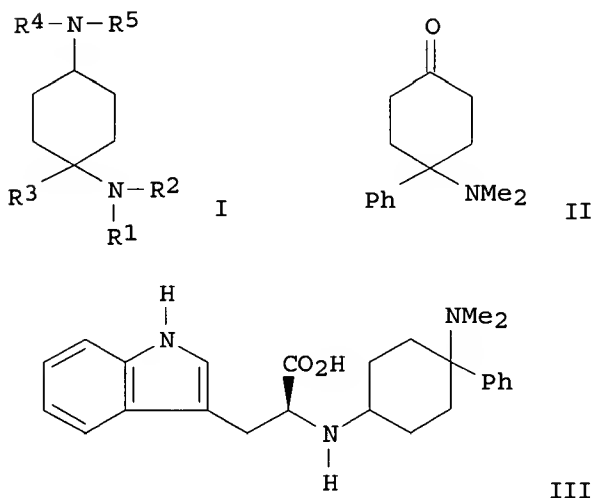
PRIORITY APPLN. INFO.:

DE 2001-10123163 A 20010509

OTHER SOURCE(S):

MARPAT 137:369761

GI



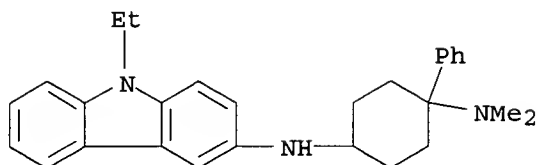
AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc. or R1 and R2 together form a ring, e.g., CH₂CH₂OCH₂CH₂, (CH₂)₃₋₆, CH₂CH₂NR₆CH₂CH₂; R₆ = H, alkyl, cycloalkyl, etc.; R₃ = alkyl, cycloalkyl, (un)substituted aryl, etc.; R₄ = H, alkyl, C(X)R₇; X = O, S; R₇ = H, alkyl, cycloalkyl, etc.; R₅ = cycloalkyl, aryl, heteroaryl, etc.] and their pharmaceutically acceptable salts were prepd. For example, reductive amination of ketone II, e.g., prepd. from 1,4-dioxaspiro[4.5]decan-8-one in 3-steps, and L-tryptophan Me ester hydrochloride, followed by ester hydrolysis, afforded after chromatog. and workup the calcium salt of the nonpolar diastereomer of diamine III. In .mu.-opioid receptor binding assays, 9-specific examples of compds. I exhibited binding to the receptor with K_i values ranging from 0.0008-0.140 .mu.M, e.g., the K_i of the calcium salt of the nonpolar diastereomer of diamine III = 0.0011 .mu.M. Compds. I may be useful in the treatment of irritable bowel syndrome, diarrhea, peripheral pain, etc.

IT **475097-83-7P**, N'-(9-Ethyl-9H-carbazol-3-yl)-N,N-dimethyl-1-phenylcyclohexan-1,4-diamine Dihydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation);
 USES (Uses)

(drug candidate; prepn. of cyclohexane-1,4-diamines as regulators of the .mu.-opioid receptor)

RN 475097-83-7 CAPLUS

CN 1,4-Cyclohexanediamine, N4-(9-ethyl-9H-carbazol-3-yl)-N1,N1-dimethyl-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:849615 CAPLUS

DOCUMENT NUMBER: 137:353030

TITLE: Preparation of 4-aryltriazoles useful in treating diseases associated with unwanted cytokine activity
 INVENTOR(S): Tullis, Joshua Spector; Van Rens, John Charles; Clark, Michael Philip; Blass, Benjamin Eric; Natchus, Michael George; De, Biswanath

PATENT ASSIGNEE(S): The Procter & Gamble Company, USA

SOURCE: PCT Int. Appl., 76 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

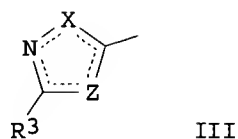
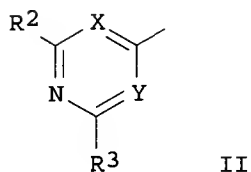
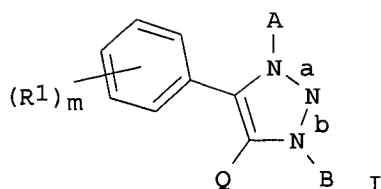
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088108	A1	20021107	WO 2002-US13074	20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, NZ, NO, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-287639P P 20010430

OTHER SOURCE(S): MARPAT 137:353030

GI



AB The present invention relates to 4-aryltriazoles (shown as I; e.g. 4-(4-fluorophenyl)-5-(pyridin-4-yl)-1-(thiophen-2-ylcarbonyl)-1,2,3-triazole) wherein R1 is independently: lower alkyl, lower alkenyl, lower alkynyl, lower heteroalkyl, lower heteroalkenyl, lower heteroalkynyl, heterocycloalkyl, heteroaryl, halo, CN, OR4, SR4, S(O)R4, S(O)2R4, and NR4R5; Q is II or III, and other variables are defined in the claims. Said compds. are useful in treating diseases assocd. with unwanted cytokine activity, inter alia, interleukin-1 (IL-1) and tumor necrosis factor (TNF) from cells, e.g. osteoarthritis, rheumatoid arthritis, and congestive heart failure (no data). Although the methods of prepn. are not claimed, several example prepn. are included and about 150 specific claimed compds. are listed.

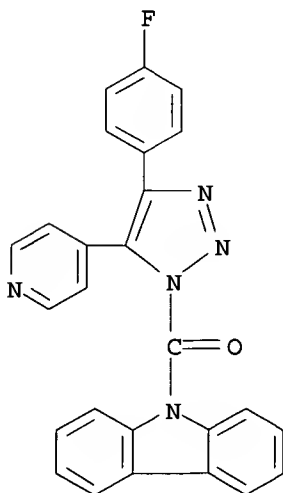
IT **474512-50-0P**, 4-(4-Fluorophenyl)-5-(pyridin-4-yl)-1-[(carbazol-9-yl)carbonyl]-1,2,3-triazole

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of aryltriazoles useful in treating diseases assocd. with unwanted cytokine activity)

RN 474512-50-0 CAPLUS

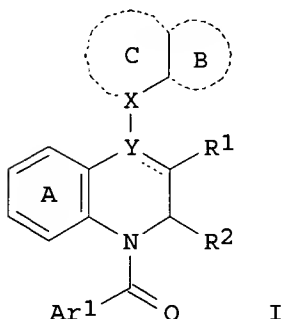
CN 9H-Carbazole, 9-[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-1,2,3-triazol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)



RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:849594 CAPLUS
 DOCUMENT NUMBER: 137:353065
 TITLE: Preparation of 4-heterocyclylquinoline derivatives as
 beta-amyloid precursor protein secretion promoters
 INVENTOR(S): Kakihana, Mitsuru; Kato, Kaneyoshi; Mori, Masaaki;
 Yamashita, Toshiro
 PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 233 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088087	A1	20021107	WO 2002-JP4148	20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			JP 2001-128677	A 20010426
			JP 2002-43523	A 20020220
OTHER SOURCE(S):		MARPAT 137:353065		
GI				



AB Novel compds. represented by the following general formula (I), salts thereof or prodrugs of the same [wherein R1, R2 = H, (un)substituted lower alkyl or HO; or R1 and R2 together with the C atom attached to them form a 4 to 7-membered ring; A1 = (un)substituted arom. group; the ring A = (un)substituted benzene ring; the ring B = (un)substituted arom. ring; the ring C = (un)substituted 4- to 8-membered ring which may be fused with an optionally substituted ring; X = CH or N; the solid line accompanied by a dotted line represents a single or double bond; when it represent a single bond, Y is CH or N; when it represents a double bond, it is C] are prepd. These compds. provide sol. beta-amyloid precursor protein (sol. .beta.APP, sAPP) secretion promoters and/or apoptosis inhibitors which are efficacious in preventing and/or treating neurodegenerative diseases such

as Alzheimer's disease, Parkinson's disease, neuropathy, and senile dementia and nerve cell damages at cerebrovascular disorders. Thus, iodotrimethylsilane was added to a soln. of cis-1-(3,4-dimethoxybenzoyl)-2-methyl-1,2,3,4-tetrahydro-4-quinolinol in CHCl₃ under ice-cooling, stirred for 2 h, concd., dissolved in THF, and stirred with 1,2,3,4-tetrahydroquinoline and BaCO₃ at room temp. for 48 h to give cis-4-(1,2,3,4-tetrahydroquinolin-1-yl)-1-(3,4-dimethoxybenzoyl)-1,2,3,4-tetrahydroquinoline (II). II was sepd. by HPLC on a CHIRALPAK AD column to give (+)- and (-)-II. (-)-II at 10 nM increased the secretion of sAPP by .apprx.2.2 fold in rat pheochromocytoma PC12h cell line and completely inhibited the apoptosis of PC12h cell caused by the glutamic acid-induced inhibition of the uptake of glutathione.

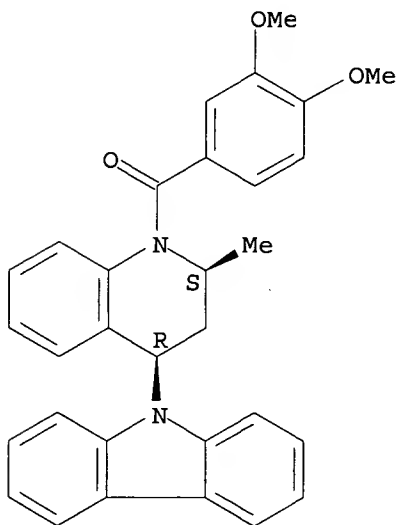
IT 474537-59-2P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of heterocyclylquinolines as sol. .beta.-amyloid precursor protein secretion promoters and/or apoptosis inhibitors for preventing and/or treating neurodegenerative diseases nerve cell damages at cerebrovascular disorders)

RN 474537-59-2 CAPLUS

CN Quinoline, 4-(9H-carbazol-9-yl)-1-(3,4-dimethoxybenzoyl)-1,2,3,4-tetrahydro-2-methyl-, (2R,4S)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:849432 CAPLUS

DOCUMENT NUMBER: 137:333132

TITLE: Pharmaceutical combinations based on pyridoindolone derivatives and anticancer agents

INVENTOR(S): Bourrie, Bernard; Casellas, Pierre; Derocq, Jean-Marie

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2

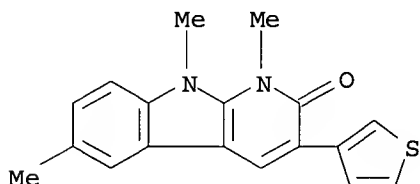
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087575	A1	20021107	WO 2002-FR1450	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2823975	A1	20021031	FR 2001-5843	20010427
PRIORITY APPLN. INFO.: FR 2001-5843 A 20010427				
OTHER SOURCE(S): MARPAT 137:333132				
AB The invention concerns the combination of pyridoindolone derivs. with several anticancer agents.				
IT 474282-16-1				
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical combinations based on pyridoindolone derivs. and anticancer agents)				
RN 474282-16-1 CAPLUS				
CN 2H-Pyrido[2,3-b]indol-2-one, 1,9-dihydro-1,6,9-trimethyl-3-(3-thienyl)- (9CI) (CA INDEX NAME)				



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:849431 CAPLUS
 DOCUMENT NUMBER: 137:346154
 TITLE: Use of pyrido[2,3-b]indol-2-one derivatives as anticancer agents
 INVENTOR(S): Bourrie, Bernard; Casellas, Pierre; Derocq, Jean-Marie
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
 SOURCE: PCT Int. Appl., 9 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087574	A2	20021107	WO 2002-FR1449	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,				

09/ 995,324

TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

FR 2823975 A1 20021031 FR 2001-5843 20010427

PRIORITY APPLN. INFO.: FR 2001-5843 A 20010427

OTHER SOURCE(S): MARPAT 137:346154

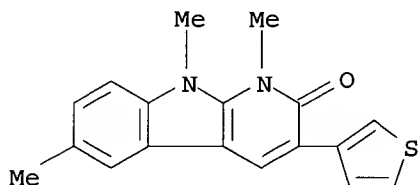
AB 3-Arylpyrido[2,3-b]indol-2-one derivs. [e.g., 6-chloro-1,9-dimethyl-3-phenyl-1,9-dihydro-2H-pyrido[2,3-b]indol-2-one; m.p. 178.5-179.5.degree.] were tested and found to be effective anticancer agents via the MDA-MB-231 cell line.

IT 474282-16-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL**
(**Biological study**); USES (Uses)
(use of pyrido[2,3-b]indol-2-one derivs. as anticancer agents)

RN 474282-16-1 CAPLUS

CN 2H-Pyrido[2,3-b]indol-2-one, 1,9-dihydro-1,6,9-trimethyl-3-(3-thienyl)-
(9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:847768 CAPLUS

DOCUMENT NUMBER: 137:346151

TITLE: Bis(hetero-5-membered ring) compounds as telomerase inhibitors and their uses as antitumor agents

INVENTOR(S): Sasho, Setsuya; Komatsu, Kazunori; Kobayashi, Yumiko; Yamashita, Nobunori; Asai, Akiyoshi

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

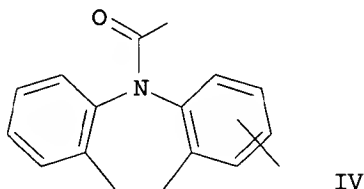
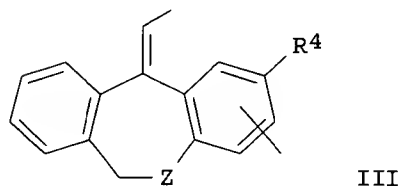
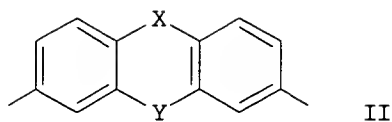
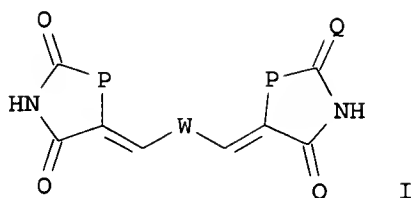
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002322161	A2	20021108	JP 2001-127229	20010425
PRIORITY APPLN. INFO.:			JP 2001-127229	20010425

GI



AB The compds. I [W = II [X = NR₁, CR₂R₃; R₁ = H, (un)substituted lower alkenyl, (un)substituted aralkyl, (un)substituted heteroarylalkyl; R₂, R₃ = H, OH, (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted aralkyloxy; if X = NR₁, then Y = CH₂O, CH₂CH₂, CH:CH, direct bond; if X = CR₂R₃, then Y = CH₂CH₂], III (R₄ = H, lower alkyl; Z = O, S), IV; Q = O, S, NH; if W = II or III or W = IV and Q = NH, then P = O, S, or NH; if W = IV and Q = S or O, then P = S or NH] or theor pharmacol. acceptable salts inhibit telomerase and are useful as antitumor agents. IC₅₀ of I (W = II, P = S, Q = O, Y = CH₂CH₂, X = NCH₂C₆H₃F₂-2,6) (prepn. given) was 0.43 .mu.mol/L.

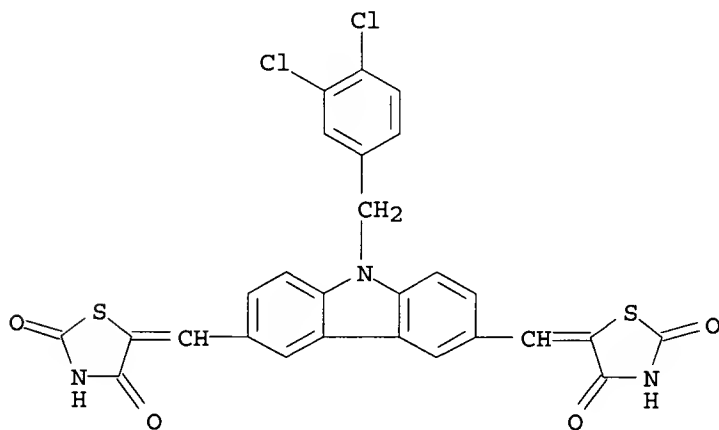
IT **474641-75-3P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of antitumor bis(hetero-5-membered ring) compds. as telomerase inhibitors)

RN 474641-75-3 CAPLUS

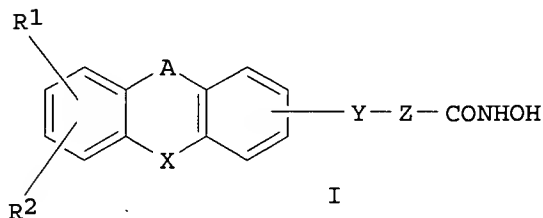
CN 2,4-Thiazolidinedione, 5,5'-[[9-[(3,4-dichlorophenyl)methyl]-9H-carbazole-3,6-diyl]dimethylidene]bis- (9CI) (CA INDEX NAME)



09/ 995,324

DOCUMENT NUMBER: 137:337795
TITLE: Preparation of tricyclic alkylhydroxamates as cell proliferation inhibitors
INVENTOR(S): Grossmann, Adelbert; Von der Saal, Wolfgang; Sattelkau, Tim; Tibes, Ulrich
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085883	A1	20021031	WO 2002-EP4349	20020419
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183513	A1	20021205	US 2002-127100	20020422
PRIORITY APPLN. INFO.:			EP 2001-109428	A 20010423
OTHER SOURCE(S):		MARPAT 137:337795		
GI				



AB Tricyclic alkylhydroxamates [I; wherein A = bond, CH₂O, CH₂S, CH₂CH₂, NHCO; X = amino, C(:O), CH(OH); Y = O, S, amino; Z = (substituted) (C₄-C₈)alkylene; R₁, R₂, independently = H, halogen, (C₁-C₄)alkyl, CF₃, OH, benzyloxy, (C₁-C₄)alkoxy, etc.] were prepd. For example, 7-(9H-carbazol-2-yloxy)heptanoic acid hydroxyamide, 1, was prepd. by a multistep procedure. The prepd. compds. have histone deacetylase (HDAC) inhibitor activity, and are inhibitors of cell proliferation. For example, compd. 1 exhibits 100% inhibition of HDAC at a concn. of 10 nM, using an aminocoumarin deriv. of an omega-acetylated lysine as substrate for the enzyme.

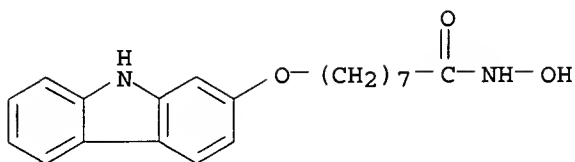
IT 473919-31-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tricyclic alkylhydroxamates as cell proliferation inhibitors)

RN 473919-31-2 CAPLUS

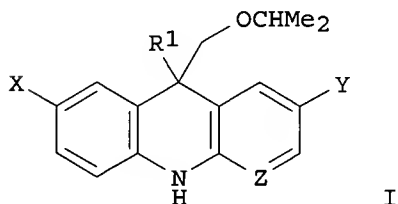
CN Octanamide, 8-(9H-carbazol-2-yloxy)-N-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:832620 CAPLUS
 DOCUMENT NUMBER: 137:337872
 TITLE: Tricyclic compounds useful as HIV reverse transcriptase inhibitors
 INVENTOR(S): Johnson, Barry L.; Rodgers, James D.; Lin, Qiyan; Srivastava, Anurag S.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 58 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085365	A1	20021031	WO 2002-US12208	20020417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002177603	A1	20021128	US 2002-124105	20020417
PRIORITY APPLN. INFO.:		US 2001-284818P P 20010419		
OTHER SOURCE(S):		MARPAT 137:337872		
GI				



AB Tricyclic compds. I [R1 = alkyl, haloalkyl; X, Y = F, Cl, Br, I, CN; Z = N, N(O)] and their stereoisomers were prepd. for use as inhibitors of HIV reverse transcriptase in treating viral infection or as assay std. or reagents in diagnostic kits (no data). Thus, 7-fluoro-5-trifluoromethylbenzo[b][1,8]naphthyridine was reductively cyanated, the nitrile group reduced to formyl which was converted to its diisopropylacetal, followed by reductive deisopropoxylation and chlorination to give I [R1 = CF3, X = F, Y = Cl, Z = N].

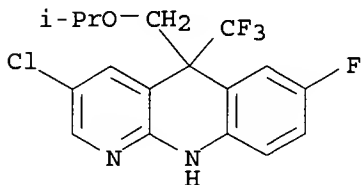
09/ 995,324

IT 473893-37-7P

RL: RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of polyfluoroalkyl(isopropoxymethyl)benzonaphthyridines as HIV reverse transcriptase inhibitors)

RN 473893-37-7 CAPLUS

CN Benzo[b][1,8]naphthyridine, 3-chloro-7-fluoro-1,5-dihydro-5-[(1-methylethoxy)methyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:831832 CAPLUS

DOCUMENT NUMBER: 137:337778

TITLE: Substituted carbazoles as tubulin polymerization inhibitors and their use for the treatment of cancer

INVENTOR(S): Caulfield, Thomas; Cherrier, Marie-Pierre; Combeau, Cecile; Mailliet, Patrick

PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.

SOURCE: Eur. Pat. Appl., 11 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

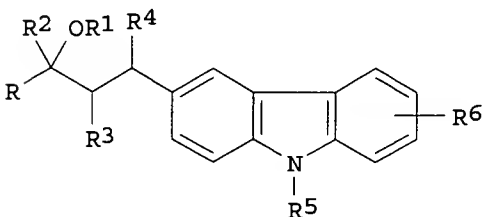
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1253141	A1	20021030	EP 2001-401097	20010427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			EP 2001-401097	20010427

GI



I

AB New alkoxyphenylcarbazolylpropen-1-ones I [R = (un)substituted Ph; R1 = R2 = H; R1R2 = bond; R3 = R4 = H; R3R4 = bond; R5 = alkyl; R6 = H, halogen, alkyl, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, (un)substituted NH2] were prepd. for use as tubulin polymn. and vascularization-inhibiting compds. in the treatment of cancer. Thus, 2,4-(MeO)2C6H3COME was treated

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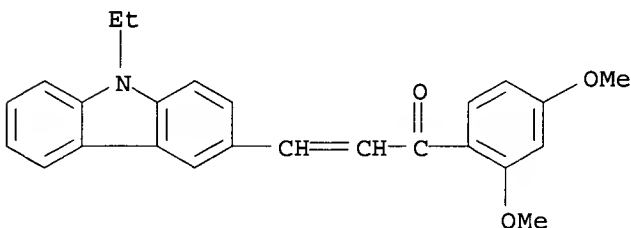
with 9-ethyl-9H-carbazole-2-carboxaldehyde to give I [R = 2,4-(MeO)2C6H3, R1R2, R3R4 = bond, R5 = Et, R6 = H] which had IC50 for tubulin polymn. inhibition of 2 .mu.M.

IT 473915-35-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study); BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of alkoxyphenylcarbazolylpropenones as tubulin polymn. inhibitors in treatment of cancer)

RN 473915-35-4 CAPLUS

CN 2-Propen-1-one, 1-(2,4-dimethoxyphenyl)-3-(9-ethyl-9H-carbazol-3-yl)-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:830255 CAPLUS

DOCUMENT NUMBER: 137:325406

TITLE: Preparation of aminoalkyl-substituted pyridino[2,3-b]indole and pyrimidino[4,5-b]indole derivatives as CRF1 specific ligands

INVENTOR(S): Horvath, Raymond F.; Darrow, James W.; Maynard, George D.

PATENT ASSIGNEE(S): Neurogen Corporation, USA

SOURCE: U.S., 21 pp., Cont.-in-part of U.S. 6,291,473.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

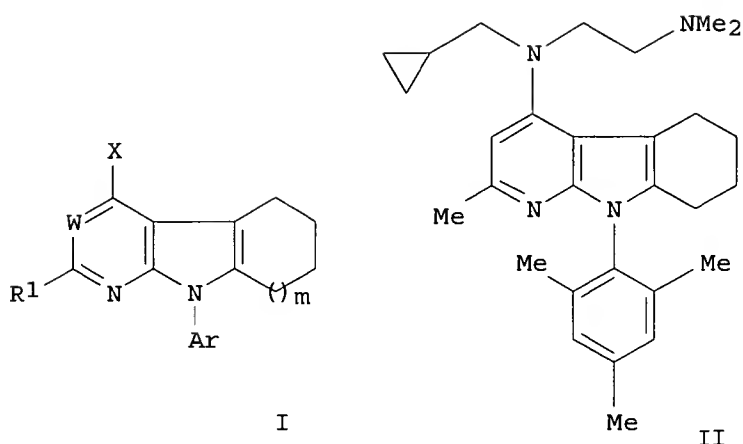
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

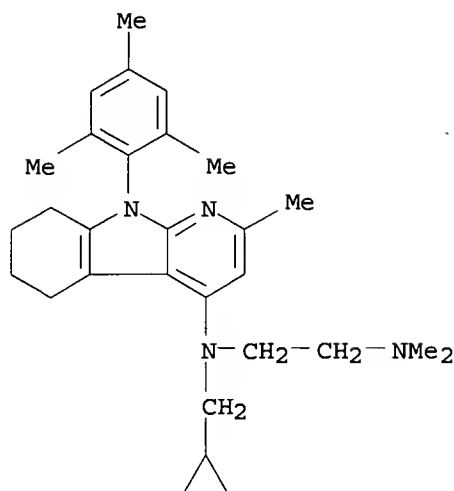
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6472402	B1	20021029	US 1999-408613	19990930
US 6291473	B1	20010918	US 1999-283723	19990401
PRIORITY APPLN. INFO.:			US 1998-80410P	P 19980402
			US 1999-283723	A2 19990401

OTHER SOURCE(S): MARPAT 137:325406

GI



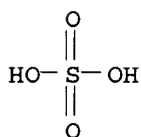
- AB Title compds. I [Ar = Ph, naphthyl, pyridyl, pyrimidinyl, halo, CF₃, OH, amino, carboxamido, alkyl, alkoxy, with the proviso that at least one of the positions ortho or para to the point of attachment of Ar to the tricyclic ring system is substituted; R₁ = H, halo, CF₃, alkyl; R₃ = H, alkyl; m = 0-2; X = substituted amino] were prepd. For instance, 2-Amino-4,5,6,7-tetrahydro-1-phenyl-1H-indole-3-carbonitrile (prepn. given) was subjected to the following sequence: i. 1,2-dichloroethane (DCE), 2-methoxypropene, pTsoH, reflux, 1 h; ii. DCE, c-C₃H₇COCl, (i-Pr)₂NEt, reflux; iv. THF, BH₃.bul.SMe₂, reflux, 8 h; v. DCE, ClCOCH₂Cl, reflux, 4 h; vi. THF, BH₃.bul.SMe₂, reflux, 1 h and vii. NMP, Me₂NH, 80.degree., 10 h (bomb) to afford II. Example compds. had IC₅₀ in the range of 0.5 nM to 10 .mu.M for the CRF1 receptor. I are useful for the treatment of anxiety, depression, etc.
- IT **473664-55-0P**, 4-[N-(2-Dimethylaminoethyl)-N-(cyclopropylmethyl)amino]-2-methyl-9-(2,4,6-trimethylphenyl)-5,6,7,8-tetrahydro-9H-pyridino[2,3-b]indole sulfate
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
 USES (Uses)
 (prepn. of aminoalkyl-substituted pyridino[2,3-b]indole and pyrimidino[4,5-b]indole derivs. as CRF1 specific ligands)
- RN **473664-55-0** CAPLUS
- CN 1,2-Ethanediamine, N-(cyclopropylmethyl)-N',N'-dimethyl-N-[6,7,8,9-tetrahydro-2-methyl-9-(2,4,6-trimethylphenyl)-5H-pyrido[2,3-b]indol-4-yl]-, sulfate (1:1) (9CI) (CA INDEX NAME)
- CM 1
- CRN 245549-35-3
- CMF C29 H40 N4



CM 2

CRN 7664-93-9

CMF H2 O4 S



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:826913 CAPLUS

TITLE: Property-Based Design of GPCR-Targeted Library

AUTHOR(S): Balakin, Konstantin V.; Tkachenko, Sergey E.; Lang, Stanley A.; Okun, Ilya; Ivashchenko, Andrey A.; Savchuk, Nikolay P.

CORPORATE SOURCE: Chemical Diversity Labs Inc., San Diego, CA, 92121, USA

SOURCE: Journal of Chemical Information and Computer Sciences (2002), 42(6), 1332-1342
CODEN: JCISD8; ISSN: 0095-2338

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The design of a GPCR-targeted library, based on a scoring scheme for the classification of mols. into "GPCR-ligand-like" and "non-GPCR-ligand-like", is outlined. The methodol. is a valuable tool that can aid in the selection and prioritization of potential GPCR ligands for bioscreening from large collections of compds. It is based on the distn. of knowledge from large databases of GPCR and non-GPCR active agents. The method employed a set of descriptors for encoding the mol. structures and by training of a neural network for classifying the mols. The mol. requirements were profiled and validated by using available databases of GPCR- and non-GPCR-active agents. The method enables efficient qualification or disqualification of a mol. as a potential GPCR ligand and

09/ 995,324

represents a useful tool for constraining the size of GPCR-targeted libraries that will help speed up the development of new GPCR-active drugs.

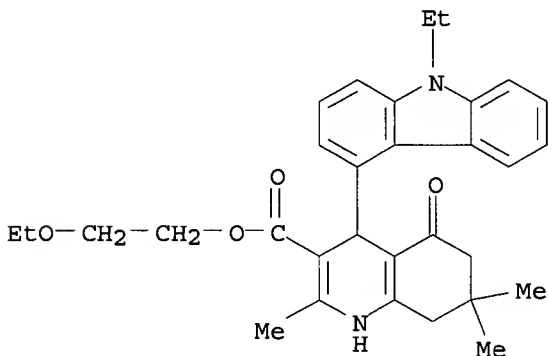
IT INDEXING IN PROGRESS

IT 478932-84-2

RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL** (Biological study); USES (Uses)
(property-based design of GPCR-targeted library)

RN 478932-84-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:782633 CAPLUS

DOCUMENT NUMBER: 138:29117

TITLE: Medicinal preparation for parenteral usage

INVENTOR(S): Alekseeva, L. E.; Kovalenko, A. L.

PATENT ASSIGNEE(S): Obshchestvo s Ogranichennoi Otvetstvennost'yu
Nauchno-Tekhnologicheskaya Farmatsevticheskaya Firma
"POLISAN", Russia

SOURCE: Russ., No pp. given

CODEN: RUXXE7

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2182004	C1	20020510	RU 2001-104859	20010222

PRIORITY APPLN. INFO.: RU 2001-104859 20010222

AB The prepn. contains as an active substance 1-desoxy-1-N-[methyl-(2-acridon-9-on-10-yl-acetate)]-ammonium-D-glucitol (I), and as a stabilizer N-methylglucamine (II), as a solvent, water for injections (III) at the following ratio of components, wt. %: I: 8.5-25.0%, II: 0.05- 1.00%, III: up to 100%. There is increased biol. activity of the prepn. and stability of its medicinal form during prodn. and storage processes.

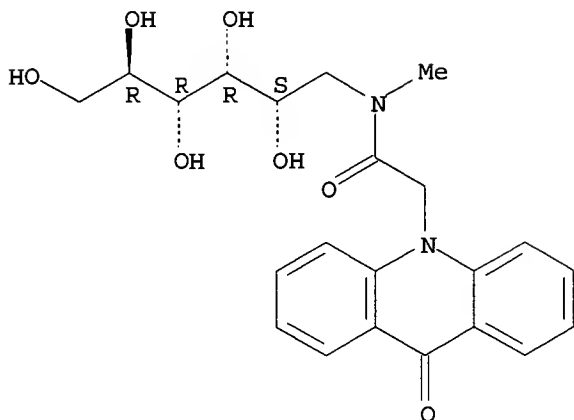
IT 477836-36-5

RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); **BIOL** (Biological study); PROC (Process); USES (Uses)
(medicinal prepn. for parenteral usage)

RN 477836-36-5 CAPLUS

CN D-Glucitol, 1-deoxy-1-[methyl[(9-oxo-10(9H)-acridinyl)acetyl]amino]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 21 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:777927 CAPLUS

DOCUMENT NUMBER: 137:290986

TITLE: Viologen linked acridine based molecule and process for the preparation thereof

INVENTOR(S): Danaboyina, Ramaiah; Nadukkudy, Varghese Eldho; Joshy, Joseph

PATENT ASSIGNEE(S): Council of Scientific and Industrial Research, India

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079191	A1	20021010	WO 2001-IN67	20010330

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2001-IN67 20010330

OTHER SOURCE(S): MARPAT 137:290986

AB Bifunctional mols. based on viologen-linked acridines or derivs. thereof, which can be used as phototherapeutic and catalytic photoactivated DNA cleaving agents, and a process for their prepn. are claimed. 1-[(Acridin-9-yl)methyl]-1'-butyl-4,4'-bipyridinium dibromide, for example, is shown to induce DNA photodamage through photoinduced electron transfer.

IT 467419-11-0P

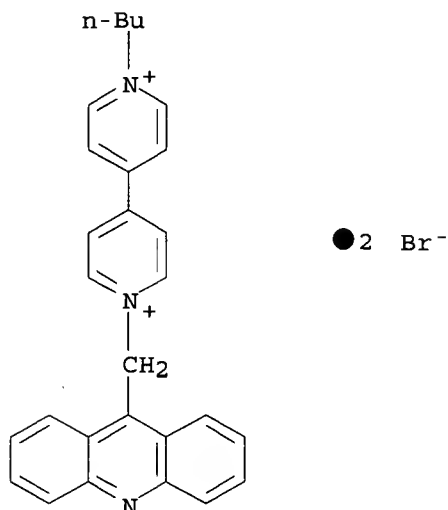
RL: NUU (Other use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

USES (Uses)

(viologen-linked acridines as catalytic photoactivated DNA cleaving agents)

RN 467419-11-0 CAPLUS

CN 4,4'-Bipyridinium, 1-(9-acridinylmethyl)-1'-butyl-, dibromide (9CI) (CA
INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:777892 CAPLUS
DOCUMENT NUMBER: 137:279090
TITLE: Substituted carbazoles as inhibitors of sPLA2
INVENTOR(S): Harper, Richard Waltz; Lin, Ho-Shen; Richett, Michael
Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079154	A1	20021010	WO 2002-US6636	20020315
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-279300P P 20010328

OTHER SOURCE(S): CASREACT 137:279090; MARPAT 137:279090

AB Carbazoles with hydroxy-functional amide (hydroxamic or esters) are disclosed together with using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of conditions such as septic shock. Seven carbazoles, N-alkoxy-N-(5-carbamoyl-9-benzyl-4-carbazolyloxy)acetamides (alkoxy = MeO, EtO, PhCH₂O), their derivs. and analogs, were prepd. by amidation of 9-benzyl-5-carbamoyl-4-carbazolylacetic acid sodium salt with O-alkoxy hydroxylamine

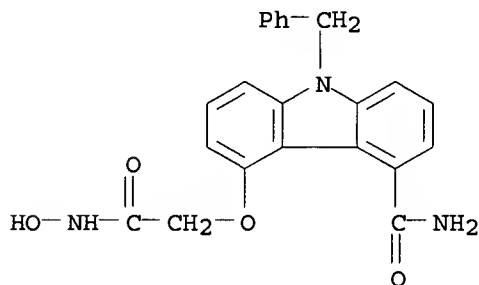
hydrochlorides in 50-88% yields. The carbazoles gave IC₅₀ (nM) values of 12.0-29.0 against sPLA₂.

IT 466635-42-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of carbazolyloxyacetamide sPLA₂ inhibitors)

RN 466635-42-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(hydroxyamino)-2-oxoethoxy]-9-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 23 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:777702 CAPLUS

DOCUMENT NUMBER: 137:273187

TITLE: Succinimide and maleimide derivatives and their use as topoisomerase II catalytic inhibitors

INVENTOR(S): Jensen, Peter Buhl; Sokilde, Birgitte; Carstensen, Elisabeth Vang; Langer, Seppo W.; Creighton, Andrew; Sehested, Maxwell; Jensen, Lars Hollund

PATENT ASSIGNEE(S): Topo Target Aps, Den.

SOURCE: PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078679	A2	20021010	WO 2002-DK213	20020327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: DK 2001-522 A 20010329

OTHER SOURCE(S): MARPAT 137:273187

AB Maleimide and succinimide derivs. were effective topoisomerase II catalytic inhibitors. Due to this property, the maleimide and succinimide derivs. were investigated for their use as cytostatic agents and thus in the treatment of cancer. The compds. of the invention can be used in combination treatments with other cytostatic agents, such as topoisomerase II poisons. The maleimide and succinimide derivs., due to their effective

topoisomerase II catalytic inhibitory activity, are also useful as extravasation agents, such as upon administration of a topoisomerase II poison.

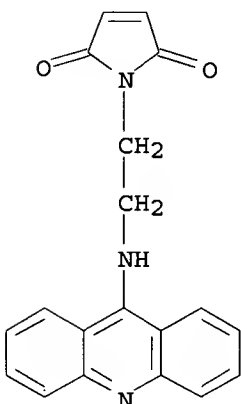
IT **466640-64-2D**, derivs.

RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL** (Biological study); USES (Uses)

(succinimide and maleimide derivs. and use as topoisomerase II catalytic inhibitors for treatment of cancer and as extravasation agents and combination with other cytostatic agents)

RN 466640-64-2 CAPLUS

CN 1H-Pyrrole-2,5-dione, 1-[2-(9-acridinylamino)ethyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 24 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:754544 CAPLUS

DOCUMENT NUMBER: 137:275021

TITLE: Oligonucleotide conjugates with aromatic groups for binding to telomerase RNA and inhibition of human telomerase

INVENTOR(S): Gryaznov, Sergei; Pongracz, Krisztina; Tolman, Richard L.; Morin, Gregg B.

PATENT ASSIGNEE(S): Geron Corporation, USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002077184	A2	20021003	WO 2002-US9138	20020321

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-278322P P 20010323

AB Oligonucleotide conjugates, where an oligonucleotide is covalently attached to an arom. system are provided. In particular embodiments, the

oligonucleotide, complementary to the RNA component of telomerase, is attached to a fluorophore. The conjugates inhibit telomerase enzyme activity. Thus, thiophosphoramidate-linked 5'-TTAGGG-3' conjugated with fluorescein exhibited an IC₅₀ of 3 nM in in vitro telomerase assays. In HME50 and Caki-1 cells this conjugate had an IC₅₀ of 5 .mu.M.

IT **467213-41-8**

RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

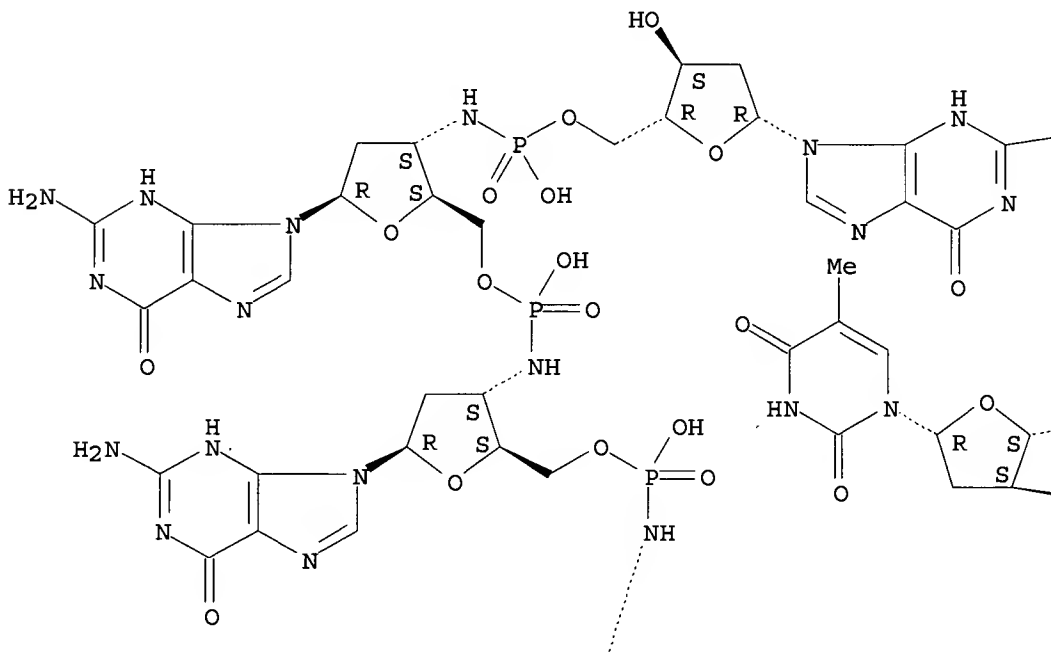
(oligonucleotide conjugates with arom. groups for binding to telomerase RNA and inhibition of human telomerase)

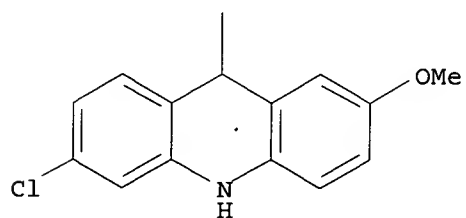
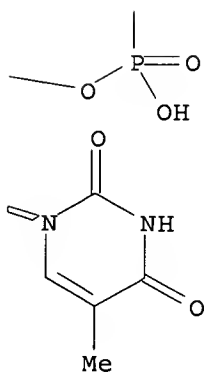
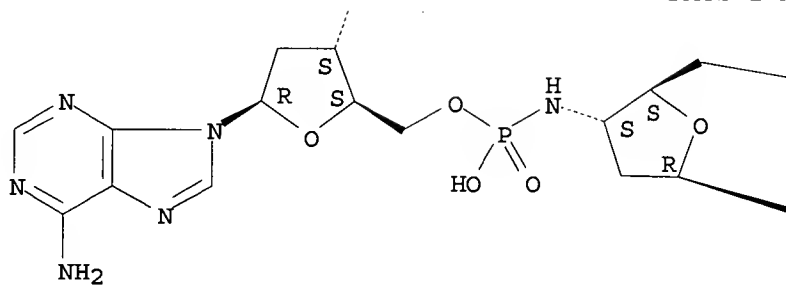
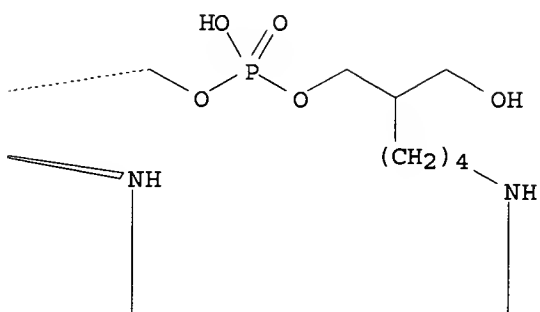
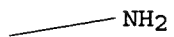
RN 467213-41-8 CAPLUS

CN Guanosine, 3'-amino-5'-O-[[[6-[(6-chloro-9,10-dihydro-2-methoxy-9-acridinyl)amino]-2-(hydroxymethyl)hexyl]oxy]hydroxyphosphinyl]-3'-deoxythymidyl-(3'.fwdarw.5')-3'-amino-3'-deoxythymidyl-(3'.fwdarw.5')-3'-amino-2',3'-dideoxyadenyl-(3'.fwdarw.5')-3'-amino-2',3'-dideoxyguanylyl-(3'.fwdarw.5')-3'-amino-2',3'-dideoxyguanylyl-(3'.fwdarw.5')-2'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

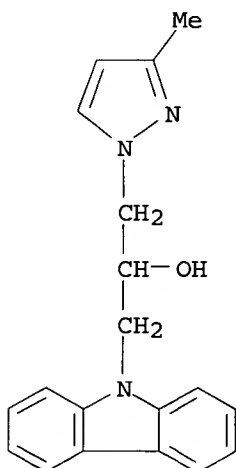




09/ 995,324

ACCESSION NUMBER: 2002:754195 CAPLUS
DOCUMENT NUMBER: 137:257697
TITLE: Compounds capable of modulating the activity of
multidrug transporters, and therapeutic use
INVENTOR(S): Gudkov, Andrei; Kondratov, Roman
PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois,
USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076439	A2	20021003	WO 2002-US8896	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2001-278218P	P 20010323
			US 2001-300023P	P 20010621
AB Methods of modulating the activity of multidrug transporters are disclosed. The methods use compds. that selectively increase or decrease the efflux capabilities of the multidrug transporter. The methods can be used therapeutically to enhance performance of therapeutic drugs, e.g. chemotherapeutic drugs and antibiotics; to promote detoxification of cells and tissues; and to increase or decrease the efficacy of the blood-brain barrier or placental barrier.				
IT 463934-53-4 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (compds. modulating activity of multidrug transporters, and therapeutic use)				
RN 463934-53-4 CAPLUS				
CN 9H-Carbazole-9-ethanol, .alpha.-[(3-methyl-1H-pyrazol-1-yl)methyl]- (9CI) (CA INDEX NAME)				



L12 ANSWER 26 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:743728 CAPLUS
 DOCUMENT NUMBER: 138:150
 TITLE: Effect of Spermine Conjugation on the Cytotoxicity and Cellular Transport of Acridine
 AUTHOR(S): Delcros, Jean-Guy; Tomasi, Sophie; Carrington, Simon; Martin, Benedicte; Renault, Jacques; Blagbrough, Ian S.; Uriac, Philippe
 CORPORATE SOURCE: Faculte de Medecine, Groupe de Recherche en Therapeutiques Anticancereuses, Universite Rennes 1, UPR ESA CNRS 6027, Rennes, 35043, Fr.
 SOURCE: Journal of Medicinal Chemistry (2002), 45(23), 5098-5111
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

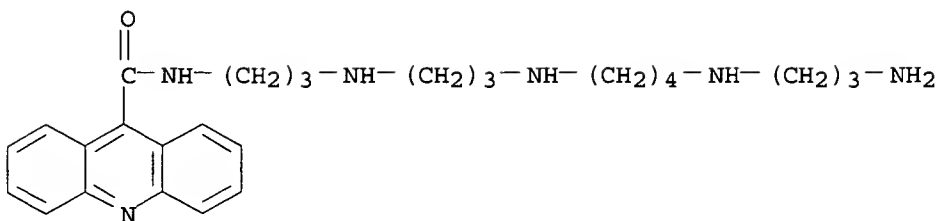
AB Polyamines are believed to be potent vectors for the selective delivery of chemotherapeutic agents into cancer cells. In this paper, we report the effect of spermine conjugation on the cytotoxic and transport properties of acridine. Six derivs., composed of a spermine chain attached at its N1 position to an acridine via an aliph. chain, were synthesized. The aliph. linker, comprised of 3-5 methylene units, was connected to the position-9 of the heterocycle through either an amide or an amine linkage. Independently of their architecture, all ligands showed a high affinity for DNA binding but a limited DNA sequence selectivity. In a whole cell assay with L1210 and Chinese hamster ovary (CHO) cells, the aminoacridines (IC50 values around 2 .mu.M) were more potent than the amidoacridines (IC50 values between 20 and 40 .mu.M). This was related to a less efficient transport for the latter. As detd. from competitive uptake studies with [14C]spermidine, all conjugates had a high affinity for the polyamine transport system (PTS). However, on the basis of competitive studies with an excess of spermidine and on the differential effect on cell growth and accumulation in CHO and in the mutant PTS deficient CHO-MG cells, the accumulation of the conjugates through the PTS was poor but still more efficient for the aminoacridines. .alpha.-Difluoromethylornithine (DFMO), an inhibitor of ornithine decarboxylase, which induces an up-regulation of the activity of the PTS, enhanced accumulation of all acridine conjugates through the PTS and had a synergistic effect on the potency of the acridine conjugates to inhibit cell growth. Despite their high affinity for the PTS, the low amt. of derivs. transiting through the PTS is likely to be related to their ability to repress rapidly and efficiently the activity of the PTS and, consequently, to inhibit their own uptake via this system.

IT 476447-02-6P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
 PREP (Preparation); USES (Uses)
 (spermine conjugation on cytotoxicity and cellular transport of acridine)

RN 476447-02-6 CAPLUS

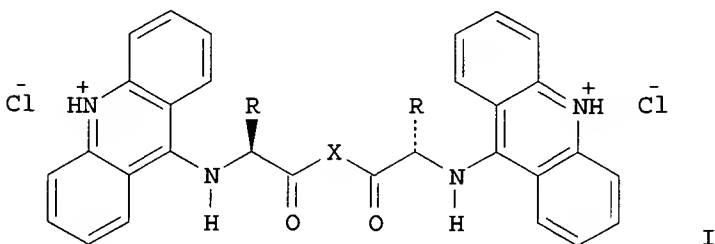
CN 9-Acridinecarboxamide, N-[3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]propyl]-, pentahydrochloride (9CI) (CA INDEX NAME)



●5 HCl

REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 27 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:720451 CAPLUS
 DOCUMENT NUMBER: 137:232535
 TITLE: Bis-acridinylated derivatives of bis-aminoacyldiamines
 AUTHOR(S): Lyakhov, S. A.; Suveizdis, Ya. I.; Khomenko, O. A.;
 Mazepa, A. V.; Litvinova, L. A.; Andronati, S. A.
 CORPORATE SOURCE: Fiz.-Khim. Inst. im. A. V. Bogatskogo, NAN Ukr.,
 Odessa, Ukraine
 SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition)
 (2001), 67(5-6), 36-39
 CODEN: UKZHAU; ISSN: 0041-6045
 PUBLISHER: Institut Obshchei i Neorganicheskoi Khimii im. V. I.
 Vernadskogo NAN Ukrainy
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI



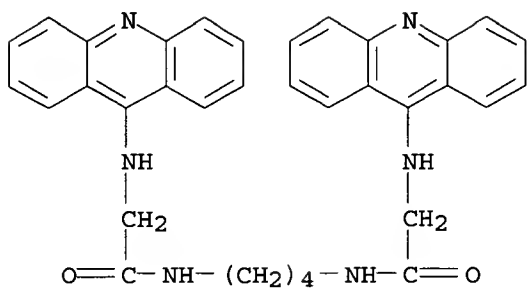
AB Bis[(acridin-9-yl)aminoacyl]diamine dihydrochlorides I [R = H, Me, Me₂CH, PhCH₂, etc.; X = HN(CH₂)₂NH, HN(CH₂)₆NH, 1,4-piperazino, etc.] were prepd. via a routine procedure of peptide synthesis followed by condensation with 9-methoxyacridine. All compds. I demonstrated the cytostatic activity towards the side-root growth. The cytotoxic activity of alanine-based compds. I (R = Me; X = HNCH₂CMe₂CH₂NH, HN(CH₂)₄NH, HN(CH₂)₆NH) exceeded that of the known cytostatic 1,6-bis(acridin-9-ylamino)hexane.

IT 459165-97-0P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of root cytotoxic bis(acridinylaminoacetyl)diamines)

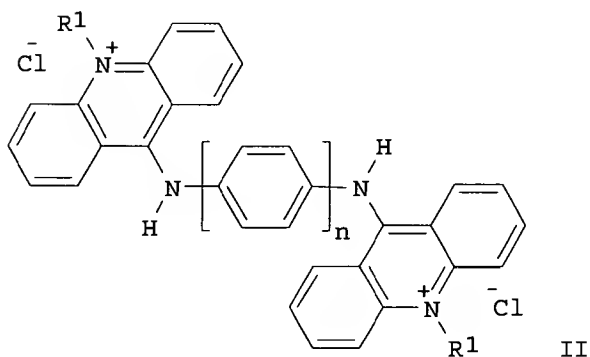
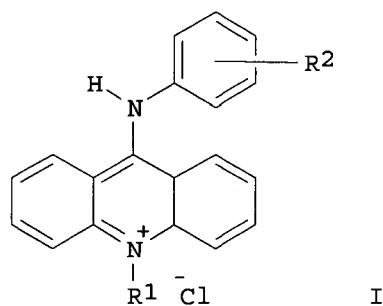
RN 459165-97-0 CAPLUS

CN Acetamide, N,N'-1,4-butanediylbis[2-(9-acridinylamino)-, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl

L12 ANSWER 28 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:719880 CAPLUS
 DOCUMENT NUMBER: 137:232532
 TITLE: Synthesis and cytostatic activity of
 (9-anilino)-10-alkylacridinium salts
 AUTHOR(S): Suveyzdis, Ya. I.; Kostenchuk, M. N.; Rusakova, M. Yu.
 CORPORATE SOURCE: Fiz.-Khim. Inst. im . A. V. Bogatskogo, NAN, Ukraine
 SOURCE: Farmatsevtichnii Zhurnal (Kiev) (2000), (5), 59-64
 CODEN: FRZKAP; ISSN: 0367-3057
 PUBLISHER: Zdorov'ya
 DOCUMENT TYPE: Journal
 LANGUAGE: Ukrainian
 GI



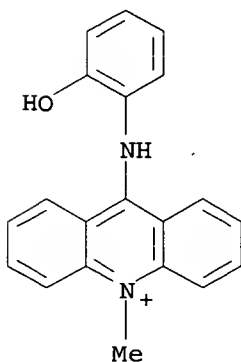
AB A series of (9-anilino)-10-alkylacridinium salts I (R1 = Me, Et, hexyl, etc.; R2 = H, 4-Me, 2-Br, 3-HO₂C, 3-O₂N, etc.) and bis(acridinium salts) II (n = 1, 2) were synthesized by alkylation of acridone followed with chlorodeoxygenation of the obtained 10-alkylacridones and condensation with aryl amines. The root cytostatic activity of I and II was investigated. The effect of a substituent at the aniline ring on the cytotoxicity exceeded that of a 9-acridinium substituent, with the most cytotoxic comps. being I (R2 = 4-Me, 4-Br).

IT 457055-56-0P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of root cytotoxic (phenylamino)alkylacridinium salts)

RN 457055-56-0 CAPLUS

CN Acridinium, 9-[(2-hydroxyphenyl)amino]-10-methyl-, chloride (9CI) (CA INDEX NAME)



Cl⁻

L12 ANSWER 29 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:716267 CAPLUS

DOCUMENT NUMBER: 137:247716

TITLE: Preparation and use of substituted piperazine/piperidine derivatives as H receptor antagonists

INVENTOR(S): Rosenblum, Stuart B.; Zeng, Qingbei; Mutahi, Mwangi Wa; Aslanian, Robert G.; Ting, Pauline C.; Shih, Neng-Yang; Solomon, Daniel M.; Cao, Jianhua; Vaccaro, Henry A.; McCormick, Kevin D.; Baldwin, John J.; Li, Ge

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacoepia, Inc.

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072570	A2	20020919	WO 2002-US7106	20020311
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,				

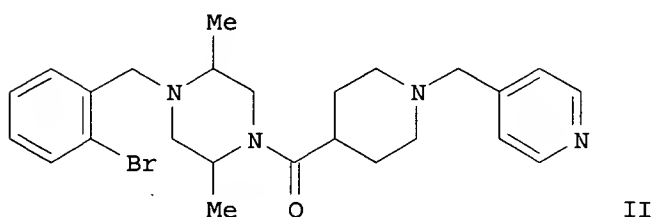
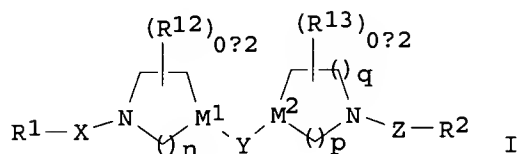
09/ 995,324

MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-275417P P 20010313

OTHER SOURCE(S): MARPAT 137:247716

GI



AB Title compds. I [R = (hetero)aryl, heterocycloalkyl, alkyl, carboxamido, etc.; X = alkyl, S(O)₂; Y = bond, CO, CS, alkyl, amido, etc.; M = C, N; Z = alkyl, SO₂, CO, carboxamido; R = 5-6 membered heteroaryl, alkyl, aryl, etc.; R = alkyl, OH, alkoxy, F, etc.; n, p, q = 1-3; with some provisions] were prepd. For instance, 2,5-dimethylpiperazine was alkylated with 2-bromobenzaldehyde (CH₂Cl₂, NaHB(OAc)₃) and subsequently acylated with N-Boc-isonipecotic acid (CH₂Cl₂, PyBOP, i-Pr₂NEt). The resulting intermediate was deprotected and reductively alkylated with pyridine-4-carboxaldehyde to afford. Selected example compds. had Ki within 0.2 and 600 nM for the H₃ receptor. : I, alone and in combination with a H₁ receptor antagonist, are used for the treatment of various diseases or conditions, such as, allergy, allergy-induced airway responses and congestion (e.g., nasal congestion).

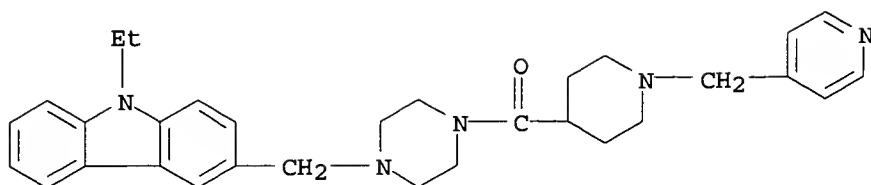
IT 460093-19-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(H₃ receptor antagonist; prepn. and use of substituted piperazine/piperidine derivs. as H receptor antagonists)

RN 460093-19-0 CAPLUS

CN Piperazine, 1-[(9-ethyl-9H-carbazol-3-yl)methyl]-4-[[1-(4-pyridinylmethyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 30 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:716129 CAPLUS

DOCUMENT NUMBER: 137:268424

TITLE: Gene carriers with the use of polysaccharide and process for producing the same

INVENTOR(S): Kimura, Taro; Mizu, Masami; Sakurai, Kazuo; Shinkai, Seiji; Koumoto, Kazuya

PATENT ASSIGNEE(S): Japan Science and Technology Corporation, Japan

SOURCE: PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072152	A1	20020919	WO 2002-JP2228	20020311
W: AU, JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				

PRIORITY APPLN. INFO.: JP 2001-69655 A 20010313
 JP 2001-130705 A 20010427

AB Disclosed are gene carriers with the use of .beta.-1,3-glucans. A .beta.-1,3-glucan has at least one 1,6-glucopyranoside branch in its repeating unit. It is chem. modified by oxidn. with periodic acid and reductive amination, etc. to thereby acquire a functional group bonding to nucleic acid (for example, a cationic functional group) at least in a part of its branch. By dissolving in a polar org. solvent, .beta.-1,3-glucan triple helix is disassembled into single strands. By replacing the polar org. solvent contg. the chem. modified single-stranded .beta.-1,3-glucan by water, a complex (gene carrier) composed of the acid bonded to the double-stranded .beta.-1,3-glucan is formed. Schizofiran was modified with 2-aminoethanol and its dimethylsulfoxide soln. was mixed with poly(C) buffer soln. to obtain a conjugate.

IT **460083-08-3DP**, reaction products with schizofiran, conjugates with nucleic acids

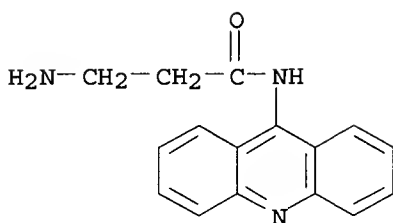
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation);

USES (Uses)

(gene carriers contg. .beta.-1,3-glucan derivs.)

RN 460083-08-3 CAPLUS

CN Propanamide, N-9-acridinyl-3-amino- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 31 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:716087 CAPLUS

DOCUMENT NUMBER: 137:232569

TITLE: Preparation of acridinylpropanediaminess as stimulators of Fas-mediated apoptosis

INVENTOR(S): Villar, Hugo O.; Laborde, Edgardo

PATENT ASSIGNEE(S): Telik, Inc., USA

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072096	A1	20020919	WO 2002-US7031	20020307

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002169183	A1	20021114	US 2002-82801	20020222
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PRIORITY APPLN. INFO.: US 2001-274535P P 20010308

OTHER SOURCE(S): MARPAT 137:232569

AB R2R3N(CH2)3NR4R5 [R2 = (un)substituted 9-acridinyl; R3-R5 = H, alkyl, alkanoyl, aryl, etc.] were prepd. Thus, 9-chloroacridine was aminated by H2N(CH2)3NET2 to give R2NH(CH2)3Net2 (I; R2 = 9-acridinyl). Data for biol. activity of I were given.

IT **459124-12-0P**, 9-[(3-Acetylaminopropyl)(benzoyl)amino]acridine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

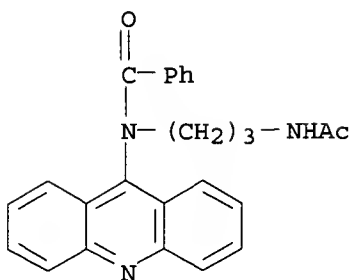
(Therapeutic use); **BIOL (Biological study)**; PREP (Preparation);

USES (Uses)

(prepn. of acridinylpropanediaminess as stimulators of Fas-mediated apoptosis)

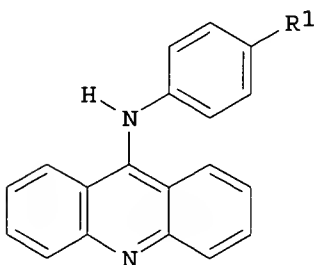
RN 459124-12-0 CAPLUS

CN Benzamide, N-[3-(acetylamino)propyl]-N-9-acridinyl- (9CI) (CA INDEX NAME)

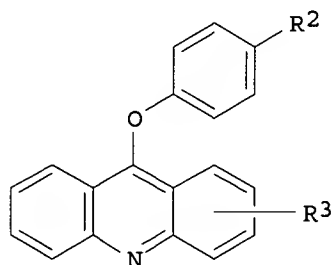


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 32 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:680223 CAPLUS
 DOCUMENT NUMBER: 137:352880
 TITLE: Synthesis and Antiinflammatory Evaluation of
 9-Anilinoacridine and 9-Phenoxyacridine Derivatives
 AUTHOR(S): Chen, Yeh-Long; Lu, Chih-Ming; Chen, I-Li; Tsao,
 Lo-Ti; Wang, Jih-Pyang
 CORPORATE SOURCE: School of Chemistry, Kaohsiung Medical University,
 Kaohsiung City, 807, Taiwan
 SOURCE: Journal of Medicinal Chemistry (2002), 45(21),
 4689-4694
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I



II

AB Two types of acridines, 9-anilinoacridines I ($R_1 = \text{MeCO}$, HON:CMe , MeON:CMe , MeCOCH_2O) and 9-phenoxyacridines II [$R_2 = \text{MeCO}$, $R_3 = \text{H}$, 2-Cl, 2-MeO, 4-MeO; $R_2 = \text{CHO}$, (E)- MeCOCH:CH , $R_3 = \text{H}$], were synthesized by reaction of 9-chloroacridines with appropriate anilines or phenols, resp. The inhibitory potencies of I and II against activation of mast cells, neutrophils, and macrophages, which are implicated in the pathogenesis of acute and chronic inflammatory diseases, were studied. Acridines I ($R_1 = \text{MeON:CMe}$) and II ($R_2 = \text{MeCO}$, $R_3 = 4\text{-MeO}$; $R_2 = \text{CHO}$, $R_3 = \text{H}$) were more potent than the ref. inhibitor mepacrine for the inhibition of rat peritoneal mast cell degranulation with similar IC_{50} values (16-21 μM). I ($R_1 = \text{HON:CMe}$) also showed potent inhibitory activity ($\text{IC}_{50} = 8.2$ and 4.4 μM , resp.) for the secretion of lysosomal enzyme and β -glucuronidase from neutrophils, whereas I ($R_1 = \text{MeCOCH}_2\text{O}$) and II ($R_2 = \text{MeCO}$; $R_3 = 2\text{-MeO}$) were shown to be efficacious inhibitors of $\text{TNF-}\alpha$ prodn. in macrophage-like cell lines RAW 264.7. Acridines I ($R_1 = \text{MeCO}$) and II [$R_2 = (\text{E})\text{-MeCOCH:CH}$, $R_3 = \text{H}$; (III)] were the potent

inhibitors of TNF-.alpha. prodn. in murine microglial cell lines N9. To further explore the cytotoxic properties of these acridines, III was selected for NCI's in vitro disease-oriented tumor cells screen. The results indicated that III had no significant cytotoxicity with an av. GI50 of 58.0 .mu.M. Thus, it was shown that antiinflammatory effects of I and II were mediated, at least in part, through the suppression of chem. mediators released from mast cells, neutrophils, and macrophages and that these compds. have the potential to be novel antiinflammatory agents with no significant cytotoxicity.

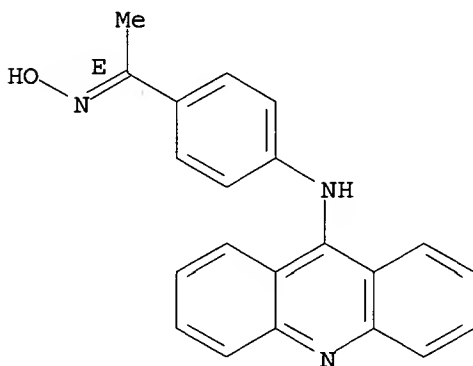
IT 474686-52-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of anti-inflammatory anilinoacridines and phenoxyacridines via reaction of chloroacridines with anilines or phenols)

RN 474686-52-7 CAPLUS

CN Ethanone, 1-[4-(9-acridinylamino)phenyl]-, oxime, monohydrochloride, (1E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 33 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:675838 CAPLUS

DOCUMENT NUMBER: 137:216934

TITLE: Preparation of fused cyclic succinimide compounds and analogs thereof, as modulators of nuclear hormone receptor function

INVENTOR(S): Salvati, Mark E.; Attar, Ricardo M.; Gottardis, Marco M.; Balog, James A.; Pickering, Dacia A.; Martinez, Rogelio L.; Sun, Chongqing

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 331 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067939	A1	20020906	WO 2002-US5302	20020220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

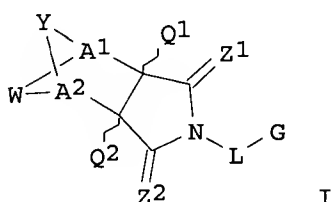
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

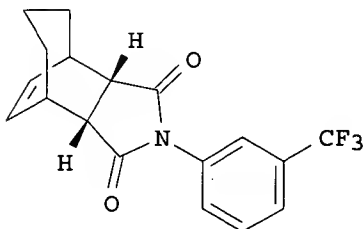
PRIORITY APPLN. INFO.: US 2001-271672P P 20010227

OTHER SOURCE(S): MARPAT 137:216934

GI



I



II

AB Title compds. I [G = (un)substituted cycloalkenyl, aryl or heterocyclo (mono or polycyclic); Z1 and Z2 independently = O, S, NH or substituted amine; L = bond, substituted alkyl chain, NH, substituted amine; A1 and A2 independently = CR1 or N when Y = J-J'-J' where J = (CR1R1')_n with n = 0-3, J' = bond, carbonyl, CR1R1', R2P:O, R2P:S, etc., and W = CR1R1'-CR1R1', CR3:CR3', (un)substituted cycloalkyl, etc.; or when Y is absent A1 and A2 independently = CR1R1' or NR1; or when Y is absent A1, A2 and W together form -NR1-N:N-; Q1 and Q2 independently = H, (un)substituted alkyl, alkenyl, cycloalkyl, etc.; R1 and R1' independently = H, (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, amino, halo, CN, etc.; R2 = (un)substituted alkyl, cycloalkyl, cycloalkenyl, heterocyclo, aryl, arylalkyl, etc.; R3 and R3' independently = H, (un)substituted alkyl, alkenyl, CN, halo, nitro, amino, etc.] are prepd. and methods of using such compds. in the treatment of nuclear hormone receptor-assocd. conditions, and pharmaceutical compns. contg. such compds are disclosed. Thus, II was prepd. by cyclocondensation of (3a.alpha.,4.beta.,8.beta.,8a.alpha.)-4,5,6,7,8,8a-hexahydro-4,8-etheno-1H-cyclohepta[c]furan-1,3(3aH)dione (prepn. given) with 3-(trifluoromethyl)aniline. Combinatorial methods of prepg. compds. of formula I are also provided. As modulators of nuclear hormone receptor function, the use of I as potential anticancer agents and for treatment of immune disorders is claimed (no data).

IT 455273-06-0P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

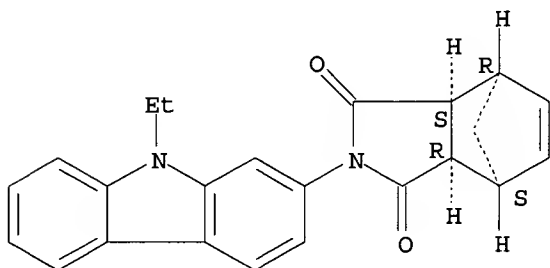
(target compd.; prepn. of combinatorial libraries of substituted fused

cyclic isoindolediones as modulators of nuclear hormone receptor function)

RN 455273-06-0 CAPLUS

CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-(9-ethyl-9H-carbazol-2-yl)-3a,4,7,7a-tetrahydro-, (3aR,4S,7R,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 34 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:669463 CAPLUS

DOCUMENT NUMBER: 137:195543

TITLE: Indole derivatives from Malassezia yeast with inhibitory effect on phenol oxidase

INVENTOR(S): Mayser, Peter; Steglich, Wolfgang; Kraemer, Hans-Joachim; Irlinger, Bernhard

PATENT ASSIGNEE(S): Germany

SOURCE: Ger. Offen., 6 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10109005	A1	20020905	DE 2001-10109005	20010223
WO 2002068389	A2	20020906	WO 2002-EP1920	20020223
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: DE 2001-10109005 A 20010223

OTHER SOURCE(S): MARPAT 137:195543

AB The invention concerns indole derivs. with phenol oxidase inhibitory activity that are produced by Malassezia and can be used as agents to treat hyperpigmentation, malignant and semimalignant melanocytes and to inhibit their proliferation. Malassezia furfur is grown on tryptophane-rich medium; indole derivs. are isolated by extn. and chromatog. The indole derivs. can be used in topical formulations in combination with other active substances, e.g. antioxidants, sunscreens, vitamins etc.

IT 454222-42-5

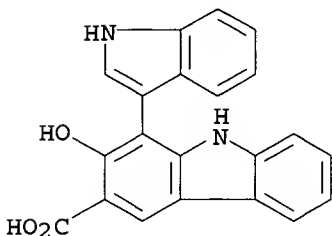
09/ 995,324

RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL**
(**Biological study**); USES (Uses)

(indole derivs. from Malassezia yeast with inhibitory effect on phenol
oxidase)

RN 454222-42-5 CAPLUS

CN 9H-Carbazole-3-carboxylic acid, 2-hydroxy-1-(1H-indol-3-yl)- (9CI) (CA
INDEX NAME)



L12 ANSWER 35 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:661429 CAPLUS

DOCUMENT NUMBER: 137:332744

TITLE: Acridine Conjugates of 3-Clip-Phen: Influence of the
Linker on the Synthesis and the DNA Cleavage Activity
of Their Copper Complexes

AUTHOR(S): Boldron, Christophe; Ross, Steven A.; Pitie,
Marguerite; Meunier, Bernard

CORPORATE SOURCE: Laboratoire de Chimie de Coordination, CNRS, Toulouse,
31077, Fr.

SOURCE: Bioconjugate Chemistry (2002), 13(5), 1013-1020

CODEN: BCCHES; ISSN: 1043-1802

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB To increase the DNA cleavage activity and the cell delivery of the
bis(phenanthroline) DNA cleaver "3-Clip-Phen", conjugates between
3-Clip-Phen and the intercalators acridine and 6-chloro-2-methoxyacridine,
through amino acid linkers of various length, were prepd. After
complexation with CuCl₂, the ability of these conjugates to cleave .PHI.X
174 DNA in the presence of a reductant and air was compared. The results
indicated that (i) the coupling of 3-Clip-Phen to an acridine deriv.
increased the DNA cleavage efficiency of the copper complexes, (ii) the
acridine derivs. were more active than 6-chloro-2-methoxyacridine derivs.,
(iii) the linker length influenced cleavage efficiency, the highest DNA
cleavage activity being obtained for an aminocaproic spacer.

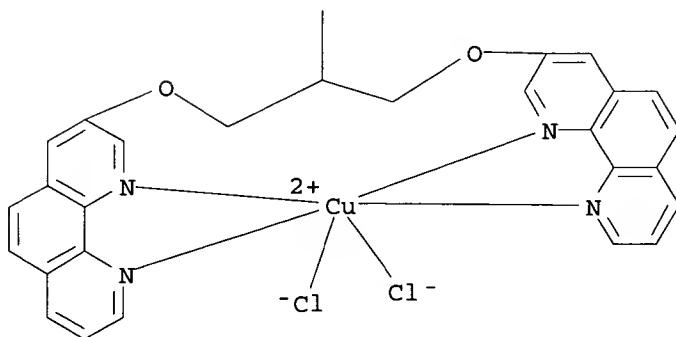
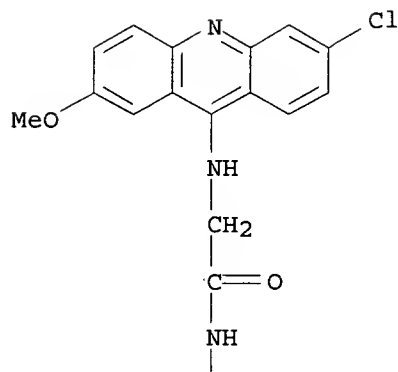
IT 473925-41-6

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
BIOL (**Biological study**)

(acridine conjugates of 3-Clip-Phen: influence of linker on synthesis
and the DNA cleavage activity of their copper complexes)

RN 473925-41-6 CAPLUS

CN Copper, dichloro[2-[(6-chloro-2-methoxy-9-acridinyl)amino]-N-[2-[(1,10-
phenanthrolin-3-yl-.kappa.N1,.kappa.N10)oxy]-1-[[[(1,10-phenanthrolin-3-yl-
.kappa.N1,.kappa.N10)oxy)methyl]ethyl]acetamide]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:655964 CAPLUS
 DOCUMENT NUMBER: 137:190369
 TITLE: Hair dyes containing cationic quinolinium direct dyes
 PATENT ASSIGNEE(S): Wella A.-G., Germany
 SOURCE: Ger. Gebrauchsmusterschrift, 25 pp.
 CODEN: GGXXFR
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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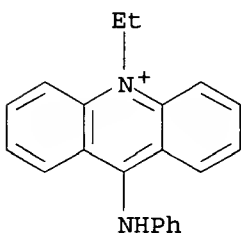
DE 20204129 U1 20020829 DE 2002-20204129 20020315
PRIORITY APPLN. INFO.: DE 2002-20204129 20020315
OTHER SOURCE(S): MARPAT 137:190369

AB The invention concerns hair dye compns. that contain cationic direct dyes from the group of quinolinium salts. The compns. further contain other direct dyes, e.g. azo dyes, quinone dyes, and triphenylmethanes. Oxidative dyes, oxidn. agent, synthetic polymers or modified natural polymers can be included. Thus 4-[(4-aminophenyl)amino]-1-ethylquinolinium-tetrafluoroborate was synthesized and used at an amt. of 0.01 g in a dye that also included 10.00 g ethanol and 10.00 g water. The dye mixt. was dild. with 10% citric acid or 10% ammonia soln. for testing the color effects.

IT **449776-58-3D**, salts
RL: COS (Cosmetic use); **BIOL (Biological study)**; USES (Uses)
(hair dyes contg. cationic quinolinium direct dyes)

RN 449776-58-3 CAPLUS

CN Acridinium, 10-ethyl-9-(phenylamino)- (9CI) (CA INDEX NAME)



L12 ANSWER 37 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:655568 CAPLUS

DOCUMENT NUMBER: 137:334545

TITLE: Coupling of a Competitive and an Irreversible Ligand Generates Mixed Type Inhibitors of Trypanosoma cruzi Trypanothione Reductase

AUTHOR(S): Inhoff, Oliver; Richards, Jonathan M.; Briet, Jan Willem; Lowe, Gordon; Krauth-Siegel, R. Luise

CORPORATE SOURCE: Biochemie-Zentrum, Heidelberg University, Heidelberg, D-69120, Germany

SOURCE: Journal of Medicinal Chemistry (2002), 45(20), 4524-4530

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

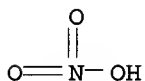
AB 9-Aminoacridines and (terpyridine)platinum(II) complexes are competitive and irreversible inhibitors, resp., of trypanothione reductase from Trypanosoma cruzi, the causative agent of Chagas' disease. Four chimeric compds. in which 2-methoxy-6-chloro-9-aminoacridine was covalently linked to the (2-hydroxyethanethiolate) (2,2':6',2''-terpyridine)platinum(II) complex were synthesized and studied as inhibitors of the parasite enzyme. The derivs. differed by the nature and/or the length of the spacer connecting the two arom. systems. All four compds. were effective mixed type inhibitors of trypanothione reductase with K_i and K_i' values of 0.3-4 and 2-11 μM , resp. The most potent inhibitor had an ethylthioether linkage between the two arom. ring systems, and the other compds. contained an alkyl ether group with 4-6 methylene groups. In contrast to the parasite enzyme, human glutathione reductase, the closest related host enzyme was not inhibited by these compds. The finding that the conjugation of a competitive and an irreversible inhibitor can give rise to reversible mixed type inhibitors underlines the difficulties assocd. with inhibitor design based on the three-dimensional structure of

09/ 995,324

trypanothione reductase.
IT **474296-34-9P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(coupling competitive and irreversible ligands results in mixed type inhibitors of Trypanosoma cruzi trypanothione reductase)
RN 474296-34-9 CAPLUS
CN Platinum(1+), [3-chloro-7-methoxy-N-[2-[[[2,2':6',2''-terpyridin]-4'-yl-.kappa.N1,.kappa.N1',.kappa.N1'')]thio]ethyl]-9-acridinamine] [2-(mercapto-.kappa.S)ethanolato]-, (SP-4-2)-, nitrate, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 7697-37-2
CMF H N O3

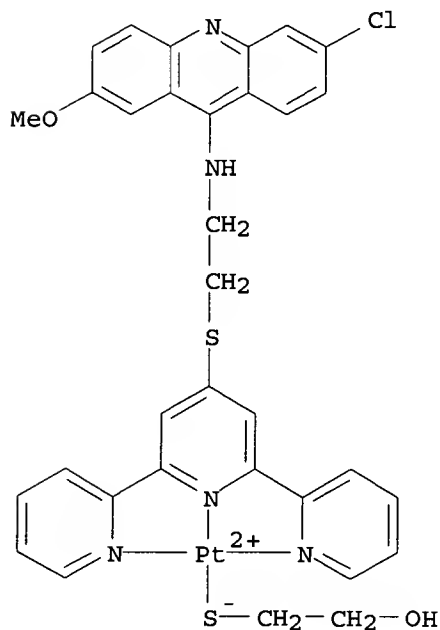


CM 2

CRN 474296-33-8
CMF C33 H29 Cl N5 O2 Pt S2 . N O3

CM 3

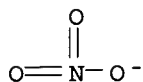
CRN 474296-32-7
CMF C33 H29 Cl N5 O2 Pt S2
CCI CCS



CM 4

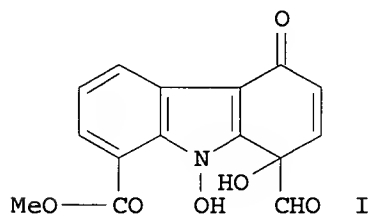
09/ 995,324

CRN 14797-55-8
CMF N O3



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 38 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:650992 CAPLUS
DOCUMENT NUMBER: 137:322750
TITLE: Coproverdine, a novel, cytotoxic marine alkaloid from a New Zealand ascidian
AUTHOR(S): Urban, Sylvia; Blunt, John W.; Munro, Murray H. G.
CORPORATE SOURCE: Department of Chemistry, University of Canterbury, Christchurch, N. Z.
SOURCE: Journal of Natural Products (2002), 65(9), 1371-1373
CODEN: JNPRDF; ISSN: 0163-3864
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



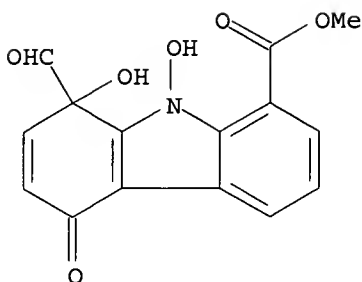
AB The crude ext. of a New Zealand ascidian displayed antitumor activity. Bioassay-directed fractionation yielded a novel alkaloid, coproverdine (I). The structure of I was assigned on the basis of detailed spectroscopic anal. Coproverdine was responsible for the antitumor activity of the crude ext.

IT **437702-23-3P**
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); **BIOL (Biological study)**; OCCU (Occurrence); PREP (Preparation)
(cytotoxic marine alkaloid from New Zealand ascidian)

RN 437702-23-3 CAPLUS

CN 1H-Carbazole-8-carboxylic acid, 1-formyl-4,9-dihydro-1,9-dihydroxy-4-oxo-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).
Currently available stereo shown.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 39 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:637636 CAPLUS

DOCUMENT NUMBER: 137:185515

TITLE: Preparation of acylated indanyl amines and their use as remedies in upregulation of endothelial nitric oxide synthase

INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Safarova, Alena; Walser, Armin; Suzuki, Teri; Dharanipragada, Ramalinga M.

PATENT ASSIGNEE(S): Aventis Pharma Deutschland Gmbh, Germany

SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

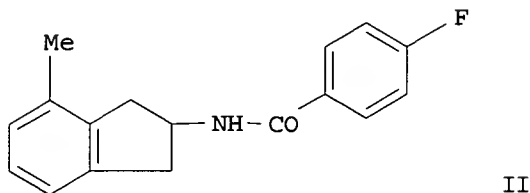
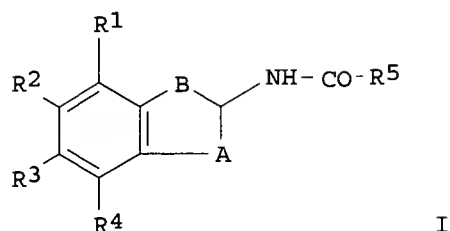
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064545	A1	20020822	WO 2002-EP1444	20020212
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: EP 2001-102850 A 20010213

OTHER SOURCE(S): MARPAT 137:185515

GI



AB Title compds. [I; R1-R4 =; A = CH₂, CHOH, CH(C1-C3-alkyl); B = CH₂, CH(C1-C3-alkyl); R5 = aryl, heteroaryl] are prepd. and are useful in the upregulation of endothelial nitric oxide synthase (eNOS). Title compds. I may therefore be useful for the manuf. of medicaments for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinzmetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA (percutaneous trans-luminal coronary angioplasty), hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes or diabetes complications, nephropathy or retinopathy, angiogenesis, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance, a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives. Thus, the title compd. II was prepd. from 2-amino-4-methylindane and 4-fluorobenzoyl chloride, purified by HPLC and was in vitro tested on human umbilical vein cord endothelial cells for activation effect of eNOS transcription with EC-50 (μM) = 6.0 and TIR(max) = 2.80.

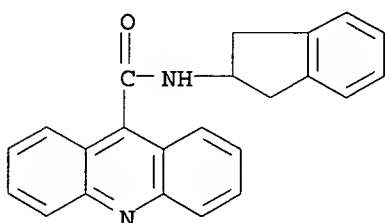
IT **450352-82-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. method of acylated indanyl amines and use as remedies in upregulation of endothelial nitric oxide synthase)

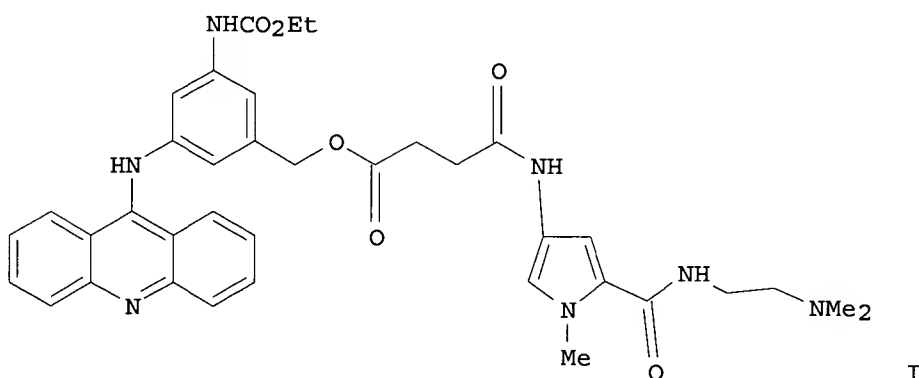
RN 450352-82-6 CAPLUS

CN 9-Acridinecarboxamide, N-(2,3-dihydro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 40 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:627989 CAPLUS
 DOCUMENT NUMBER: 137:294798
 TITLE: Antitumor AHMA Linked to DNA Minor Groove Binding Agents: Synthesis and Biological Evaluation
 AUTHOR(S): Rastogi, Kamesh; Chang, Jang-Yang; Pan, Wen-Yu; Chen, Ching-Huang; Chou, Ting-Chao; Chen, Li-Tzong; Su, Tsann-Long
 CORPORATE SOURCE: Institute of Biomedical Sciences, Laboratory of Bioorganic Chemistry, Academia Sinica, Taipei, 115, Taiwan
 SOURCE: Journal of Medicinal Chemistry (2002), 45(20), 4485-4493
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB DNA minor groove binder hybrid mols., netropsin derivs. such as N-[2-(dimethylamino)ethyl]-1-methyl-4-aminopyrrolo-2-carboxamide (MePy) or its derivs. contg. two units of N-methylpyrrolecarboxamide (diMePy) and bisbenzimidazole (Ho33258), were linked to the NH2 function of AHMA or to the CH2OH group of AHMA-ethylcarbamate to form AHMA-N-netropsins, AHMA-ethylcarbamate-O-netropsins, and AHMA-bisbenzimidazole (AHMA-Ho33258) resp. These conjugates' in vitro antitumor activity, and inhibition of a variety of human tumor cell growth, revealed that AHMA-ethylcarbamate-O-netropsin derivs. were more cytotoxic than AHMA-N-netropsin compds. In the same studies, all compds. bearing MePy were more potent than those compds. linked with diMePy. Moreover, AHMA-netropsin derivs. bearing a succinyl chain as the linking spacer were more potent than those compds. having a glutaryl bridge. Among these hybrid mols., AHMA-ethylcarbamate-O-succinyl-MePy (I) was 2- to 6-fold more cytotoxic than the parent compd. AHMA in various cell lines, whereas the AHMA-bisbenzimidazole (AHMA-Ho33258) had very poor soly. and was inactive. Studies on the inhibitory effect against topoisomerase II (Topo II) and DNA interaction of these conjugates showed no correlation between the potency of DNA binding and inhibitory activity against Topo II.

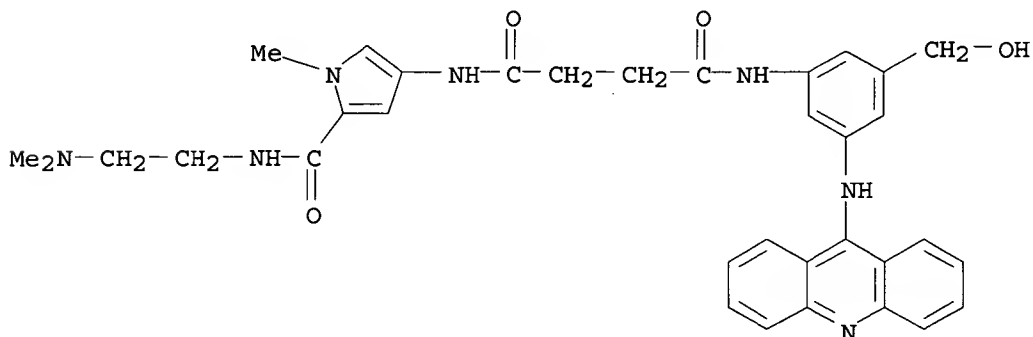
IT 470480-93-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. of DNA groove binding acridinylaminohydroxymethylaniline netropsin derivs. from 3-(9-acridinylamino)-5-hydroxymethylanilines and

their antitumor activity and their DNA topoisomerase II inhibitory activity)

RN 470480-93-4 CAPLUS

CN Butanediamide, N-[3-(9-acridinylamino)-5-(hydroxymethyl)phenyl]-N'-[5-[[[2-(dimethylamino)ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 41 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:594814 CAPLUS

DOCUMENT NUMBER: 137:135119

TITLE: Carbazole derivatives and fluorene derivatives, and their uses as heparanase inhibitors

INVENTOR(S): Ayal-HersHKovitz, Maty; Miron, Daphna; Koller, Avi; Ilan, Neta; Levy, Ofra

PATENT ASSIGNEE(S): Insight Strategy and Marketing Ltd., Israel

SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060867	A2	20020808	WO 2002-IL79	20020129
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-264304P P 20010129

OTHER SOURCE(S): MARPAT 137:135119

AB Carbazole derivs. having at the 9-position a 3-(substituted)amino-2-hydroxypropyl group, and fluorene derivs. having at the 9-position a =N-NHR4 group [R4 = (substituted) carboxamido, (substituted) thiocarboxamido, (substituted) hydrazido], are provided as heparanase inhibitors suitable for the treatment of diseases and disorders caused by or assocd. with heparanase catalytic activity, e.g. cancer, inflammatory disorders, and autoimmune diseases. Prepn. and biol. activity of 1-[3-(3,6-dibromocarbazol-9-yl)-2-hydroxypropyl]-1-phenethyl-3-p-

sulfonylthiourea is described.

IT 444883-62-9P

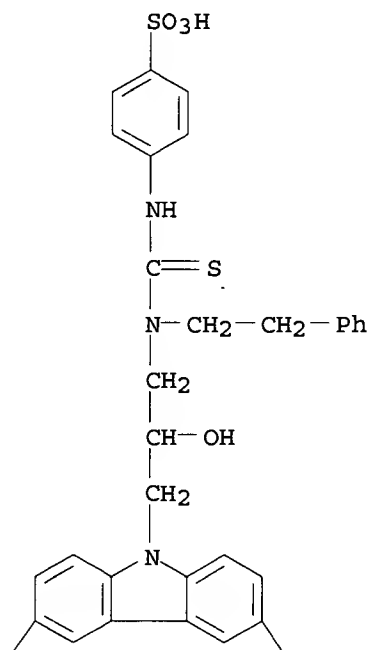
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); BIOL (Biological study); PREP (Preparation); USES (Uses)

(carbazole derivs. and fluorene derivs., and use as heparanase inhibitors)

RN 444883-62-9 CAPLUS

CN Benzenesulfonic acid, 4-[[[3-(3,6-dibromo-9H-carbazol-9-yl)-2-hydroxypropyl] (2-phenylethyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

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Br

L12 ANSWER 42 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:594639 CAPLUS

DOCUMENT NUMBER: 137:154941

TITLE: Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety

INVENTOR(S): Blackburn, Thomas P.; Konkell, Michael

PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA

SOURCE: PCT Int. Appl., 832 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

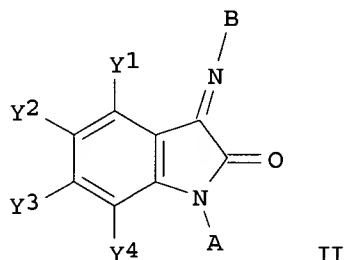
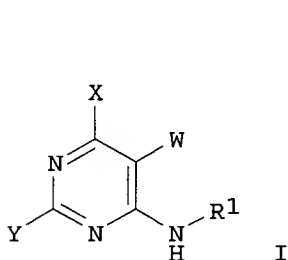
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060392	A2	20020808	WO 2002-US4608	20020131

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-775341 A 20010131

OTHER SOURCE(S): MARPAT 137:154941

GI



AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH₂, (un)substituted piperidino, 4-oxopiperidino, piperazino; R₁ = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH₂, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y₁-Y₄ = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepd. Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compd. I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R₁ = 4-MeC₆H₄] showed K_i of 35 nM against GalR3 receptor binding vs. K_i of 668 nM and K_i of 188 nM against GalR1 and GalR2, resp.

IT 445455-15-2P

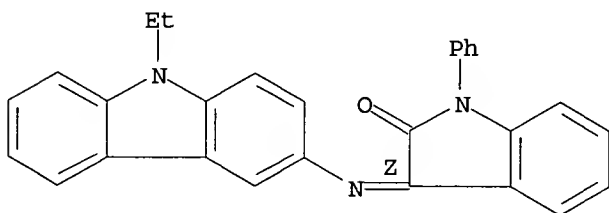
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-15-2 CAPLUS

CN 2H-Indol-2-one, 3-[(9-ethyl-9H-carbazol-3-yl)imino]-1,3-dihydro-1-phenyl-, (3Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 43 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:591918 CAPLUS

DOCUMENT NUMBER: 137:159310

TITLE: Activators of peroxisome proliferator-activated
receptor (PPAR) .alpha. for treatment of fatty liver,
and hypolipemic agents containing the activators and
MTP inhibitors

INVENTOR(S): Noguchi, Takeshi; Hirota, Kotaro; Tanaka, Masashi

PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

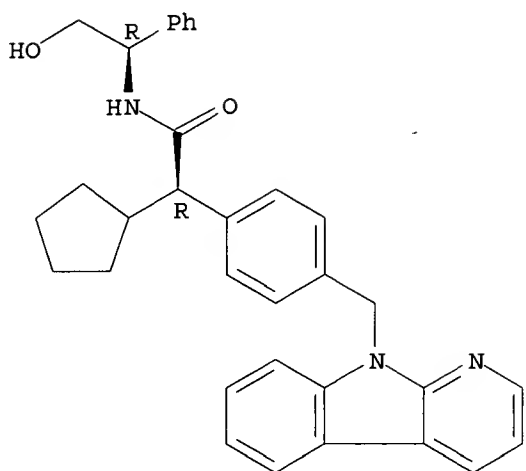
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
	JP 2002220345	A2	20020809	JP 2001-15602	20010124
PRIORITY APPLN. INFO.:				JP 2001-15602	20010124
AB	Title activators are useful for prophylactic and/or therapeutic treatment of fatty liver in patients under treatment with microsomal triglyceride transfer protein (MTP) inhibitors. Thus, oral administration of BAY 13-9952 at 10 mg/kg and clinofibrate at 30 mg/kg in high sucrose-loaded rats resulted in serum triglyceride 23.0 mg/dL, serum cholesterol 32.8 mg/dL, liver triglyceride 24.6 mg/g, and liver cholesterol 3.4 mg/g.				
IT	445389-84-4 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (hypolipemic agents contg. peroxisome proliferator-activated receptor .alpha. activators and MTP inhibitors causing no fatty liver)				
RN	445389-84-4 CAPLUS				
CN	Butanoic acid, 2,2'-[cyclohexylidenebis(4,1-phenyleneoxy)]bis[2-methyl-, mixt. with (.alpha.R)-.alpha.-cyclopentyl-N-[(1R)-2-hydroxy-1-phenylethyl]- 4-(9H-pyrido[2,3-b]indol-9-ylmethyl)benzeneacetamide (9CI) (CA INDEX NAME)				
CM	1				
CRN	177277-96-2				
CMF	C33 H33 N3 O2				

Absolute stereochemistry.

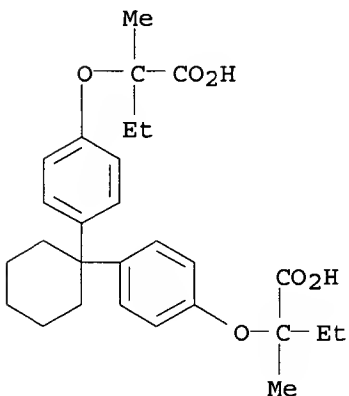
09/ 995,324



CM 2

CRN 30299-08-2

CMF C28 H36 O6



L12 ANSWER 44 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:575081 CAPLUS

DOCUMENT NUMBER: 137:125149

TITLE: Preparation of pyridoindoles as reverse transcriptase inhibitors.

INVENTOR(S): Rice, William G.; Huang, Mingjun; Buckheit, Robert W., Jr.; Covell, David G.; Czerwinski, Grzegorz; Michejda, Christopher J.

PATENT ASSIGNEE(S): The Government of the United States of America, Department of Health and Human Services, USA

SOURCE: PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002059123 A2 20020801 WO 2001-US48311 20011213

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

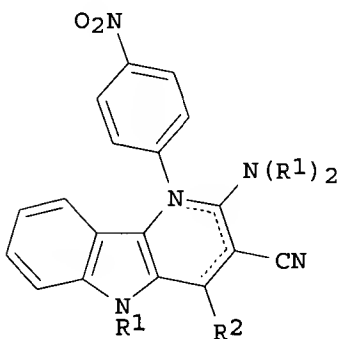
PRIORITY APPLN. INFO.:

US 2000-256581P P 20001218

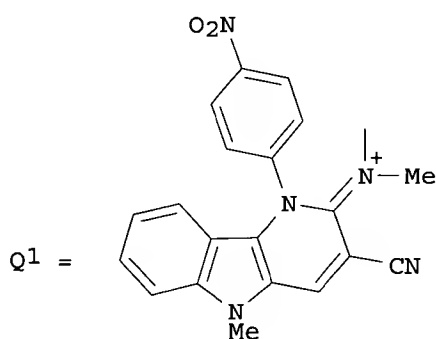
OTHER SOURCE(S):

MARPAT 137:125149

GI



I



Q1 =

AB Title compds. (I; R1 = alkyl; R2 = H, alkyl, alkylamide, Q1; dotted lines = optional double bonds), were prepd. Thus, 1-(4-nitrophenyl)-2-methylimino-3-cyano-5-methyl-1,2-dihydro-5H-pyrido[3,2-b]indole (prepn. given) was refluxed with K2CO3, MeI, and acetone for 45 h to give 1-(4-nitrophenyl)-2-dimethylamino-3-cyano-4-(2-oxopropyl)-5-methyl-1,2-dihydro-5H-pyrido[3,2-b]indole. The latter showed IC50 = 0.1 .mu.M against HIV-1 RF in CEM-SS cells.

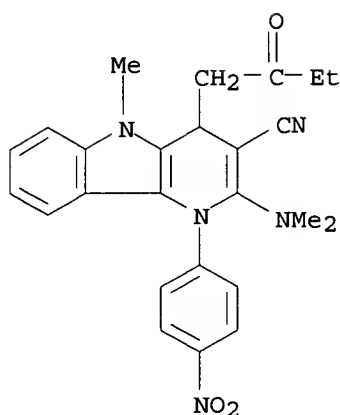
IT 442149-79-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

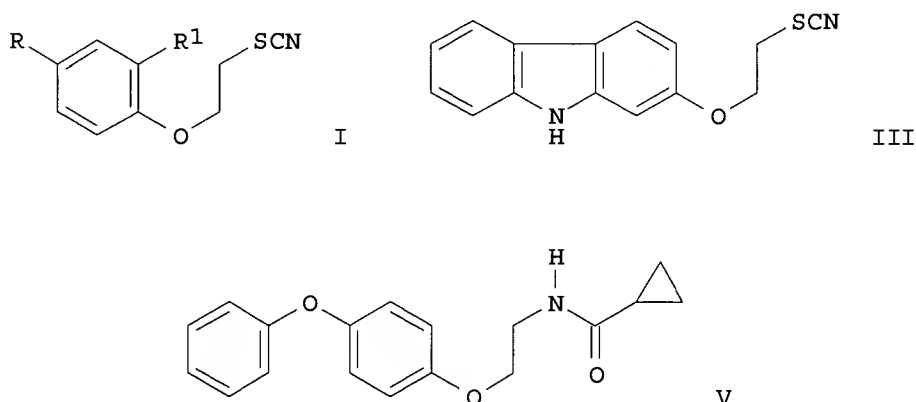
(prepn. of pyridoindoles as reverse transcriptase inhibitors)

RN 442149-79-3 CAPLUS

CN 1H-Pyrido[3,2-b]indole-3-carbonitrile, 2-(dimethylamino)-4,5-dihydro-5-methyl-1-(4-nitrophenyl)-4-(2-oxobutyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 45 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:558407 CAPLUS
 DOCUMENT NUMBER: 137:154726
 TITLE: Design, Synthesis, and Biological Evaluation of
 Aryloxyethyl Thiocyanate Derivatives against
 Trypanosoma cruzi
 AUTHOR(S): Elhalem, Eleonora; Bailey, Brian N.; Docampo, Roberto;
 Ujvary, Istvan; Szajnman, Sergio H.; Rodriguez, Juan
 B.
 CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Ciencias
 Exactas y Naturales, Universidad de Buenos Aires,
 Buenos Aires, RA-1428, Argent.
 SOURCE: Journal of Medicinal Chemistry (2002), 45(18),
 3984-3999
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB As a continuation of our project aimed at the search for new and safe
 chemotherapeutic and chemoprophylactic agents against American
 trypanosomiasis (Chagas' disease), several drugs structurally related to
 4-phenoxyphenoxyethyl thiocyanate (4) were designed, synthesized, and
 evaluated as antiproliferative agents against the parasite responsible for
 this disease, the hemoflagellated protozoan Trypanosoma cruzi. This

thiocyanate deriv. was previously shown to be an effective and potent agent against *T. cruzi* proliferation. Several drugs possessing thiocyanate groups proved to be effective growth inhibitors of *T. cruzi* growth. Among the designed compds., it is important to point out the extremely potent activity shown by 11, 23, 38, 53, 90, 99, and 117 against the epimastigote forms of the parasite. All of them exhibited IC₅₀ values in the low micromolar range, and these values were comparable with those presented by our lead drug 4 and ketokonazole, a well-known antiparasitic agent. The activity displayed by the nitrogen-contg. deriv. 90 was very promising with IC₅₀ values of 3.3 μ M. Several other thiocyanate derivs. also proved to be very potent inhibitors of the multiplication of *T. cruzi* epimastigotes, such as compds. 28, 33, 43, 48, 56, 61, 66, 71, 76, and 124. Compd. 43 resulted in being a promising drug because it was also very effective against amastigotes, the clin. more relevant form of the parasite. This compd. was 3-fold more potent than 4, while 11 showed nearly the same activity as our lead drug against intracellular *T. cruzi*. It was very surprising that the exptl. juvenoid 124, although fairly devoid of activity against epimastigotes, was very effective against intracellular amastigotes growing in myoblasts. The rest of the designed compds. showed a broad degree of inhibitory action, from moderately active drugs to drugs almost devoid of antiparasitic activity. Compd. 43 is an interesting example of an effective antichagasic agent that presents excellent perspectives not only as a lead drug but also to be used for further in vivo studies.

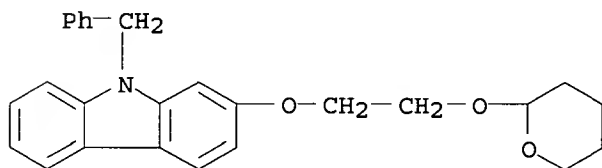
IT 445283-48-7P

RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn., structure-activity relationship, and antitrypanosomal activity of N-benzylcarbazolyloxyethyl THP ether intermediate via O-protection of hydroxycarbazole, N-benylation, THP cleavage, and alkylation with bromoethyl THP ether)

RN 445283-48-7 CAPLUS

CN 9H-Carbazole, 9-(phenylmethyl)-2-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 46 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:556141 CAPLUS

DOCUMENT NUMBER: 137:125095

TITLE: 9-alkylamino-1-nitroacridine derivatives

INVENTOR(S): Konopa, Jerzy Kazimierz; Wysocka-Skrzela, Barbara; Tiwari, Raj

PATENT ASSIGNEE(S): Pol.

SOURCE: U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S. Ser. No. 788,056.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

09/ 995,324

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099211	A1	20020725	US 2001-934715	20010822
PRIORITY APPLN. INFO.:			US 2000-183530P P	20000218
			US 2001-788056 A2	20010216

OTHER SOURCE(S): MARPAT 137:125095

AB The invention is directed to novel 9-hydroxyalkylamino-, 9-alkoxyalkylamino-1- nitroacridine derivs. Methods of prepn., pharmaceutical compns. comprising said derivs. and their medical uses are also encompassed by this invention.

IT 444017-87-2P

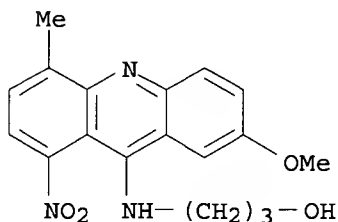
RL: IMF (Industrial manufacture); PAC (Pharmacological activity);

BIOL (Biological study); PREP (Preparation)

(prepn. methods, compns., and antitumor activity of 9-alkylamino-1-nitroacridine derivs.)

RN 444017-87-2 CAPLUS

CN 1-Propanol, 3-[(7-methoxy-4-methyl-1-nitro-9-acridinyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 47 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:556140 CAPLUS

DOCUMENT NUMBER: 137:125159

TITLE: Preparation and antiviral activity of heterocyclic substituted 2-methylbenzimidazole antiviral agents

INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; Venables, Brian Lee; Zhang, Yi; Pearce, Bradley C.; Yin, Zhiwei; Thuring, Jan Willem

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 89 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099208	A1	20020725	US 2001-994012	20011116
WO 2002062290	A2	20020815	WO 2001-US45149	20011120
WO 2002062290	A3	20021121		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

09/ 995,324

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

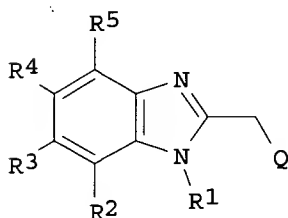
PRIORITY APPLN. INFO.:

US 2000-257139P P 20001220

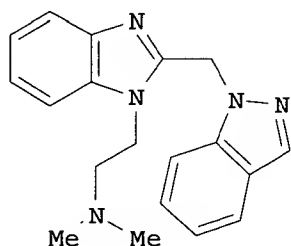
OTHER SOURCE(S):

MARPAT 137:125159

GI



I



II

AB The title compds. [I; R1 = (CRaRb)_nX; Ra, Rb = independently H, C1-6 (un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6 (un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. E.g., a four-step synthesis of II, starting with 2-(chloromethyl)benzimidazole, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was detd. in HEP-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 .mu.M and 0.001 .mu.M.

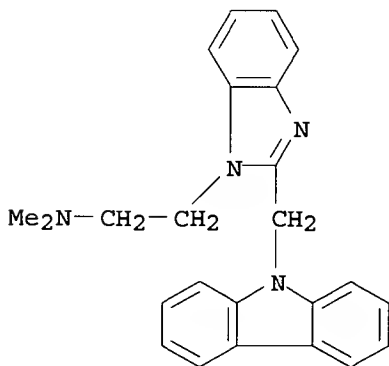
IT 443987-51-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

RN 443987-51-7 CAPLUS

CN 1H-Benzimidazole-1-ethanamine, 2-(9H-carbazol-9-ylmethyl)-N,N-dimethyl-(9CI) (CA INDEX NAME)



L12 ANSWER 48 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:539680 CAPLUS

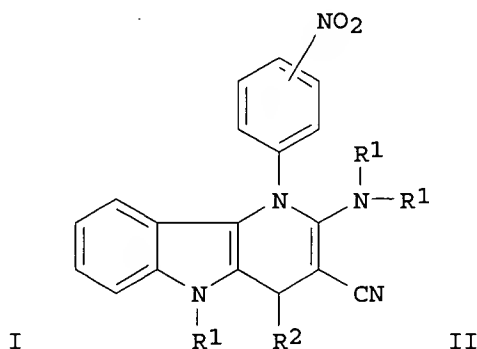
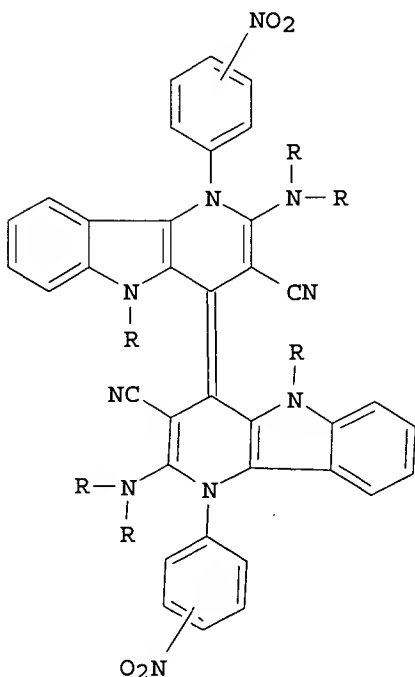
DOCUMENT NUMBER: 137:93737

TITLE:	Preparation of pyridoindoles as anti-AIDS agents
INVENTOR(S):	Rice, William G.; Huang, Mingjun; Buckheit, Robert W., Jr.; Covell, David G.; Czerwinski, Grzegorz; Michejda, Christopher J.
PATENT ASSIGNEE(S):	The Government of the United States of America, Secretary of Health and Human Services, USA; Makarov, Vadim
SOURCE:	PCT Int. Appl., 49 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002055520	A2	20020718	WO 2001-US48310	20011213

W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,	TM
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,	
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,	
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,	
	PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,	
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	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,	
RW:	CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,	
	BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	

US 2002182151 A1 20021205 US 2001-17323 20011213
PRIORITY APPLN. INFO.: US 2000-256556P P 20001218
OTHER SOURCE(S): MARPAT 137:93737
GI



AB The title benzoylalkylindolepyridinium (BAIP) [sic] compds. I and II [wherein R and R1 = independently H or aliph.; R2 = CH₂COCH₃] were prepd.

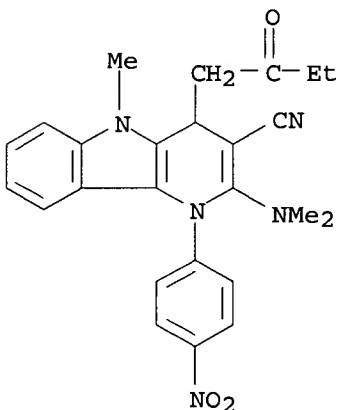
and tested for antiviral activity against several retroviruses. I inhibit the reserve transcriptase enzymes of several retroviruses, including human immunodeficiency virus (HIV). For example, deacylation of 3-(p-nitrophenylamino)indole (80%), followed by formylaton (96%) and condensation with malonitrile (80%), afforded the (aminoindolylmethylidenyl)malononitrile intermediate. Cyclization to the 2-imino-1,2-dihydro-5H-pyrido[3,2-b]indole (60%). Methylation with MeI in acetone in the presence of anhyd. K₂CO₃ produced the unexpected 2-oxopropyl product I (R₁ = Me; R₂ = CH₂COCH₃; p-nitrophenyl) (III). The latter exerted antiretroviral activity against HIV-1RF, HIV-2ROD, and SIV in a std. screening cytoprotection assay with EC₅₀ values of 0.1 .mu.M, 4.79 .mu.M, and 5.65 .mu.M, resp., and CC₅₀ values > 200 .mu.M. Further studies demonstrated that III acts during the late phase of infection, after the provirus has integrated into the host cell genome, and that cells treated with III showed reduced virion-assocd. reverse transcriptase activity and viral infectivity levels. I and II are useful for therapy to individuals already carrying HIV-1 variants that are resistant to AZT or classical non-nucleoside reverse transcriptase inhibitors (no data).

IT 442149-79-3P, 1-(4-Nitrophenyl)-2-dimethylamino-3-cyano-4-(2-oxobutyl)-5-methyl-1,4-dihydro-5H-pyrido[3,2-b]indole
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiretroviral agent; prepn. of pyridoindole anti-AIDS agents via cyclization and subsequent derivatization of (aminoindolylmethylidenyl)malononitrile)

RN 442149-79-3 CAPLUS

CN 1H-Pyrido[3,2-b]indole-3-carbonitrile, 2-(dimethylamino)-4,5-dihydro-5-methyl-1-(4-nitrophenyl)-4-(2-oxobutyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 49 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:504757 CAPLUS

DOCUMENT NUMBER: 137:78855

TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands

INVENTOR(S): Block, Michael Howard; Foote, Kevin Michael; Donald, Craig Samuel; Schofield, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051806	A1	20020704	WO 2001-GB5577	20011217

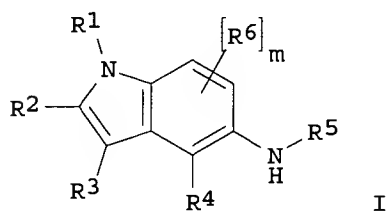
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-31382 A 20001222
GB 2001-21919 A 20010911

OTHER SOURCE(S): MARPAT 137:78855

GI

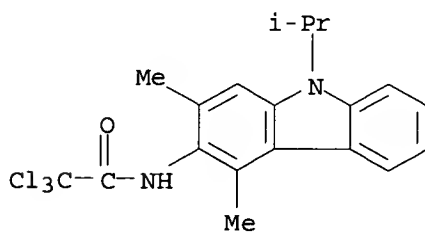


AB The title compds. [I; R1 = alkyl, alkanoyl, alkylsulfonyl, etc.; R2, R3 = Me; or R2 and R3 together = (un)substituted (CH₂)₄ or (CH)₄; R4 = alkyl; R5 = CONR₉R₁₀, COR₉, COCOR₉; R6 = halo, CN, OH, etc.; R₉, R₁₀ = H, alkyl, alkoxy, etc.; or NR₉R₁₀ = (un)substituted heterocyclic ring; m = 0-2], useful as NPY 5 inhibitors in treating eating disorders, were prepd. and formulated. Thus, amidation of 4-morpholinecarbonyl chloride with 3-amino-2,4-dimethyl-9-isopropyl-9H-carbazole in the presence of Et₃N in DCM afforded I [R1 = iso-Pr; R2 and R3 together = (CH)₄; R4 = Me; R5 = morpholinocarbonyl; R6 = 2-Me; m = 1]. In general, compds. I possess an IC₅₀ in the range 0.0002 to 200 .mu.M against NPY₅.

IT **439861-76-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (prepn. of carbazoles as neuropeptide Y₅ receptor ligands)

RN 439861-76-4 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[2,4-dimethyl-9-(1-methylethyl)-9H-carbazol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 50 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:494783 CAPLUS

DOCUMENT NUMBER: 137:195453

TITLE: Discovery and Optimization of a Series of Carbazole Ureas as NPY5 Antagonists for the Treatment of Obesity

AUTHOR(S): Block, Michael H.; Boyer, Scott; Brailsford, Wayne; Brittain, David R.; Carroll, Debra; Chapman, Steve; Clarke, David S.; Donald, Craig S.; Foote, Kevin M.; Godfrey, Linda; Ladner, Anthony; Marsham, Peter R.; Masters, David J.; Mee, Christine D.; O'Donovan, Michael R.; Pease, J. Elizabeth; Pickup, Adrian G.; Rayner, John W.; Roberts, Andrew; Schofield, Paul; Suleman, Abid; Turnbull, Andrew V.

CORPORATE SOURCE: AstraZeneca, Macclesfield Cheshire, SK10 4TG, UK

SOURCE: Journal of Medicinal Chemistry (2002), 45(16), 3509-3523

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The hypothesis that antagonists of the neuropeptide Y5 receptor would provide safe and effective appetite suppressants for the treatment of obesity has prompted vigorous research to identify suitable compds. We discovered a series of acylated aminocarbazole derivs. (e.g., 3a) that are potent and selective Y5 antagonists, representing interesting starting points but suffering from poor bioavailability and concerns about potential toxicity as a consequence of the embedded aminocarbazole fragment. It proved relatively easy to improve the drug metab. and pharmacokinetic (DMPK) properties by variation of the side chain (as in 4a) but difficult to eliminate the aminocarbazole fragment. For compds. in this series to have the potential to be drugs, we believed that both the compd. itself and the component aniline must be free of mutagenic activity. Parallel structure-activity relationship studies looking at the effects of ring substitution have proved that it is possible by incorporation of a 4-Me substituent to produce carbazole ureas with potent Y5 activity, comprised of carbazole anilines that in themselves are devoid of mutagenic activity in the Ames test. Compd. 4o (also known as NPY5RA-972) is highly selective with respect to Y1, Y2, and Y4 receptors (and also to a diverse range of unrelated receptors and enzymes), with an excellent DMPK profile including central nervous system penetration. NPY5RA-972 (4o) is a highly potent Y5 antagonist in vivo but does not block neuropeptide Y-induced feeding nor does it reduce feeding in rats, suggesting that the Y5 receptor alone has no significant role in feeding in these models.

IT 439863-39-5P

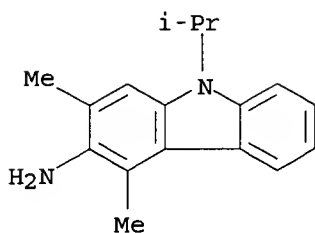
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(discovery and optimization of series of carbazole ureas as NPY5 antagonists for obesity treatment)

RN 439863-39-5 CAPLUS

CN 9H-Carbazol-3-amine, 2,4-dimethyl-9-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 51 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:494560 CAPLUS

DOCUMENT NUMBER: 137:226186

TITLE: Studies on the three dimensional quantitative structure-activity relationship of serotonin reuptake inhibitors

AUTHOR(S): Shi, Yu; Wang, Xiao-fang; Yang, Guang-zhong

CORPORATE SOURCE: Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing, 100050, Peop. Rep. China

SOURCE: Jisuanji Yu Yingyong Huaxue (2002), 19(1/2), 35-40
CODEN: JYYHE6; ISSN: 1001-4160

PUBLISHER: Jisuanji Yu Yingyong Huaxue Bianjibu

DOCUMENT TYPE: Journal

LANGUAGE: Chinese

AB AIM: To quant. disclose the relationship between structure and actively of a series of serotonin reuptake inhibitors and direct the design of novel potent selective serotonin. reuptake inhibitors. METHODS AND RESULTS: Sixty 5-HT reuptake inhibitors from literature as a training set were investigated with the aim of developing a 3D-QSAR model using the comparative mol. field anal. (CoMFA). The predictive pharmacophore model shows a higher ability to explain and predict the activity of serotonin reuptake inhibitors, with the cross-validation RCV2 = 0.614, non cross-validation R2 = 0.988, F = 456.172, and SEE (std. err of est.) = 0.134. Seven Compds. were selected as a predicting set, the low deviations of calcd. values from the measured ones suggesting a powerful predictive ability of the model. CONCLUSION: The 3D-QSAR explains the dependence of the structures of the compds. Some structure information for design of new 5-HT reuptake inhibitors with higher activity has been given.

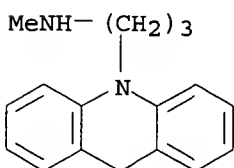
IT 457071-94-2

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(three dimensional quant. structure-activity relationship of serotonin reuptake inhibitors)

RN 457071-94-2 CAPLUS

CN 10(9H)-Acridinepropanamine, N-methyl- (9CI) (CA INDEX NAME)

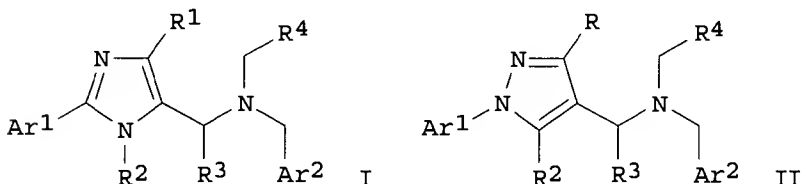


L12 ANSWER 52 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:487497 CAPLUS

DOCUMENT NUMBER: 137:78952
 TITLE: Preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators
 INVENTOR(S): Thurkauf, Andrew; Zhang, Xiaoyan; He, Xia-Shu; Zhao, He; Peterson, John; Maynard, George; Ohliger, Robert
 PATENT ASSIGNEE(S): Neurogen Corporation, USA
 SOURCE: PCT Int. Appl., 609 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049993	A2	20020627	WO 2000-US26816	20000929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000076225	A5	20020701	AU 2000-76225	20000929
PRIORITY APPLN. INFO.:			WO 2000-US26816	W 20000929
OTHER SOURCE(S):		MARPAT 137:78952		
GI				



AB The invention includes low mol. wt., non-peptidic, non-peptidommetic, org. mols. that can act as modulators of mammalian complement C5a receptors, preferably ones that act as high affinity C5a receptor ligands and also such ligands that can act as antagonists or inverse agonists of complement C5a receptors. Preferred compds. of the invention possess some or all of the following properties in that they are: (1) multi-aryl in structure; (2) heteroaryl in structure; (3) a pharmaceutically acceptable oral dose can provide a detectable in vivo effect; (4) comprise fewer than four or preferably no amide bonds, and (5) capable of habiting leukocyte chemotaxis at nanomolar or sub-nanomolar concns. Such compds. include imidazoles I [R1 = H, OH, halo, etc.; R2 = alkyl, cycloalkyl, etc.; R3 H, alkyl, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], pyrazoles II [R = H, OH, halo, etc.; R2, R3 = H, OH, halo, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], amides Ar1CONR1R2 [III; R1, R2 = alkyl, alkenyl, cycloalkyl, etc.; Ar1 = (un)substituted carbocyclic aryl, arylalkyl, etc.], etc. Detailed prepn. of some compds. I-III was given. E.g., a multi-step synthesis of I [Ar1 = Ph; R1, R3 = H; R2 = Bu; R4, Ar2 = 3,4-methylenedioxyphenyl] was presented. The invention also includes pharmaceutical compn. comprising such compds. I-III and the use of such compds. in treating a variety of inflammatory and immune system disorders.

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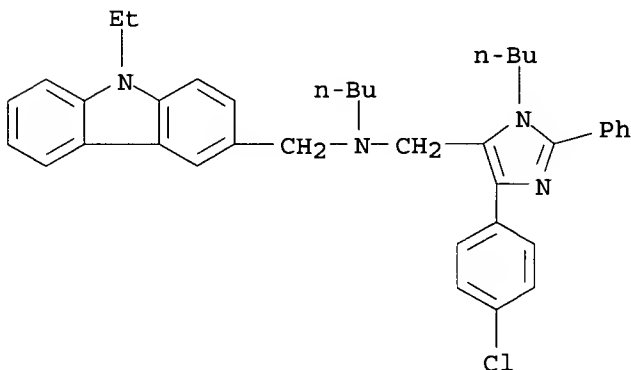
IT 439573-06-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators)

RN 439573-06-5 CAPLUS

CN 9H-Carbazole-3-methanamine, N-butyl-N-[[1-butyl-4-(4-chlorophenyl)-2-phenyl-1H-imidazol-5-yl]methyl]-9-ethyl- (9CI) (CA INDEX NAME)



L12 ANSWER 53 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:487387 CAPLUS

DOCUMENT NUMBER: 137:63257

TITLE: Preparation of benzamides as inhibitors of production and release of inflammatory cytokines

INVENTOR(S): Muto, Susumu; Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko

PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design Inc., Japan

SOURCE: PCT Int. Appl., 313 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

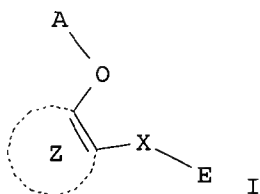
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049632	A1	20020627	WO 2001-JP11084	20011218
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002022683	A5	20020701	AU 2002-22683	20011218
PRIORITY APPLN. INFO.:			JP 2000-383202	A 20001218
			WO 2001-JP11084	W 20011218

OTHER SOURCE(S): MARPAT 137:63257

GI



AB The title compds. I (wherein X is a connecting group; A is hydrogen or acetyl; E is aryl or heteroaryl; and Z is arene or heteroarene) are prepd. In an in vitro test using cells, 5-chloro-2-hydroxy-N-(4-methoxynaphthalen-2-yl)benzamide at 1 .mu.g/mL gave 95.1% inhibition of NF-.kappa.B activation.

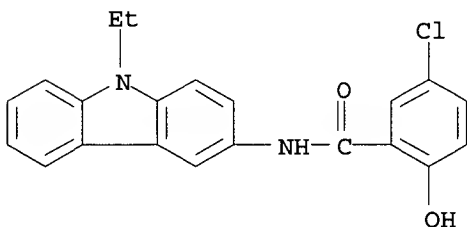
IT **439144-16-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)

(prepn. of benzamides as inhibitors of prodn. and release of inflammatory cytokines)

RN 439144-16-8 CAPLUS

CN Benzamide, 5-chloro-N-(9-ethyl-9H-carbazol-3-yl)-2-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 54 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:485500 CAPLUS

DOCUMENT NUMBER: 137:185206

TITLE: Rationalizing the Strength of Hydrogen-Bonded Complexes. Ab Initio HF and DFT Studies

AUTHOR(S): Lukin, Oleg; Leszczynski, Jerzy

CORPORATE SOURCE: Computational Center for Molecular Structure and Interactions, Department of Chemistry, Jackson State University, Jackson, MS, 39217, USA

SOURCE: Journal of Physical Chemistry A (2002), 106(29), 6775-6782

CODEN: JPCAFH; ISSN: 1089-5639

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A comparative study of the relative stabilities of 17 multiply H-bonded complexes was carried out using ab initio Hartree-Fock and d. functional methods at the HF/6-311(d,p) and B3LYP/6-311(d,p) levels, resp. Predicted H-bond geometries, relative stabilities, solvent and structural effects, and electrostatic potential contours are discussed in conjunction with exptl. data. The B3LYP method, which secures a better agreement of the optimized geometries with the available x-ray data, also was applied to calc. the gas-phase free energies and enthalpies. The computations reveal

that the frequently used incremental approach, which takes into consideration the primary and secondary electrostatic interactions, can often be deceptive in interpreting the stabilities of the multiply H-bonded dimers. The explanation that reduced entropy enhances the stability of dimers involving intramol. H bonds in their monomeric parts compared to similar structures lacking such bonds also is misleading. A comparison of the calcd. results with available exptl. stabilities measured in CHCl₃ solns. shows that water present in the solvent may cause dramatic changes in relative stabilities. Electrostatic potential contours calcd. at the B3LYP/6-311(d,p) level provide a useful qual. explanation of the stability differences in the studied complexes.

IT 449796-35-4

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)

(ab initio HF and DFT studies on strength of hydrogen-bonded complexes)

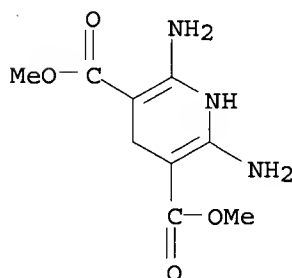
RN 449796-35-4 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2,6-diamino-1,4-dihydro-, dimethyl ester, compd. with anthyridine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 449796-34-3

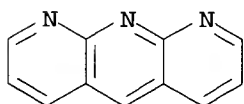
CMF C9 H13 N3 O4



CM 2

CRN 261-15-4

CMF C11 H7 N3



REFERENCE COUNT:

73

THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 55 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:465994 CAPLUS

DOCUMENT NUMBER: 137:33326

TITLE: Preparation of chiral alkylaminochroman derivatives as .beta.3 adrenoreceptor agonists

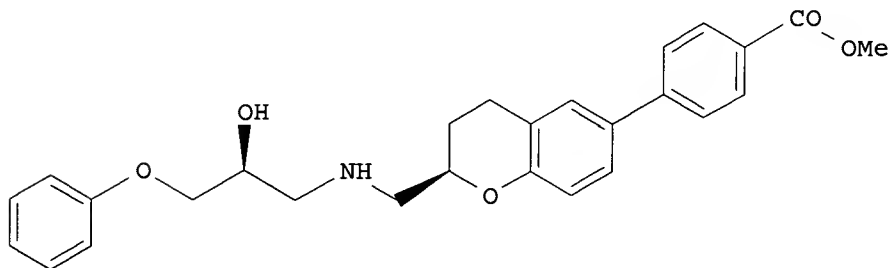
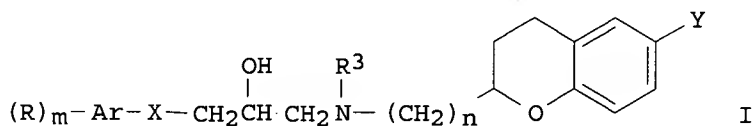
INVENTOR(S): Ladouceur, Gaetan H.; Bullock, William H.; Magnuson, Steven R.; O'Connor, Stephen J.; Smith, Roger A.; Shen, Quanrong; Liu, Quingjie; Su, Ning; Velthuisen, Emil J.; Campbell, Ann-Marie; Ehrlich, Paul P.

PATENT ASSIGNEE(S): Bayer Corporation, USA

09/ 995,324

SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048134	A2	20020620	WO 2001-US46623	20011207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002028816	A5	20020624	AU 2002-28816	20011207
PRIORITY APPLN. INFO.:			US 2000-254735P	P 20001211
			WO 2001-US46623	W 20011207
OTHER SOURCE(S):		MARPAT 137:33326		
GI				



AB Title compds. [I; Ar = C₆H₅, heterocycle, benzoheterocycle; Y = halo, OR₁, COOR₁, CH₂CH₂COOH, 4-C₆H₄COOH, 4-C₆H₄COOCH₃, 3-C₆H₄COOH, 2-naphthyl-6-carboxylic acid, etc.; m = 0, 1, 2, 3, 4, 5; n = 1, 2, 3; X = O, S, S:O, SO₂; R = OH, halo, CN, NO₂, CF₃; R₁ = H, (CH₂)_nO(CH₂)_nCOOH, (CH₂)_nO(CH₂)_nH; R₂ = R₁, OR₁, NR₁R₁, alkoxy, halo, NO₂; R₃ = H, alkyl, C₆H₅CH₂, COR₂] are prepd. as .beta.3 adrenergic receptor agonists. Title compds. I are useful in a pharmaceutical compn. for the treatment of diabetes, impaired fasting glucose, impaired glucose tolerance, obesity, hypertriglyceridemia, hypercholesterolemia, hypercholesterolemia, lowering

high-d. lipoprotein levels, atherosclerosis, cardiovascular diseases and related diseases, gastrointestinal disorders, neuro genetic inflammation, ocular hypertension, glaucoma, urol. disorders, benign prostatic hyperplasia, and, incontinence. Thus, the title compd. II was prepd. from (2R)-t-iodo-3,4-dihydro-2H-chroman-2-carboxylic acid, Me 4-iodobenzoate, and (2S)-1-amino-3-phenoxy-2-propanol via redn. and condensation. The title compd. II was tested for .beta.3 agonistic activity with EC50 .ltoreq. 1.mu.M.

IT 437764-33-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

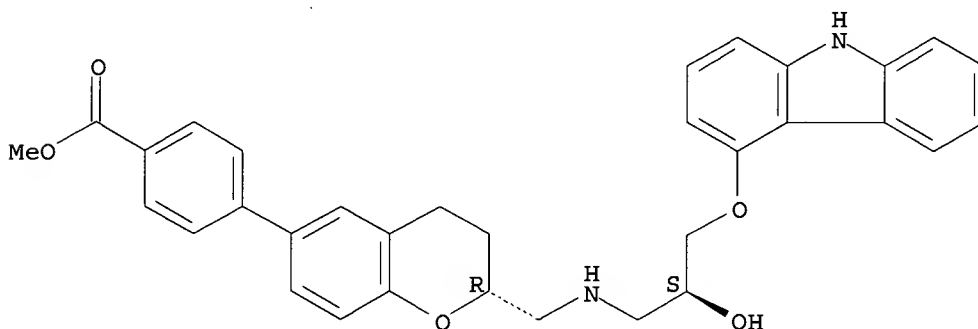
USES (Uses)

(prepn. of chiral aminoalkylchroman derivs. as .beta.3 adrenoreceptor agonists)

RN 437764-33-5 CAPLUS

CN Benzoic acid, 4-[(2R)-2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]methyl]-3,4-dihydro-2H-1-benzopyran-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 56 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:465973 CAPLUS

DOCUMENT NUMBER: 137:28284

TITLE: Antitumor carbazoles, and coproveridine isolation

INVENTOR(S): Munro, Murray Herbert Gibson; Blunt, John Wilson; Urban, Sylvia; Garcia Gravalos, Dolores

PATENT ASSIGNEE(S): Pharma Mar, S.A., Spain; Ruffles, Graham Keith

SOURCE: PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048107	A1	20020620	WO 2001-GB5523	20011213
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002017266	A5	20020624	AU 2002-17266	20011213

09/ 995,324

PRIORITY APPLN. INFO.:

GB 2000-30417 A 20001213
WO 2001-GB5523 W 20011213

OTHER SOURCE(S): MARPAT 137:28284

AB The invention provides carbazole compds., as well as methods for their prepn., compns. contg. them, and their use as a medicament, particularly for the treatment and prophylaxis of cancer. Also described is the isolation (from an ascidian) of coproverdine (8-formyl-8,9-dihydroxy-5-oxo-8,9-dihydro-5H-carbazole-1-carboxylic acid Me ester), its derivatization, and its antitumor activity.

IT 437702-23-3P, Coproverdine

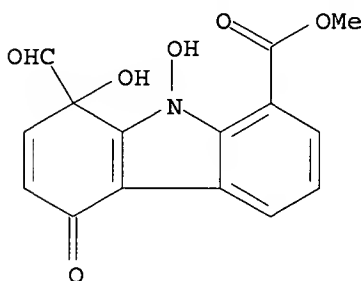
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); BIOL (Biological study); THU (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (antitumor carbazoles)

RN 437702-23-3 CAPLUS

CN 1H-Carbazole-8-carboxylic acid, 1-formyl-4,9-dihydro-1,9-dihydroxy-4-oxo-, methyl ester, (-) - (9CI) (CA INDEX NAME)

Rotation (-).

Currently available stereo shown.



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 57 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:459775 CAPLUS

DOCUMENT NUMBER: 137:232796

TITLE: Synthesis of carbazolequinone derivatives as inhibitors of Toxoplasma gondii purine nucleoside phosphorylase

AUTHOR(S): Bouaziz, Zouhair; Gherardi, Arnaud; Regnier, Francois; Sarciron, Marie-Elizabeth; Bertheau, Xavier; Fenet, Bernard; Walchshofer, Nadia; Fillion, Houda

CORPORATE SOURCE: Laboratoire de Chimie Organique EA 635, Universite Claude Bernard, Faculte de Pharmacie, Lyon, 69373, Fr. European Journal of Organic Chemistry (2002), (11), 1834-1838

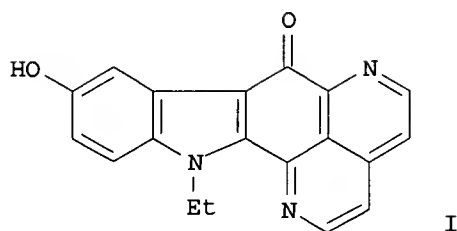
SOURCE: CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB 9-Ethyl-6-hydroxycarbazolequinone was synthesized and submitted to a hetero Diels-Alder reaction with azadienes to afford the hydroxypyridocarbazole-5,11-diones. A Bracher cyclization applied to one of the compd. led to the 9-hydroxyquinoneimine, I, admixed with its 9-Me ether. These compds. as well as other carbazolequinone derivs. were evaluated against a purine nucleoside phosphorylase isolated from two strains of *Toxoplasma gondii* (a virulent strain RH and a cystic strain ME 49). The synthesized carbazolequinones and pyridocarbazolequinones showed inhibitory activities similar or better than those obsd. with the ref. compd. 8-aminoguanosine.

IT 459452-39-2

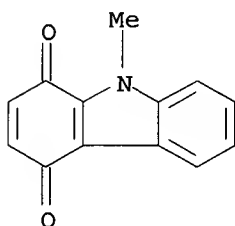
RL: PAC (Pharmacological activity); BIOL (Biological study);

BIOL (Biological study); BIOL (Biological study)

(synthesis of carbazolequinone derivs. via aza Diels-Alder reactions and Bracher cyclization as inhibitors of *Toxoplasma gondii* purine nucleoside phosphorylase)

RN 459452-39-2 CAPLUS

CN 1H-Carbazole-1,4(9H)-dione, 9-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 58 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:449684 CAPLUS

DOCUMENT NUMBER: 137:33299

TITLE: Preparation of heterocyclic ether substituted imidazoquinolines as immune response modulators for treatment of viral and neoplastic diseases

INVENTOR(S): Charles, Leslie J.; Dellaria, Joseph F.; Griesgraber, George W.; Heppner, Philip D.; Manske, Karl J.; Mickelson, John W.; Rice, Michael J.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

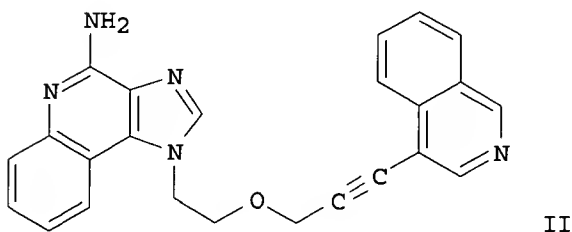
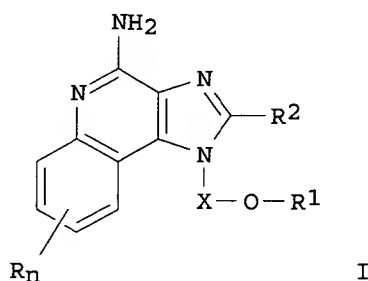
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002046193	A2	20020613	WO 2001-US46704	20011206	
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH,					
CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES,					
FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,					
KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,					
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK,					
SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM,					
AZ, BY, KG, KZ					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,					
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,					
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2002193396	A1	20021219	US 2001-12599	20011201	
AU 2002030618	A5	20020618	AU 2002-30618	20011206	
US 2002173655	A1	20021121	US 2001-13059	20011206	
PRIORITY APPLN. INFO.:			US 2000-254218P	P	20001208
			WO 2001-US46704	W	20011206
OTHER SOURCE(S):			MARPAT 137:33299		
GI					



AB Title (tetrahydro)imidazoquinolines that contain ether and heterocyclyl or heteroaryl functionality at the 1-position [I; wherein X = CHR₃, CHR₃-alkyl, or CHR₃-alkenyl; R = independently alkyl, alkoxy, OH, halo, or CF₃; R₁ = heteroaryl, heterocyclyl, R₄-heteroaryl, or R₄-heterocyclyl; R₂ = H, alkyl, alkenyl, (hetero)aryl, heterocyclyl, alkyl-Y-alkyl; alkyl-Y-alkenyl, or alkyl-Y-aryl in which the alkyl and alkenyl groups may be substituted; R₃ = independently H or alkyl; R₄ = alkyl or alkenyl, which may be interrupted by one or more O groups; Y = independently O or S(O)₀₋₂; n = 0-4; or their pharmaceutically acceptable salts] were prepd. as immune response modifiers which can induce the biosynthesis of various cytokines. For example, 2-(1H-imidazo[4,5-c]quinolin-1-yl)-1-ethanol was treated with NaOH and propargyl bromide in CH₂Cl₂ to give the ether. Oxidization using 3-chloroperoxybenzoic acid afforded the 5N-oxide, which was reacted with trichloroacetyl isocyanate and hydrolyzed to give the amine. BOC protection, followed by addn. of 4-bromoisquinoline in the presence of Pd(PPh₃)₂Cl₂ and TEA in DMF and treatment with TFA under nitrogen, afforded II. II induced interferon (IFN) and tumor necrosis

factor .alpha. (TNF-.alpha.) in human blood cell systems with at concns. of 0.12 .mu.M and 3.33 .mu.M, resp. Thus, I are useful in the treatment of a variety of conditions, including viral and neoplastic diseases (no data).

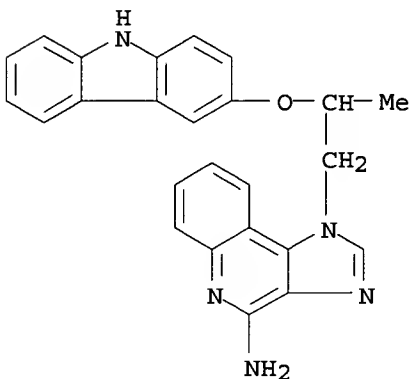
IT **436158-39-3P**, 1-[2-(9H-Carbazol-3-yloxy)propyl]-1H-imidazo[4,5-c]quinolin-4-amine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation);
USES (Uses)

(immune response modulator; prepn. of heterocyclic ether substituted imidazoquinolines as immune response modulators for treatment of viral and neoplastic diseases)

RN 436158-39-3 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(9H-carbazol-3-yloxy)propyl]-
(9CI) (CA INDEX NAME)



L12 ANSWER 59 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:430479 CAPLUS

DOCUMENT NUMBER: 137:225721

TITLE: Some luminescence characteristics of
ytterbium-acridines

AUTHOR(S): Korovin, Yu.; Rusakova, N.; Kostenchuk, M.; Rusakova,
M.; Suveyzdis, Y.

CORPORATE SOURCE: A.V. Bogatsky Physico-Chemical Institute, National
Academy of Sciences of Ukraine, Odessa, 65080, Ukraine

SOURCE: Polish Journal of Chemistry (2002), 76(6), 901-905

CODEN: PJCHDQ; ISSN: 0137-5083

PUBLISHER: Polish Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

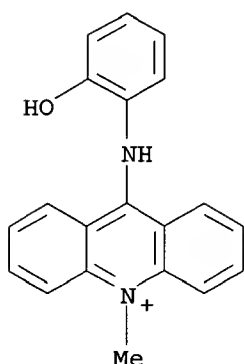
AB A study of luminescence properties of new Yb complexes with hydroxy and
carboxy substituted aniline derivs. of acridine is reported. Preliminary
results of studies of their cytotoxicity are also reported.

IT **457055-68-4DP**, ytterbium complex

RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic
preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn., luminescence and cytotoxic activity)

RN 457055-68-4 CAPLUS

CN Acridinium, 9-[(2-hydroxyphenyl)amino]-10-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 60 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:425418 CAPLUS

DOCUMENT NUMBER: 137:6086

TITLE: Preparation of substituted carbazoylamides as neuropeptide Y-5 antagonists

INVENTOR(S): Elliott, Richard L.; Griffith, David A.; Hammond, Marlys

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S., 46 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

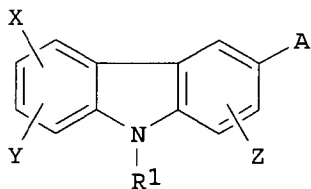
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6399631	B1	20020604	US 2000-620315	20000721
PRIORITY APPLN. INFO.:			US 1999-145304P	P 19990723
OTHER SOURCE(S):		MARPAT 137:6086		

GI



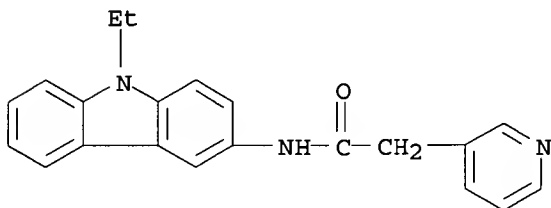
I

- AB Title compds. I [X, Y, Z = H, halo, OH, NO₂, CN, alkyl, alkoxy, amino, alkylamino, etc.; R₁ = alkyl, alkylaryl, alkenyl, (cyclo)alkyl, mono/polyfluoroalkyl; A = NR₂CO, NR₂SO₂; R₂ = H, alkyl, alkylaryl, alkenyl, etc.] were prepd. For instance, 3-amino-9-ethylcarbazole and 4-(dimethylamino)butyric acid were coupled (CH₂Cl₂, EDC, Et₃N, DMAP, 19 h) to give I (X, Y, Z = H; R₁ = Et; A = NHCOCH₂CH₂CH₂N(CH₃)₂; II). II had K_i < 1 .mu.M for the neuropeptide Y-5 (NPY-5) receptor. I are useful in treating conditions assocd. with NPY-5 neurotransmission, e.g., obesity.
- IT **432505-70-9P**, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-3-ylacetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); BIOL (Biological

study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(target drug, intermediate; prepn. of substituted carbazolyamides as
neuropeptide Y-5 antagonists)

RN 432505-70-9 CAPLUS

CN 3-Pyridineacetamide, N-(9-ethyl-9H-carbazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 61 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:408666 CAPLUS

DOCUMENT NUMBER: 136:401649

TITLE: Preparation of 7-(1-indolylsulfonyl)-1,2,3,4-
tetrahydroisoquinolines useful in the treatment of CNS
disorders

INVENTOR(S): Bromidge, Steven Mark; Moss, Stephen Frederick

PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

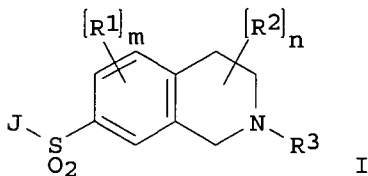
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

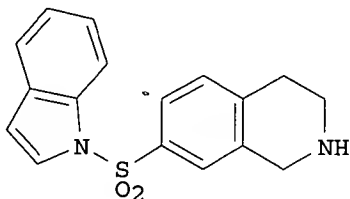
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042293	A1	20020530	WO 2001-EP13410	20011116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002015047	A5	20020603	AU 2002-15047	20011116
PRIORITY APPLN. INFO.:			GB 2000-28380	A 20001121
			GB 2001-11185	A 20010508
			WO 2001-EP13410	W 20011116

OTHER SOURCE(S): MARPAT 136:401649

GI



I



II

AB The title compds. [I; R1 = halo, alkyl, alkoxy, alkanoyl, CN, CF3, OCF3; R2 = alkyl; or R2 together with R3 forms a 5-6 membered satd. carbocyclic ring; R3 = H, (un)substituted alkyl; m = 0-3; n = 0-6; J = (un)substituted 1-indolyl, 1-indazolyl, 9-carbazolyl, etc.] which have affinity for the 5-HT6 receptor and are useful in the treatment of various CNS disorders such as depression, anxiety, Alzheimer's disease, age-related cognitive decline, ADHD, mild cognitive impairment and/or schizophrenia, were prepd. Thus, treating a soln. of indole and Bu4NOH in THF with NaOH followed by addn. of 2-acetyl-1,2,3,4-tetrahydroisoquinoline-7-sulfonyl chloride, and refluxing a soln. of the resulting 1-[7-(indole-1-sulfonyl)-3,4-dihydro-1H-isoquinolin-2-yl]ethanone (72%) in 3M HCl and BuOH afforded (72%) II.HCl which showed pKi in the range 8.2-8.9 at human cloned 5-HT6 receptors.

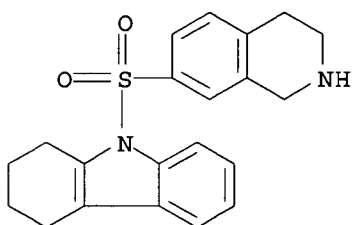
IT **431038-37-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 7-(1-indolylsulfonyl)-1,2,3,4-tetrahydroisoquinolines useful in the treatment of CNS disorders)

RN 431038-37-8 CAPLUS

CN 1H-Carbazole, 2,3,4,9-tetrahydro-9-[(1,2,3,4-tetrahydro-7-isoquinolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 62 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:408626 CAPLUS

DOCUMENT NUMBER: 136:401535

TITLE: Derivatives of 4-hydroxybutanoic acid and of its higher homologue as ligands of .gamma.-hydroxybutyrate (GHB) receptors, pharmaceutical compositions containing same and pharmaceutical uses

INVENTOR(S): Bourguignon, Jean-Jacques; Maitre, Michel; Klotz, Evelyne; Schmitt, Martine; Gobaille, Serge; Macher, Jean-Paul

PATENT ASSIGNEE(S): Universite Louis Pasteur (Etablissement Public A Caractere Scientifique, Culturel Et Professionnel), Fr.

SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042250	A1	20020530	WO 2001-FR3615	20011116
WO 2002042250	B1	20020718		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
 UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

FR 2817256 A1 20020531 FR 2000-15291 20001127

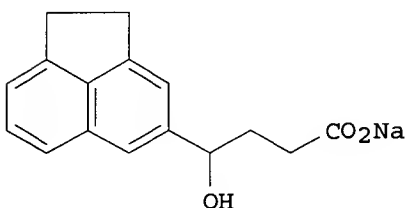
AU 2002020792 A5 20020603 AU 2002-20792 20011116

PRIORITY APPLN. INFO.: FR 2000-15291 A 20001127

WO 2001-FR3615 W 20011116

OTHER SOURCE(S): MARPAT 136:401535

GI



AB The invention concerns novel derivs. of 4-hydroxybutanoic acid and its higher homolog, 5-hydroxypentanoic acid, their crotonic homologs, pharmaceutical compns. contg. them and their pharmaceutical uses. In particular, compds. Ar-(CH₂)_n-CH(OH)-X-W (I) are claimed [wherein: Ar = certain (un)substituted mono-, bi-, and tricyclic arom. and heteroarom. ring systems; n = 0 or 1; X = (CH₂)₂, (CH₂)₃, or trans-CH:CH; W = CO₂H or pharmaceutically acceptable salt, CH₂OH, alkoxycarbonyl, SO₃H, PO₃H₂, tetrazol-5-yl, N-(2,6-dimethylphenylsulfonyl)carbamoyl, CONR⁷R⁸, CO₂CHR⁹CO₂R¹⁰; R⁷, R⁸ = H, alkyl, aryl, aralkyl, or OH; R⁹ = H, Me; R¹⁰ = Et, C₁₂H₁₅, or adamantyl]. I are capable of binding with .gamma.-hydroxybutyrate (GHB)-specific receptors, and are capable of exhibiting agonist or antagonist properties. The compds. are potentially useful for treating a wide variety of conditions. In particular, I are useful for treating sleep disorders, anxiety, and general diseases of the central nervous system. Over 40 compds. were prepd. Preps. generally involved prodn. of 4-(hetero)aryl-4-oxobutanoate esters by different routes, followed by borohydride redn. of the ketone, hydrolysis of the ester, and salification. Compds. I displaced 3H-GHB from rat brain GHB receptors in vitro with IC₅₀ values ranging from 34.2 .mu.M to 0.08 .mu.M (the latter for compd. II). In an EEG test in rats, II gave a 23-28% increase in the duration of slow wave sleep (SWS) at doses of 0.15-0.28 .mu.mol/kg i.p.

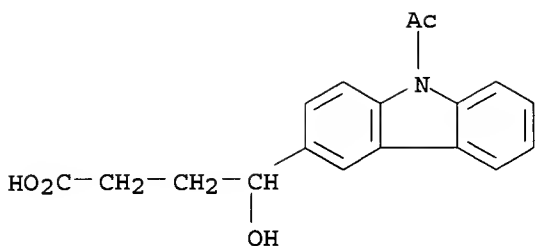
IT **430440-52-1P**, 4-(9-Acetyl-9H-carbazol-3-yl)-4-hydroxybutanoic acid sodium salt

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)

(drug candidate; prepn. of aryl and heteroaryl hydroxybutanoic acid derivs. and homologs as GHB receptor agonists and antagonists)

RN 430440-52-1 CAPLUS

CN 9H-Carbazole-3-butanoic acid, 9-acetyl-.gamma.-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)

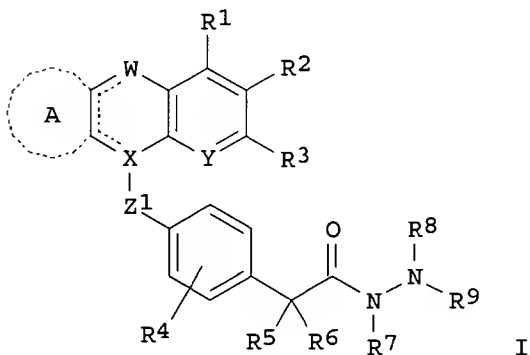


● Na

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 63 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:400330 CAPLUS
 DOCUMENT NUMBER: 136:401769
 TITLE: Preparation of 4-heterocyclylphenylacetohydrazide derivatives having blood lipid-lowering activity
 INVENTOR(S): Suga, Akira; Imanishi, Naoki; Kubota, Hideki; Miura, Toshinori; Moritani, Hiroshi; Matsuda, Kouyou
 PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002155080	A2	20020528	JP 2000-355446	20001122
PRIORITY APPLN. INFO.:			JP 2000-355446	20001122
OTHER SOURCE(S):			MARPAT 136:401769	
GI				



AB The title compds. [I; R1-R6 = H, halo, (un)substituted hydrocarbyl or heterocyclyl, CO₂H, lower alkoxy carbonyl, CHO, lower alkyl carbonyl, lower alkylthio; R7, R8, R9 = H, (un)substituted hydrocarbyl, Z2-Q; or NR₈R₉ = N-contg. heterocyclyl; ring A = (un)substituted benzene, pyridine, or cyclohexene; Q = (un)substituted hydrocarbyl or heterocyclyl; Z1 = lower

alkylene, O, (un)substituted NH, SO₂, (un)substituted CONH; Z₂ = bond, O, N, S, CO; X, Y = N, C, CH] or pharmacol. acceptable salts thereof, which possess apoprotein B (apo B)-related lipoprotein secretion-inhibitory activity, prepd. These compds. possess blood cholesterol-lowering and triglyceride-lowering activity and are useful for the treatment of hyperlipidemia, arteriosclerosis, obesity, and pancreatitis. Thus, 2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]phenyl]acetic acid was condensed with phenylhydrazine using 1-hydroxybenzotriazole, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and Et₃N in CHCl₃ at room temp. overnight to give N-[2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]phenyl]acetyl]-N'-phenylhydrazine (II). (S)-II showed ED₅₀ of 0.15 mg/kg for lowering non-HDL cholesterol in rats.

IT **431080-96-5P**

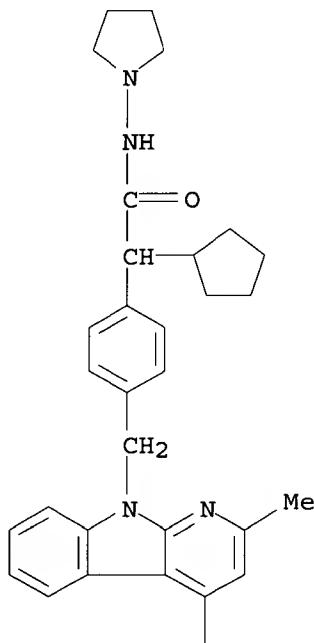
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)

(prepn. of (heterocyclylphenyl)acetohydrazide derivs. with apoprotein B-related lipoprotein secretion-inhibitory, blood lipid-lowering, and cholesterol-lowering activity)

RN 431080-96-5 CAPLUS

CN Benzeneacetamide, .alpha.-cyclopentyl-4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]-N-1-pyrrolidinyl- (9CI) (CA INDEX NAME)

PAGE 1-A



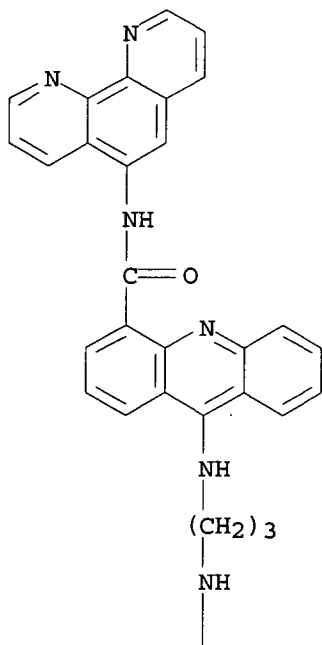
PAGE 2-A

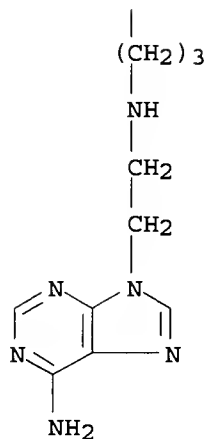
Me

09/ 995,324

DOCUMENT NUMBER: 137:212416
TITLE: Design of site specific DNA damaging agents for generation of multiply damaged sites
AUTHOR(S): Martelli, Alain; Constant, Jean-Francois; Demeunynck, Martine; Lhomme, Jean; Dumy, Pascal
CORPORATE SOURCE: LEDSS, CNRS/Universite J. Fourier, Grenoble, BP53 38041, Fr.
SOURCE: Tetrahedron (2002), 58(21), 4291-4298
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We describe the synthesis and DNA damaging activities of hybrid mols. in which a purine (adenine) is linked to an intercalating chromophore (acridine) by a polyamino linker. A DNA damaging agent, phenanthroline or para-nitrobenzamide, is tethered to the acridine moiety at various positions. Our goal is to induce upon activation other lesions in close proximity to the abasic site and therefore create cytotoxic multiply damaged sites.
IT **455251-62-4P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(design of site specific DNA damaging agents for generation of multiply damaged sites)
RN 455251-62-4 CAPLUS
CN 4-Acridinecarboxamide, 9-[[[3-[[[3-[[2-(6-amino-9H-purin-9-yl)ethyl]amino]propyl]amino]propyl]amino]-N-1,10-phenanthrolin-5-yl]- (9CI)
(CA INDEX NAME)

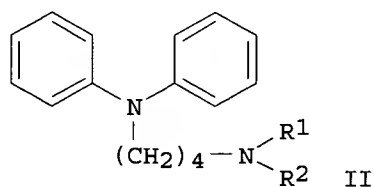
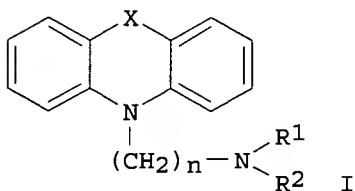
PAGE 1-A





REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 65 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:372411 CAPLUS
 DOCUMENT NUMBER: 137:109247
 TITLE: Design, Synthesis, and Evaluation of New Chemosensitizers in Multi-Drug-Resistant Plasmodium falciparum
 AUTHOR(S): Guan, Jian; Kyle, Dennis E.; Gerena, Lucia; Zhang, Quan; Milhous, Wilbur K.; Lin, Ai J.
 CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910, USA
 SOURCE: Journal of Medicinal Chemistry (2002), 45(13), 2741-2748
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:109247
 GI



AB A series of new chemosensitizers (modulators) against chloroquine-resistant Plasmodium falciparum were designed and synthesized in an attempt to prep. modulators with enhancing drug-resistant reversing efficacy and minimal side effects. Phenothiazine, iminodibenzyl, and iminostilbene arom. amine ring systems I (X = S, CH₂CH₂, CH:CH; n = 4-6; R₁, R₂ = Me, Et, PhCH₂; R₁R₂N = pyrrolinyl) and diphenylamines II (R₁ = R₂ = Et, R₁R₂N = pyrrolinyl) were examd. Various tertiary amino groups including either noncyclic or cyclic aliph. amines were introduced to explore the steric tolerance at the end of the side chain. The new

compds. showed better drug-resistant reversing activity in chloroquine-resistant than in mefloquine-resistant cell lines and were generally more effective against chloroquine-resistant *P. falciparum* isolates from Southeast Asian (W2 and TM91C235) than those from South America (PC49 and RCS). Structure-activity relationship studies revealed that elongation of the alkyl side chain of the mol. retained the chemosensitizing activity, and analogs with four-carbon side chains showed superior activity. Furthermore, new modulators with phenothiazine ring exhibited the best chemosensitizing activity among the four different ring systems examd. Terminal amino function has limited steric tolerance as evidenced by the dramatic loss of the modulating activity, when the size of substituent at the amino group increases. The fractional inhibitory concn. (FIC) index of the best new modulator I (X = S, n = 4, R1R2N = pyrrolinyl) is 0.21, which is superior to that of verapamil (0.51), one of the best-known multi-drug-resistant reversing agents. Some of the analogs displayed moderate intrinsic in vitro antimalarial activity against a W-2 clone of *P. falciparum*.

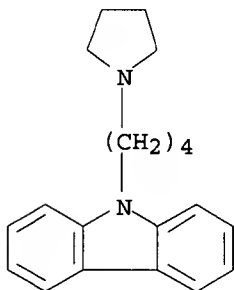
IT 443309-41-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of antimalarial drug chemosensitizing aminoalkyl phenothiazines, benzazepines, and diphenylamines)

RN 443309-41-9 CAPLUS

CN 9H-Carbazole, 9-[4-(1-pyrrolidinyl)butyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 66 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:353460 CAPLUS

DOCUMENT NUMBER: 136:355230

TITLE: Preparation of tetrahydrocyclopent[b]indoles, tetrahydrocarbazoles, hexahydrocyclohept[b]indoles, and related compounds with cytotoxic and antiangiogenic activity.

INVENTOR(S): Giannini, Giuseppe; Marzi, Mauro; Tinti, Maria Ornella; Pisano, Claudio

PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

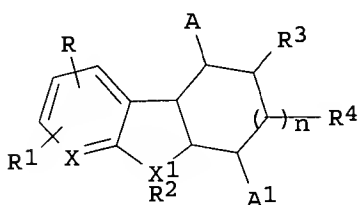
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036597	A1	20020510	WO 2001-IT526	20011016
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

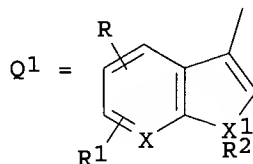
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002015186 A5 20020515 AU 2002-15186 20011016
 PRIORITY APPLN. INFO.: IT 2000-RM570 A 20001103
 WO 2001-IT526 W 20011016

OTHER SOURCE(S): MARPAT 136:355230
 GI



I



AB Title compds. [I; X = CH, N; X1 = O, S, N, CH; R, R1 = H, OH, OR5, NO2, amino, CO2H, alkoxycarbonyl; RR1 = aliph. or arom. cyclic group having 5-6 atoms; R5 = alkyl, benzyl; 2 vicinal R5 = CH2; when X1 = N, CH, then R2 = H, Ph, PhCH2, alkyl; n = 0-4; R3, R4 = H, OH, OR6; R6 = alkyl; when R3 = R4 = vicinal OR6, then R6 = isopropylidene; A = Q1, A1 = H; or A1 = Q1, A = H, R7; R7 = CHO, CH:NOH, (HO-, R6O-substituted) alkyl], were prepd. Thus, 1-(indol-3-yl)-2,3-O-isopropylidene-4-(2,3-O-isopropylideneethyl)tetrahydrocarbazole (prepn. outlined) showed IC50 = 21.1 .mu.M against MCF-7 cells.

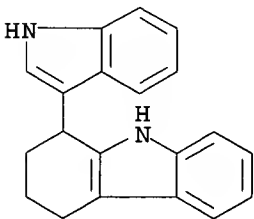
IT 422323-81-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of tetrahydrocyclopentindoles, tetrahydrocarbazoles, hexahydrocycloheptindoles, and related compds. with cytotoxic and antiangiogenic activity)

RN 422323-81-7 CAPLUS

CN 1H-Carbazole, 2,3,4,9-tetrahydro-1-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 67 OF 156 CAPLUS COPYRIGHT 2003 ACS

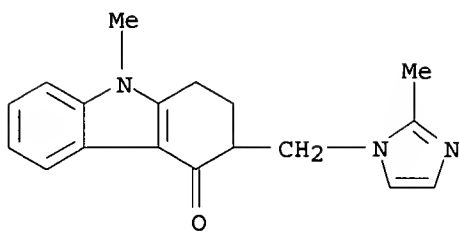
ACCESSION NUMBER: 2002:353422 CAPLUS

DOCUMENT NUMBER: 136:374797

09/ 995,324

TITLE: Preparation of crystal and solvate forms of
ondansetron hydrochloride for use as antiemetics
INVENTOR(S): Lidor-Hadas, Ramy; Aronhime, Judith; Lifshitz,
Revital; Weizel, Shlomit; Niddam, Valerie
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva
Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036558	A2	20020510	WO 2001-US48720	20011030
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002030935	A5	20020515	AU 2002-30935	20011030
US 2002107275	A1	20020808	US 2001-16752	20011030
PRIORITY APPLN. INFO.:			US 2000-244283P	P 20001030
			US 2000-253819P	P 20001129
			US 2001-265539P	P 20010131
			WO 2001-US48720	W 20011030
AB	The present invention provides novel ondansetron hydrochloride cryst. polymorphic forms and solvates. Processes for making and interconverting the polymorphic forms are also provided. Further, pharmaceutical compns. contg. the novel polymorphic forms and hydrates for treating nausea and/or vomiting are described. For example, ondansetron base (400 mg) was suspended in 16 mL of a 1:1 mixt. of ethanol and isopropanol at room temp. and the suspension was heated to reflux to dissolve the ondansetron. After 20 min of stirring at reflux, an ethanolic soln. contg. 1.1 equiv of HCl was added. The reaction mixt. was stirred at this temp. for an addnl. 10 min. Evapn. of the solvent gave ondansetron hydrochloride dihydrate Form A.			
IT	423115-98-4P RL: PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study) ; PREP (Preparation); USES (Uses) (prepn. of crystal and solvate forms of ondansetron hydrochloride for use as antiemetics)			
RN	423115-98-4 CAPLUS			
CN	4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, compd. with 2-propanol (9CI) (CA INDEX NAME)			
CM	1			
CRN	99614-01-4			
CMF	C18 H19 N3 O . Cl H			

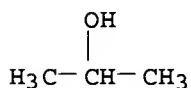


● HCl

CM 2

CRN 67-63-0

CMF C3 H8 O

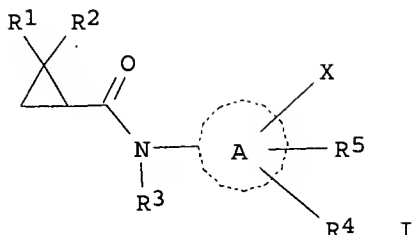


L12 ANSWER 68 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:353412 CAPLUS
 DOCUMENT NUMBER: 136:355161
 TITLE: Preparation of cyclopropanecarboxylic acid amides as
 NF-kappa B activation inhibitors, inflammatory
 cytokine production inhibitors, etc.
 INVENTOR(S): Iino, Yukio; Yamamoto, Takashi; Kobayashi, Tsuyoshi
 PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
 SOURCE: PCT Int. Appl., 39 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036547	A1	20020510	WO 2001-JP9554	20011031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010989	A5	20020515	AU 2002-10989	20011031
PRIORITY APPLN. INFO.:			JP 2000-334271	A 20001101
			WO 2001-JP9554	W 20011031

OTHER SOURCE(S): MARPAT 136:355161

GI



AB The title compds. I [R1, R2 = alkyl, etc.; R3 = H, alkyl; ring A = arom. ring, heterocyclic ring; R4, R5 = H, halo, etc.; X = H, amino, etc.] are prepd. I are NF-kappa B activation inhibitors, inflammatory cytokine prodn. inhibitors, matrix metalloprotease prodn. inhibitors, inflammatory cell adhesion factor expression inhibitors, antiinflammatory agents, antirheumatic agents, immunosuppressants, cancer metastasis inhibitors, antiviral agents or remedies for arteriosclerosis. 2,2-Dimethylcyclopropanecarboxylic acid (4-benzylphenyl)amide in vitro showed IC50 of 3 .mu.g/mL against NF-kappa B.

IT **422322-14-3P**

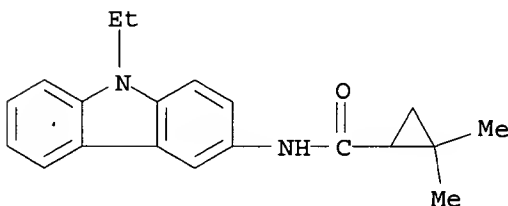
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

USES (Uses)

(prepn. of cyclopropanecarboxylic acid amides as NF-Kappa B activation inhibitors and inflammatory cytokine prodn. inhibitors)

RN 422322-14-3 CAPLUS

CN Cyclopropanecarboxamide, N-(9-ethyl-9H-carbazol-3-yl)-2,2-dimethyl- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 69 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:349146 CAPLUS

DOCUMENT NUMBER: 136:369608

TITLE: Preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of .alpha.4.beta.1 integrin binding

INVENTOR(S): Biediger, Ronald J.; Chen, Qi; Holland, George W.; Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde; Decker, Radford E.; Li, Jian

PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA

SOURCE: Eur. Pat. Appl., 131 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

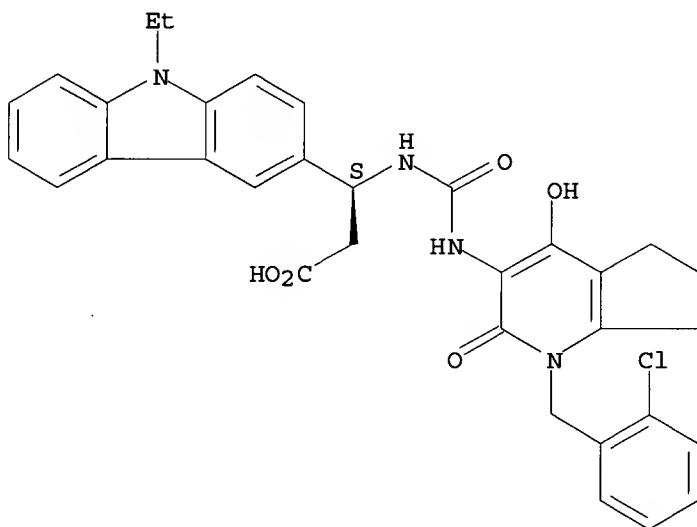
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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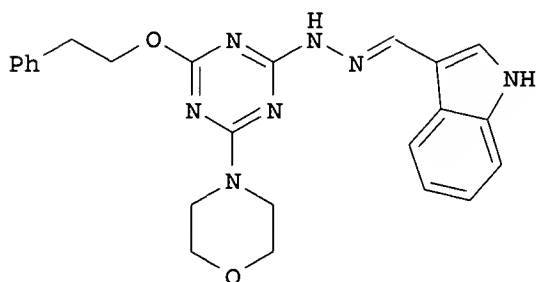
EP 1203766 A2 20020508 EP 2001-125494 20011106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NO 2001005394 A 20020507 NO 2001-5394 20011105
PRIORITY APPLN. INFO.: US 2000-707068 A 20001106
US 2001-973142 A 20011009
OTHER SOURCE(S): MARPAT 136:369608
AB Title compds. were prepd. Thus, 2-ClC6H4CH2ZNH2 (Z = 4-ethyl-2-oxo-1,2-dihydropyridine-1,3-diyl) (prepn. given) was condensed with
(S)-4-MeC6H4CH(NH2)CH2CO2Et and COCl2 to give, after sapon.,
(S)-2-ClC6H4CH2ZNHCONHCH(C6H4Me-4)CH2CO2H (Z as above). Data for biol.
activity of title compds. were given.
IT 422517-76-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
(prepn. of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as
inhibitors of .alpha.4.beta.1 integrin binding)
RN 422517-76-8 CAPLUS
CN 9H-Carbazole-3-propanoic acid, .beta.-[[[1-[(2-chlorophenyl)methyl]-
2,5,6,7-tetrahydro-4-hydroxy-2-oxo-1H-cyclopenta[b]pyridin-3-
yl]amino]carbonyl]amino]-9-ethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 70 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:345948 CAPLUS
DOCUMENT NUMBER: 136:355251
TITLE: Preparation of morpholinyltriazines as inhibitors of
interleukin-12 (Il-12) production.
INVENTOR(S): Ono, Mitsunori; Wada, Yumiko; Brunkhorst, Beatrice;
Warchol, Tadeusz; Wrona, Wojciech; Zhou, Dan; Vo, Nha
Huu; Gillies, Stephen
PATENT ASSIGNEE(S): Shionogi Bioresearch Corp., USA
SOURCE: U.S., 14 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6384032	B1	20020507	US 2000-594362	20000615
US 2002082259	A1	20020627	US 2001-6624	20011130
PRIORITY APPLN. INFO.:			US 1999-139326P	P 19990617
			US 2000-594362	A2 20000615
OTHER SOURCE(S):		MARPAT 136:355251		
GI				



I

AB WL1X(Z)L2Y [X = 1,3,5-triazinyl; L1 = A1B1; A1 = [CH(Ra)]_m, O, S, NRb; B1 = [CH(Rc)]_n; Ra, Rc = H, alkyl, alkoxy, OH, hydroxyalkyl, CO₂H, SH, cyano, NO₂, etc.; Rb = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; m, n = 1-8; W = (substituted) cycloalkyl, heterocycloalkyl, aryl, heteroaryl; L2 = A2B2; A2 = bond, NR1, (CR2R3)_p; B2 = bond, N:CR4, CR5:N, etc.; R1-R5 = H, alkyl, alkoxy, OH, hydroxyalkyl, halo, haloalkyl, amino, aryl, etc.; p = 1-3; Y = R'L'R''; R' = bond, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, etc.; L' = bond, O, S, NR28, CO₂, etc.; R28 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, etc.; R'' = cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, (substituted) heteroaralkyl], were prepd. Thus, cyanuric chloride, PhCH₂CH₂OH, CH₂Cl₂, and dimethylacetamide were refluxed together for 10 h followed by diln. with CH₂Cl₂, washing with H₂O, and filtration. The filtrate at 0.degree. was treated dropwise with morpholine and diisopropylethylamine in CH₂Cl₂ to give the triazine monochloride intermediate. The latter was stirred with N₂H₄ in EtOH to give the triazinylhydrazine, which was kept 10 h with indole-3-carboxaldehyde and HOAc in MeOH to give title compd. (I). Title compds. at 10-20 mg/kg gave a survival rate of 60-80% in mice injected with LPS to induce septic shock, vs. 0% survival for untreated controls.

IT 420134-73-2P

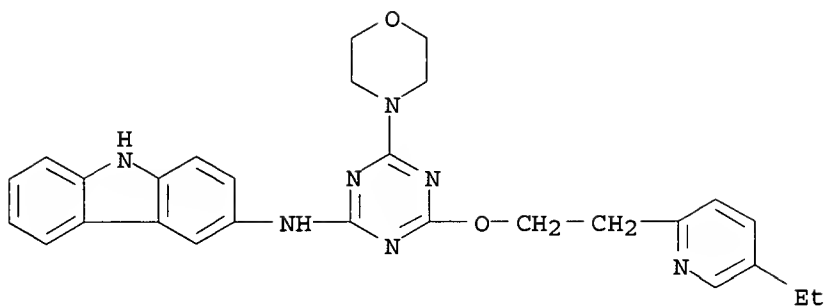
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

USES (Uses)

(prepn. of morpholinyltriazines as inhibitors of interleukin-12 (IL-12) prodn.)

RN 420134-73-2 CAPLUS

CN 9H-Carbazol-3-amine, N-[4-[2-(5-ethyl-2-pyridinyl)ethoxy]-6-(4-morpholinyl)-1,3,5-triazin-2-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 71 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:336725 CAPLUS

DOCUMENT NUMBER: 137:294792

TITLE: Design, synthesis, DNA-binding and cytotoxicity evaluation of new potential combilexines

AUTHOR(S): Hotzel, Christian; Marotto, Annalisa; Pindur, Ulf

CORPORATE SOURCE: Department of Pharmacy, Johannes Gutenberg University, Mainz, D-55099, Germany

SOURCE: European Journal of Medicinal Chemistry (2002), 37(5), 367-378

CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Combilexines, compds. in which a DNA intercalator is linked to a minor groove binding component, interact with the DNA in a sequence specific manner to yield in most cases compds. with anticancer activity. A series of new compds. closely related to netropsin in which the two components were linked by an amide group was synthesized as potential combilexines. As some of these compds. showed cytotoxic activity in vitro, an attempt was made to rationalize their mechanism of action. The DNA binding characteristics of the carboxamides were evaluated by thermal denaturation expts. and by ethidium bromide displacement assay. Their ability to inhibit topoisomerase I was also detd. It was concluded that the new compds. were only weak DNA ligands although able in some cases to inhibit topoisomerase I.

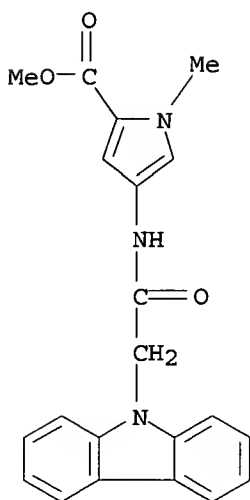
IT 467420-85-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis, DNA-binding, cytotoxicity, and topoisomerase I inhibitory evaluation of new potential combilexines formally derived from netropsin and distamycin A)

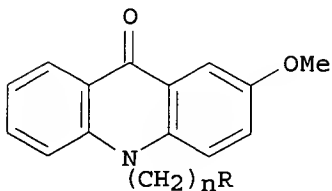
RN 467420-85-5 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 4-[(9H-carbazol-9-ylacetyl)amino]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 72 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:324954 CAPLUS
 DOCUMENT NUMBER: 137:279077
 TITLE: Synthesis and chemical characterization of 2-methoxy-N10-substituted acridones needed to reverse vinblastine resistance in multidrug resistant (MDR) cancer cells
 AUTHOR(S): Krishnegowda, Gowdahalli; Thimmaiah, Padma; Hegde, Ravi; Dass, Chhabil; Houghton, Peter J.; Thimmaiah, Kuntebommanahalli N.
 CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Georgetown University Medical Center, Washington, DC, 20007-219, USA
 SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(7), 2367-2380
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



I

AB In an attempt to find clin. useful modulators of multidrug resistance (MDR), a series of 19 N10-substituted-2-methoxyacridone analogs has been synthesized. 2-Methoxyacridone was prepd. by the Ullmann condensation of o-chlorobenzoic acid and p-anisidine followed by cyclization using polyphosphoric acid. This compd. undergoes N-alkylation in the presence of phase transfer catalyst (PTC). Stirring of 2-methoxyacridone with 1-bromo-3-chloropropane or 1-bromo-4-chlorobutane in a two-phase system

consisting of org. phase (tetrahydrofuran) and 6 N potassium hydroxide in the presence of tetrabutylammonium bromide leads to the formation of the N-chloroalkyl derivs. I [R = Cl, n = 3, 4] in good yield. These compds. undergo iodide catalyzed nucleophilic substitution reaction with various secondary amines. Products were characterized by UV, IR, ¹H and ¹³C NMR, mass-spectral data and elemental anal. The lipophilicity expressed in log₁₀ P and pK_a of compds. was detd. All compds. were examd. for their ability to increase the uptake of vinblastine (VLB) in MDR KBChR-8-5 cells and I [R = morpholino, 4-(2-hydroxyethyl)piperazino (Q), n = 3; R = NEt₂, piperidino, morpholino, thiomorpholino, 4-methylpiperazino, Q, n = 4] at 100 .mu.M caused a 1.05- to 1.7-fold greater accumulation of vinblastine than did a similar concn. of the std. modulator, verapamil (VRP). However, the effects on VLB uptake were specific because these derivs. had little effect in the parental drug sensitive line KB-3-1. Steady state accumulation of VLB, a substrate for P-glycoprotein (P-gp) mediated efflux, was studied in the MDR cell line KBChR-8-5 in the presence and absence of novel MDR modulators. Results of the efflux expt. showed that VRP and each of the modulators significantly inhibited the efflux of VLB, suggesting that they may be competitors for P-gp. I, except I [R = Cl, N(CH₂CH₂OH)₂, thiomorpholino, n = 3; R = Cl, n = 4] exhibited greater efflux inhibiting activity than VRP. All the 19 compds. effectively compete with [³H] azidopine for binding to P-gp, pointed out this transport membrane protein as their likely site of action. Cytotoxicity has been detd. and the IC₅₀ values lie in the range 8.00-18.50 .mu.M for I [n = 3] and 4-15 .mu.M for I [n = 4] against KBChR-8-5 cells suggesting that the antiproliferative activity increases as chain length increases from 3 to 4 carbons. Compds. at IC₁₀ were evaluated for their efficacy to modulate the cytotoxicity of VLB in KBChR-8-5 cells and found that the modulators enhanced the cytotoxicity of VLB by 5- to 35-fold. I [R = NEt₂, pyrrolidino, piperidino, morpholino, Q, n = 4] like VRP, were able to completely reverse the 24-fold resistance of KBChR-8-5 cells to VLB. Examn. of the relationship between lipophilicity and antagonism of MDR showed a reasonable correlation suggesting that hydrophobicity is one of the determinants of potency for anti-MDR activity of 2-methoxyacridones.

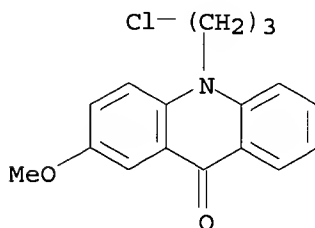
IT 467235-30-9P

RL: PAC (Pharmacological activity); RCT (Reactant); BIOL (Biological study); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and vinblastine resistance-modulating activity of aminoalkyl(methoxy)acridones)

RN 467235-30-9 CAPLUS

CN 9(10H)-Acridinone, 10-(3-chloropropyl)-2-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 73 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:314916 CAPLUS

DOCUMENT NUMBER: 136:319358

TITLE: Agent which inactivates pathogens, comprising an element that bonds with nucleic acids and the use thereof

09/ 995,324

INVENTOR(S): Neumann, Hans-Juergen; Knoller, Helmut
PATENT ASSIGNEE(S): Fresenius Hemocare G.m.b.H., Germany
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032875	A1	20020425	WO 2001-EP5034	20010504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10051628	A1	20020502	DE 2000-10051628	20001018
AU 2001072393	A5	20020429	AU 2001-72393	20010504

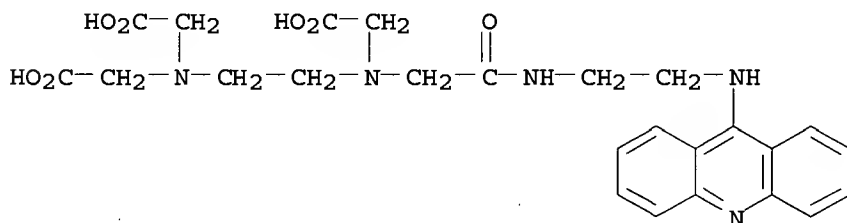
PRIORITY APPLN. INFO.: DE 2000-10051628 A 20001018
WO 2001-EP5034 W 20010504

AB The invention relates to an agent which inactivates pathogens and to the use thereof. Said agent contains an element that bonds with the nucleic acids of the pathogens and a conjugate, which destroys nucleic acid. The conjugate is produced from a metal-chelate complex, in which the metal can change between at least two levels of oxidn. The agent can in particular be used in physiol. liqs. such as blood or blood components for inactivating viruses.

IT **415684-81-0**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(agent which inactivates pathogens, comprising element that bonds with nucleic acids and use thereof)

RN 415684-81-0 CAPLUS

CN Glycine, N-[2-[[2-(9-acridinylamino)ethyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino)ethyl]- (9CI) (CA INDEX NAME)

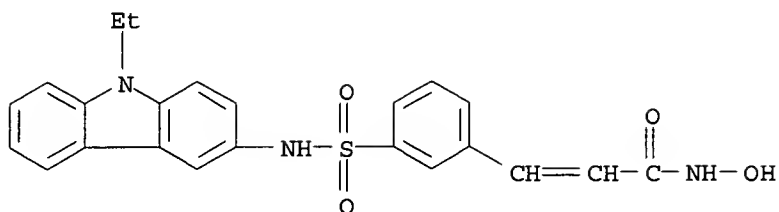


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 74 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:293604 CAPLUS
DOCUMENT NUMBER: 136:325325
TITLE: Preparation of aryl-substituted N-hydroxy amides with sulfonamide linkages as HDAC inhibitors for treatment of proliferative conditions
INVENTOR(S): Watkins, Clare J.; Romero-Martin, Maria-Rosario; Moore, Kathryn G.; Ritchie, James; Finn, Paul W.; Kalvinsh, Ivars; Loza, Einars; Dikovska, Klara;

Gailite, Vija; Vorona, Maxim; Piskunova, Irina;
 Starchenkov, Igor; Adrianov, Victor; Harris, C. John;
 Duffy, James E. S.
 PATENT ASSIGNEE(S): Prolifix Limited, UK
 SOURCE: PCT Int. Appl., 267 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030879	A2	20020418	WO 2001-GB4326	20010927
WO 2002030879	A3	20020627		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001090131	A5	20020422	AU 2001-90131	20010927
PRIORITY APPLN. INFO.:				
			GB 2000-23986	A 20000929
			US 2001-297784P	P 20010614
			US 2001-308136P	P 20010730
			WO 2001-GB4326	W 20010927
OTHER SOURCE(S): MARPAT 136:325325				
AB	The title compds. AQ1JQ2CONHOH (I) [wherein A = aryl group; Q1 = covalent bond or aryl leader group having a backbone of at least 2 C atoms; J = SO ₂ NR ₁ or NR ₁ SO ₂ ; R ₁ = sulfonamido substituent; Q2 = acid leader group; with the proviso that if J is SO ₂ NR ₁ , then Q1 is an aryl leader group; and pharmaceutically acceptable salts, solvates, amides, esters, ethers, chem. protected forms, and prodrugs thereof] were prepd. as histone deacetylase (HDAC) inhibitors for treatment of proliferative conditions, such as cancer and psoriasis. For example, 3-(3-sulfonylphenyl)acrylic acid Me ester (prepn. given) was coupled with 1-aminonaphthalene to give the sulfonamide (51%). Deesterification (79%), followed by conversion to the acid chloride (99%) and treatment with HONH ₂ .bul.HCl in the presence of NaHCO ₃ in THF, afforded N-hydroxy-3-[3-(naphthalen-1-ylsulfamoyl)phenyl]acrylamide (PX117228) in 24% yield. The latter inhibited HDAC from crude human cervical adenocarcinoma (HeLa) ext. with IC ₅₀ of 7 nM and inhibited cell proliferation against the HeLa cell line using cell proliferation reagent WST-1 with IC ₅₀ of 0.8 nM. Structure-activity relationship studies showed superior activity for I when (1) a reverse sulfonamide, i.e. NHSO ₂ , was employed as J, (2) a covalent bond or aryl leader having a backbone of at least 2C atoms was used as Q1, and/or (3) a phenylene-meta-alkylene linkage was employed as Q2.			
IT	414866-06-1P , 3-[3-(9-Ethyl-9H-carbazol-3-ylsulfamoyl)phenyl]-N-hydroxyacrylamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (HDAC inhibitor; prepn. of aryl N-hydroxy amides with sulfonamide linkages as HDAC inhibitors for treatment of proliferative conditions)			
RN	414866-06-1 CAPLUS			
CN	2-Propenamide, 3-[3-[[[3-(9-ethyl-9H-carbazol-3-yl)amino]sulfonyl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)			



L12 ANSWER 75 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:293443 CAPLUS

DOCUMENT NUMBER: 136:319370

TITLE: Use of defined substances that bind to the sigma receptor for combating sarcoma and carcinoma

INVENTOR(S): Van Amsterdam, Christoph

PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030422	A1	20020418	WO 2001-EP11710	20011011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10050236	A1	20020425	DE 2000-10050236	20001011
AU 2002010527	A5	20020422	AU 2002-10527	20011011
PRIORITY APPLN. INFO.:			DE 2000-10050236 A	20001011
			WO 2001-EP11710 W	20011011

AB The invention relates to the use of a compd., selected from 3-[4-(4-phenyl-1,2,3,6-tetrahydro-1-pyridyl)butyl]indole-5-ol, 1-(2-(bis(4-fluorophenyl)methoxy)ethyl)-4-(3-phenyl-propyl)piperazine, 1-(4-hydroxyphenyl)-2-(4-benzyl-1-piperidinyl)propanol, 3-(4-((3S)-3-benzyl-1-piperidyl)butyl)indole-5-carbonitrile, 3-(4-((3R)-3-benzyl-1-piperidyl)butyl)indole-5-carbonitrile, 6-(4-(4-(5-fluoro-3-indolyl)butyl)-1-piperazinyl)-2H-1-benzopyrane-2-one, (5S)-(-)-5-[4-(4-aminobenzyl)-1-piperidylmethyl]-3-(4-ethylphenyl)oxazolidine-2-one, 6-3-[4-(2,4-difluorobenzyl)-1-piperidyl]-1-oxopropyl-2,3-dihydrobenzoxazole-2-one, 3-(4-(3-(4-Fluorophenyl)-hydroxymethyl)piperido-1-yl)butyl)-5-indole-carbonitrile, 2-(4-[3-(5H-dibenz[b,f]azepine-5-yl)propyl]-1-piperazinyl)ethanol, 1-[2-(3,4-dimethoxyphenyl)ethyl]-4-(3-phenylpropyl)piperazine, (5S)-(-)-5-(4-benzyl-1-piperidylmethyl)-3-(4-chlorophenyl)oxazolidine-2-one, 6-3-[4-(4-fluorobenzyl)-1-piperidyl]-2-methylpropionyl-2,3-dihydrobenzoxazole-2-one, (1R,2S)-(+)-4-(3-(4-benzyl-piperidino-1-yl)-1-hydroxy-2-methyl-propyl)phenol, (E)-4-(3-(4-benzyl-piperidino-1-yl)-2-methyl-propenyl)phenol, 3-(4-(4-(2,1,3-benzothiadiazole-5-yl)-1-piperazinyl)butyl)indole-5-carbonitrile, 6-(3-(4-(4-fluorobenzyl)-1-piperidyl)-2-propenyl)-2,3-dihydrobenzoxazole-2-one, 3-(4-trifluoromethylphenoxymethyl)pyrrolidine, 6-3-[4-(4-fluorobenzyl)-1-piperidyl]-propionyl-3H-benzothiazole-2-one, 4-[3-(4-

fluorobenzyl)piperidino-1-yl]propoxyphenol, [2-(4-methoxy-3-phenethyloxy-phenyl)ethyl]dipropyl-amine. (1S,5R)-3-(2-(2-adamantyl)ethyl)-1,8,8-trimethyl-3-azabicyclo[3.2.1]octane, 6-3-[4-(2,4-difluorobenzyl)piperidino-1-yl]propionyl-3H-benzothiazole-2-one, 1-1-[2-(4-fluoro-phenyl)ethyl]piperidino-4-ylindane-1-ol, 1-[2-(4-fluoro-phenyl)ethyl]-4-(naphthalino-2-sulfinyl)piperidine, 1-(indole-4-yl)-4-[4-(4-fluorophenyl)butyl]piperazine, 3-(4-(2-(2-phenyl-ethyl)-1-piperidyl)-1-butyl)indole, 2-[4-(4-(3-indolyl)butyl)-1-piperazinyl]benzonitrile, etc., or the corresponding acids, bases, or salts, which may be used as .sigma.-receptor ligands for treating carcinoma or sarcoma.

IT 411242-84-7

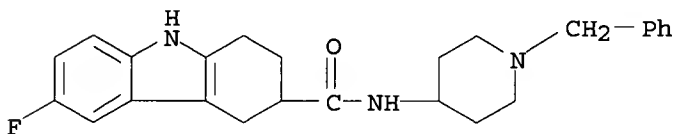
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

(substances that bind to the sigma receptor for combating sarcoma and carcinoma)

RN 411242-84-7 CAPLUS

CN 1H-Carbazole-3-carboxamide, 6-fluoro-2,3,4,9-tetrahydro-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 76 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:275968 CAPLUS

DOCUMENT NUMBER: 136:309857

TITLE: Preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists

INVENTOR(S): Mabire, Dominique Jean-Pierre; Venet, Marc Gaston; Coupa, Sophie; Poncelet, Alain Philippe; Lesage, Anne Simone Josephine

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 114 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

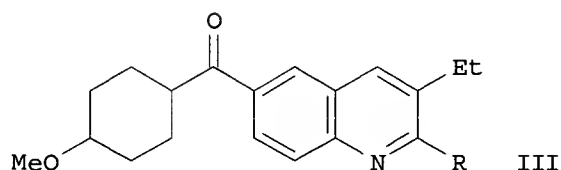
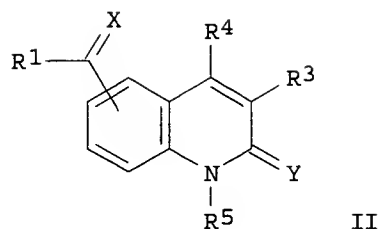
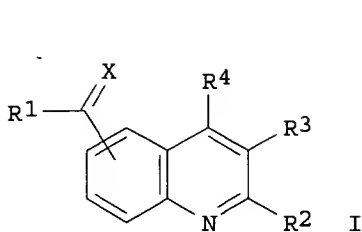
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028837	A1	20020411	WO 2001-EP11135	20010925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001093847	A5	20020415	AU 2001-93847	20010925
PRIORITY APPLN. INFO.: EP 2000-203419 A 20001002				
WO 2001-EP11135 W 20010925				

OTHER SOURCE(S): MARPAT 136:309857

GI



AB The title compds. [I or II; X = O, C(R₆)₂; (wherein R₆ = H, aryl, alkyl, etc.); R₁ = alkyl, aryl, thienyl, etc.; R₂ = H, halo, CN, etc.; R₃, R₄ = H, alkyl; or R₂ and R₃ may be taken together to form (CH₂)₃, (CH₂)₄, CH:CHCH:CH, etc.; or R₃ and R₄ may be taken together to form CH:CHCH:CH, (CH₂)₄; R₅ = H, cycloalkyl, piperidiny, etc.; Y = O, S; or Y and R₅ may be taken together to form CH:NN, N:NN, NCH:CH], useful for treating or preventing glutamate-induced diseases of the central nervous system, were prep'd. Thus, reacting cis-III [R = Cl] with SnMe₄ in the presence of Pg(PPh₃)₄ in PhMe afforded 17% cis-III [R = Me] which showed antagonism at a dose of 2.5 mg/kg bodyweight in cold allodynia test in rats with a Bennett ligation.

IT 409345-45-5P

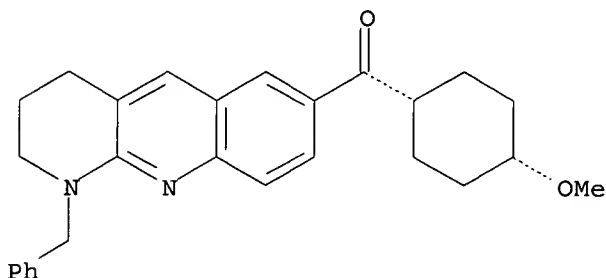
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of quinolines and quinolinones as metabotropic glutamate receptor antagonists)

RN 409345-45-5 CAPLUS

CN Methanone, (cis-4-methoxycyclohexyl) [1,2,3,4-tetrahydro-1-(phenylmethyl)benzo[b][1,8]naphthyridin-7-yl] - (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

09/ 995,324

L12 ANSWER 77 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:243134 CAPLUS

DOCUMENT NUMBER: 137:75130

TITLE: Click chemistry in situ: Acetylcholinesterase as a reaction vessel for the selective assembly of a femtomolar inhibitor from an array of building blocks

AUTHOR(S): Lewis, Warren G.; Green, Luke G.; Grynszpan, Flavio; Radic, Zoran; Carlier, Paul R.; Taylor, Palmer; Finn, M. G.; Sharpless, K. Barry

CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA

SOURCE: Angewandte Chemie, International Edition (2002), 41(6), 1053-1057

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Form-fitting chem. in a protein mold is enabled by the use of the 1,3-dipolar cycloaddn. of azides and alkynes. The enzyme acetylcholinesterase preferentially assembles one pair of these reactants, each of which bears a group that binds to adjacent positions on the protein structure, into a 1,2,3-triazole adduct that is the most potent noncovalent inhibitor of the enzyme yet developed.

IT 440112-97-0P

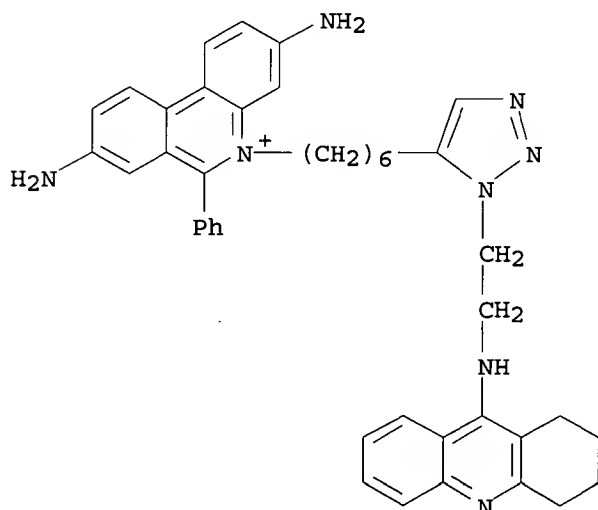
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);

BIOL (Biological study); PREP (Preparation)

(product/acetylcholinesterase inhibitor; in situ click chem. using acetylcholinesterase as reaction vessel for selective assembly of triazole adduct femtomolar inhibitor from building block array)

RN 440112-97-0 CAPLUS

CN Phenanthridinium, 3,8-diamino-6-phenyl-5-[6-[1-[2-[(1,2,3,4-tetrahydro-9-acridinyl)amino]ethyl]-1H-1,2,3-triazol-5-yl]hexyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 78 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:232732 CAPLUS

DOCUMENT NUMBER: 137:306696

TITLE: Synthesis and evaluation of (S)-[18F]-fluoroethylcarazolol for in vivo .beta.-adrenoceptor

imaging in the brain
 AUTHOR(S): Doze, P.; van Waarde, A.; Tewson, T. J.; Vaalburg, W.;
 Elsinga, P. H.
 CORPORATE SOURCE: PET Center, Groningen University Hospital, Groningen,
 9700 RB, Neth.
 SOURCE: Neurochemistry International (2002), 41(1), 17-27
 CODEN: NEUIDS; ISSN: 0197-0186
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The .beta.-adrenergic receptor ligand (S)-4-(3-(2'-[18F]-fluoroethylamino)-2-hydroxypropoxy)-carbazol ((S)-[18F]-fluoroethylcarazolol) was prep'd. by reaction of [18F]-fluoroethylamine with the corresponding (S)-epoxide and was evaluated in rats by studying its pharmacokinetics and its binding profile both in vitro and in vivo. In vitro, (S)-fluoroethylcarazolol binds preferentially to .beta.-adrenoceptors (pKi=9.3 for .beta.1 and 9.4 for .beta.2) and has less affinity to 5HT1A and 5HT1D receptors (pKi=6.7 and 5.2). In vivo, std. uptake values (SUVs) up to 0.63.+-.0.07 in cortical regions were found after 60 min. Metabolites (90%) appeared within 10 min in plasma, whereas, in brain 70-75% parent comp'd. was found after 60 min. Clearance from plasma occurred within 5 min. Cerebral uptake could be blocked by 'cold' fluoroethylcarazolol in every region, except medulla. Uptake was also blocked by propranolol and pindolol, but not by WAY 100635. ICI 89406 hardly lowered [18F] levels in brain. ICI 118551 reduced uptake of [18F] in cerebellum (mainly .beta.2) by 30%. Specific binding (tissue minus medulla values) in various brain regions corresponded with those obs'd. for [18F]-fluorocarazolol (r2=0.95) and with in vitro .beta.-adrenoceptor densities (r2=0.76). Autoradiog. using phosphor images of (S)-[18F]-fluoroethylcarazolol in rat brain showed the characteristic binding pattern of .beta.-antagonists, while propranolol treatment resulted in low and homogeneous uptake. Regional tissue minus medulla values corresponded with in vitro .beta.-adrenoceptor densities (r2=0.77). We conclude that (S)-[18F]-fluoroethylcarazolol is a high affinity ligand that binds specifically to cerebral .beta.-adrenoceptors in vivo and may be of use for .beta.-adrenoceptor imaging in the brain with PET.

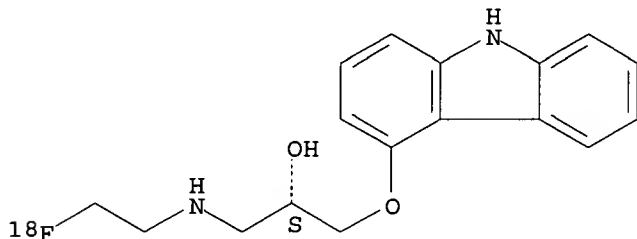
IT 472968-01-7P

RL: DGN (Diagnostic use); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. and evaluation of (S)-[18F]-fluoroethylcarazolol for brain .beta.-adrenoceptor imaging)

RN 472968-01-7 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(fluoro-18F)ethyl]amino]-, (2S)-(9CI) (CA INDEX NAME)

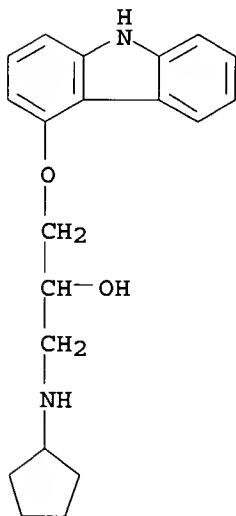
Absolute stereochemistry.



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

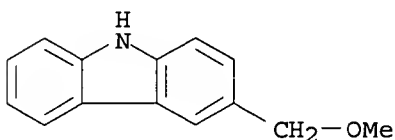
09/ 995,324

ACCESSION NUMBER: 2002:180462 CAPLUS
DOCUMENT NUMBER: 137:288465
TITLE: Synthesis and bioactivity of 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compounds
AUTHOR(S): Wang, Lichen; Zhang, Yiyun; Zhang, Luyong; Jiang, Zhenzhou
CORPORATE SOURCE: Department of Organic Chemistry, Center of Drug Pharmacokinetics, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
SOURCE: Zhongguo Yaoke Daxue Xuebao (2001), 32(6), 408-411
CODEN: ZHYXE9; ISSN: 1000-5048
PUBLISHER: Zhongguo Yaoke Daxue
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 137:288465
AB The new compds. with .beta.-adrenergic receptor antagonistic action were screened. Using carbazolol as a lead compd., 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds. were designed and synthesized of which all were not reported previously. Their structures were identified by IR, ¹HNMR, EA, or HRMS. The preliminary biol. tests suggested that all the ten compds. can inhibit isoprenaline-induced tachycardia to different extents, and three of them showed better activity.
IT **467469-53-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
(synthesis and bioactivity of 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds.)
RN 467469-53-0 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-(cyclopentylamino)- (9CI) (CA INDEX NAME)



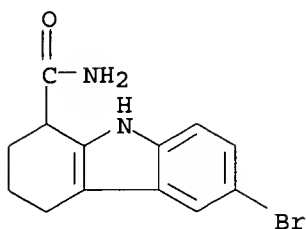
L12 ANSWER 80 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:180322 CAPLUS
DOCUMENT NUMBER: 137:137514
TITLE: New carbazole alkaloid from *Clausena dunniana* levl.
AUTHOR(S): Yan, Shaoyu; Cui, Chengbin; Cai, Bing; Qu, Gexia; Yao, Xinsheng
CORPORATE SOURCE: Beijing Institute of Biomedicine, Beijing, 100091, Peop. Rep. China

SOURCE: Zhongguo Yaowu Huaxue Zazhi (2001), 11(6), 345-346
 CODEN: ZYHZEJ; ISSN: 1005-0108
 PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB One alkaloid was isolated from Clausena dunniana, and identified as 3-(methoxymethyl)carbazole based on NMR.
 IT **444813-05-2P**, 3-(Methoxymethyl)carbazole
 RL: NPO (Natural product occurrence); PRP (Properties); PUR (Purification or recovery); **BIOL (Biological study)**; OCCU (Occurrence); PREP (Preparation)
 (isolation and mol. structure of 3-methoxymethylcarbazole, an alkaloid from Clausena dunniana)
 RN 444813-05-2 CAPLUS
 CN 9H-Carbazole, 3-(methoxymethyl)- (9CI) (CA INDEX NAME)

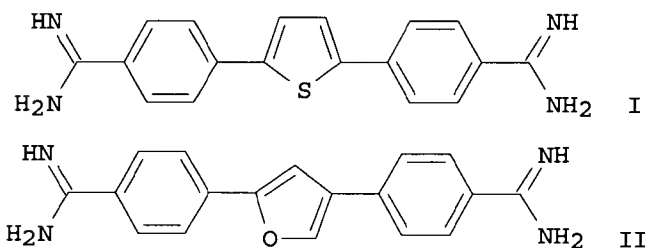


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 81 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:175319 CAPLUS
 DOCUMENT NUMBER: 137:304616
 TITLE: Synthesis and pharmacological activity of 1,2,3,4-tetrahydrocarbazole-1-carboxamides
 AUTHOR(S): Parshin, V. A.; Alekseeva, N. V.; Bokanov, A. I.; Alekseeva, L. M.; Granik, V. G.
 CORPORATE SOURCE: Otd. Med. Khim. Gos. Nauchnogo Tsentra, RF NIOPIK, Moscow, Russia
 SOURCE: Voprosy Biologicheskoi, Meditsinskoi i Farmatsevticheskoi Khimii (2001), (4), 40-45
 CODEN: VBMFBA
 PUBLISHER: Izdatel'stvo Meditsina
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 AB Expts. on noninbred male mice have shown that a no. of 1,2,3,4-tetrahydrocarbazole-1-carboxamides have a low toxicity and produce anticonvulsive and antihypoxic effects. The most active compds. in some tests were superior to the drugs of comparison, sodium valproate and piracetam.
 IT **440092-55-7P**
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
 (synthesis and pharmacol. activity of 1,2,3,4-tetrahydrocarbazole-1-carboxamides)
 RN 440092-55-7 CAPLUS
 CN 1H-Carbazole-1-carboxamide, 6-bromo-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



L12 ANSWER 82 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2002:146280 CAPLUS
 DOCUMENT NUMBER: 136:321920
 TITLE: Antileishmanial activities of several classes of aromatic dications
 AUTHOR(S): Brendle, James J.; Outlaw, Abram; Kumar, Arvind; Boykin, David W.; Patrick, Donald A.; Tidwell, Richard R.; Werbovetz, Karl A.
 CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910, USA
 SOURCE: Antimicrobial Agents and Chemotherapy (2002), 46(3), 797-807
 CODEN: AMACCQ; ISSN: 0066-4804
 PUBLISHER: American Society for Microbiology
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Arom. dicationic mols. possess impressive activity against a broad spectrum of microbial pathogens, including *Pneumocystis carinii*, *Cryptosporidium parvum*, and *Candida albicans*. In this work, 58 arom. cations were examd. for inhibitory activity against axenic amastigote-like *Leishmania donovani* parasites. In general, the most potent of the compds. were substituted di-Ph furan and thiophene dications. 2,5-Bis-(4-amidinophenyl)thiophene (I) was the most active compd. This agent displayed a 50% inhibitory concn. (IC50) of 0.42 \pm 0.08 μ M against *L. donovani* and an in vitro antileishmanial potency 6.2-fold greater than that of the clin. antileishmanial dication pentamidine and was 155-fold more toxic to the parasites than to a mouse macrophage cell line. 2,4-Bis-(4-amidinophenyl)furan (II) was twice as active as pentamidine (IC50, 1.30 \pm 0.21 μ M), while 2,5-bis-(4-amidinophenyl)furan and pentamidine were essentially equipotent in our in vitro antileishmanial assay. Carbazoles, dibenzofurans, dibenzothiophenes, and benzimidazoles contg. amidine or substituted amidine groups were generally less active than the di-Ph furans and thiophenes. In all cases, arom. dications possessing strong antileishmanial activity were several-fold more toxic to the parasites

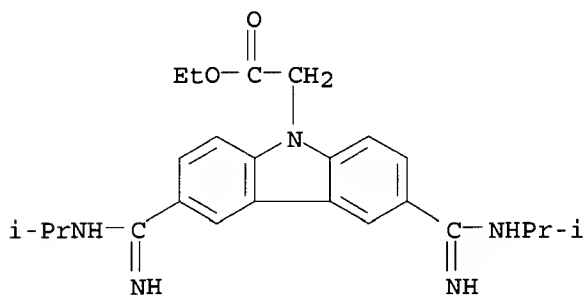
than to a cultured mouse macrophage cell line. These structure-activity relationships demonstrate the potent antileishmanial activity of several arom. dications and provide valuable information for the future design and synthesis of more potent antiparasitic agents.

IT 415718-08-0P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antileishmanial activities of several classes of arom. dications)

RN 415718-08-0 CAPLUS

CN 9H-Carbazole-9-acetic acid, 3,6-bis[imino[(1-methylethyl)amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 83 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:139835 CAPLUS

DOCUMENT NUMBER: 137:33437

TITLE: Synthesis and cytotoxic activity of isoacronycine and its derivatives

AUTHOR(S): Magiatis, Prokopios; Mitaku, Sofia; Pierre, Alain; Atassi, Ghanem

CORPORATE SOURCE: Laboratory of Pharmacognosy, University of Athens, Athens, GR-15771, Greece

SOURCE: Heterocycles (2002), 57(2), 341-351

CODEN: HTCYAM; ISSN: 0385-5414

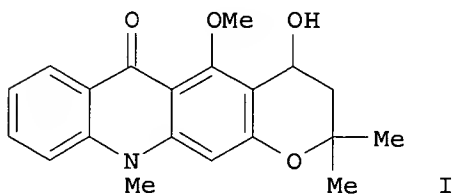
PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:33437

GI



AB Condensation of N-methyl-1,3-dihydroxyacridone with 3-methyl-2-butenal led selectively to norisoacronycine, which upon methylation gave isoacronycine. Functionalization of the 1,2 double bond of isoacronycine led to derivs. with reduced cytotoxicity compared with the corresponding ones derived from acronycine. Two very interesting exceptions were

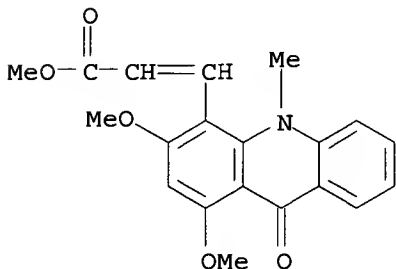
1-hydroxy-1,2-dihydroisoacronycine (I) and its acetate, which showed strong induction of apoptosis.

IT **436803-98-4P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(synthesis and cytotoxic activity of isoacronycine derivs.)

RN 436803-98-4 CAPLUS

CN 2-Propenoic acid, 3-(9,10-dihydro-1,3-dimethoxy-10-methyl-9-oxo-4-acridinyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 84 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:136165 CAPLUS

DOCUMENT NUMBER: 137:6160

TITLE: Synthesis and antibacterial activity of new 9-aminoacridine, 10,11-dihydro-5H-dibenz[b,f]azepine, polyfluorinated 5,6-dihydro-1,3,5-oxadiazine derivatives

AUTHOR(S): Torgun, I. N.; Sydorenko, S. V.; Zykova, I. E.; Yudin, S. M.; Kryukova, L. Yu.; Krylov, I.; Kryukov, L. N.; Kuznetsov, S. L.; Vorontsov, E. A.; Rezvan, S. P.; Grudinina, S. A.

CORPORATE SOURCE: Center of Medical, Biological and Ecological Problems Russian Academy of Natural Sciences, National Research Centre of Antibiotics, Moscow, Russia

SOURCE: Antibiotiki i Khimioterapiya (2001), 46(10), 6-10

CODEN: ANKHEW; ISSN: 0235-2990

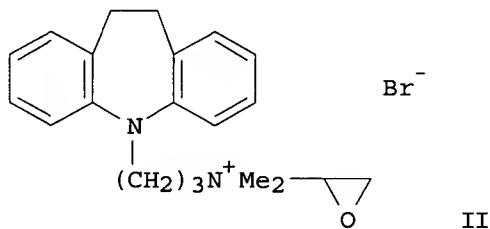
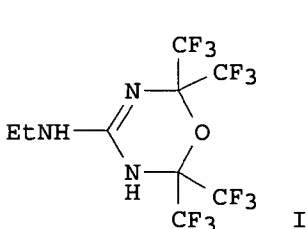
PUBLISHER: Izdatel'skii Dom "Krasnaya Ploshchad"

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 137:6160

GI



AB Title compds. such as I and II were prepd. and screened for antibacterial activity. The oxadiazines showed activity against gram-pos. microorganisms including methicillin-resistant staphylococci. Special

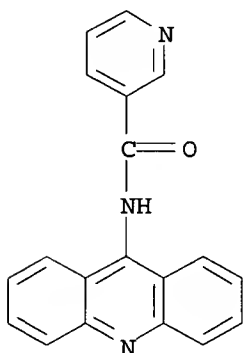
attention was paid to the activity of iminodibenzyl derivs. against multiresistant gram-neg. microorganisms.

IT **431943-40-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antibacterial activity of)

RN 431943-40-7 CAPLUS

CN 3-Pyridinecarboxamide, N-9-acridinyl- (9CI) (CA INDEX NAME)



L12 ANSWER 85 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:1480 CAPLUS

DOCUMENT NUMBER: 136:401622

TITLE: New series of aryloxypropanolamines with both human .beta.3-adrenoceptor agonistic activity and free radical scavenging properties

AUTHOR(S): Aubriot, Silvere; Nicolle, Edwige; Lattier, Mireille; Morel, Cecile; Cao, Wenhong; Daniel, Kiefer W.; Collins, Sheila; Leclerc, Gerard; Faure, Patrice
CORPORATE SOURCE: Departement de Pharmacochimie Moleculaire, UMR-CNRS 5063, UFR de Pharmacie, Universite Joseph Fourier, Meylan, F-38243, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(2), 209-212

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

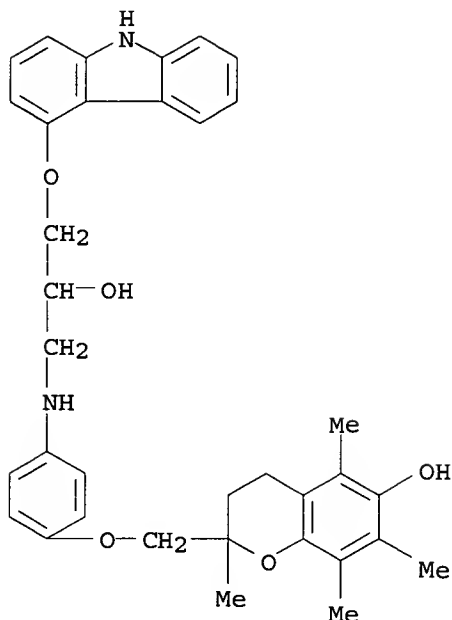
AB A series of 13 novel hybrid mols. designed to possess both free radical scavenging activity and to stimulate the .beta.3-adrenoceptors in order to improve antidiabetic effect and to restore insulin sensitivity was synthesized and evaluated. Compds. were of quinolyl-, isoquinolyl-, pyridoindolyl- or carbazolyloxypropanolamine structure with a terminal amino group of benzopyranolyl-, di-tert-butylphenolyl- or methoxyindolyl-type. An example compd. thus tested was 4-[3-[4-[2-hydroxy-3-(5-quinolinylloxy)propyl]amino]phenoxy]propyl]-2,6-bis(1,1-dimethylethyl)phenol. Some of the products possessed both the expected activities.

IT **428861-76-1**

RL: PAC (Pharmacological activity); **BIOL (Biological study)**
(1-amino-3-(aryloxy)-2-propanol derivs. having human .beta.3-adrenoceptor agonistic activity and free radical scavenging properties)

RN 428861-76-1 CAPLUS

CN 2H-1-Benzopyran-6-ol, 2-[[4-[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl- (9CI)
(CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 86 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:883535 CAPLUS

DOCUMENT NUMBER: 136:303559

TITLE: Synthesis and evaluation of unsymmetrical bis(arylcarboxamides) designed as topoisomerase-targeted anticancer drugs

AUTHOR(S): Spicer, Julie A.; Gamage, Swarna A.; Finlay, Graeme J.; Denny, William A.

CORPORATE SOURCE: The University of Auckland, Auckland Cancer Society Research Centre, Faculty of Medical & Health Sciences, Auckland, 1000, N. Z.

SOURCE: Bioorganic & Medicinal Chemistry (2001), Volume Date 2002, 10(1), 19-29

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Sym. dimers of lipophilic intercalating chromophores linked by cation-contg. chains have recently been shown to have broad-spectrum in vivo anticancer activity. We report the prepn. and evaluation of a series of both sym. and unsym. dimers of a variety of intercalating chromophores of varied DNA binding strength, including naphthalimides, acridines, phenazines, oxanthrenes and 2-phenylquinolines. The unsym. dimers were prepd. by sequential coupling of the chromophores to linkers with selectively protected primary terminal amines to ensure high yields and unequivocal product. Protection of the internal (secondary) amines as BOC derivs. was used to ensure complete structural specificity, and was also an aid to the purifn. of these very polar compds. The growth inhibitory abilities (as IC₅₀ values) of the compds. in a range of cell lines showed that the nature of the linker chain was important, and independent of the nature of the chromophore, with compds. contg. the dicationic linker [- (CH₂)₂NH(CH₂)₂NH(CH₂)₂-] being on av. 30-fold more potent than the corresponding compds. contg. the monocationic linker [- (CH₂)₃NMe(CH₂)₃-]. However, the chromophores also play a role in detg. biol. activity, with

the cytotoxicities of sym. and unsym. dicationic dimers correlating with the overall DNA binding abilities of the chromophores.

IT **412043-24-4P**

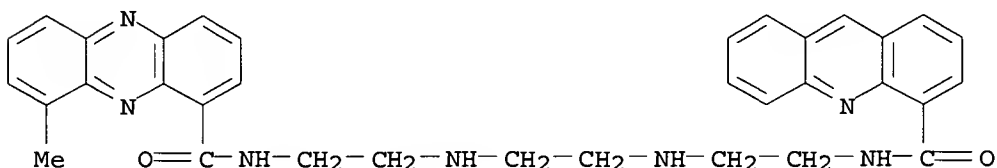
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation);

USES (Uses)

(synthesis and evaluation of unsym. bis(arylcarboxamides) designed as topoisomerase-targeted anticancer drugs)

RN 412043-24-4 CAPLUS

CN 1-Phenazinecarboxamide, N-[2-[[2-[[2-[(4-acridinylcarbonyl)amino]ethyl]amino]ethyl]amino]ethyl]-9-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 87 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:628707 CAPLUS

DOCUMENT NUMBER: 135:195572

TITLE: Method for preparation of indole-type compounds

INVENTOR(S): Henkelmann, Jochem; Arndt, Jonderko

PATENT ASSIGNEE(S): Basf A.-G., Germany

SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

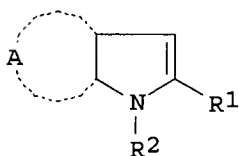
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001233855	A2	20010828	JP 2001-49221	20010223
DE 10009000	A1	20010830	DE 2000-10009000	20000225
US 2001037031	A1	20011101	US 2001-782310	20010214
US 6384235	B2	20020507		
EP 1127874	A2	20010829	EP 2001-103687	20010223

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

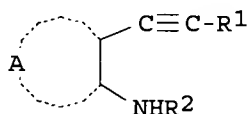
PRIORITY APPLN. INFO.: DE 2000-10009000 A 20000225

OTHER SOURCE(S): CASREACT 135:195572; MARPAT 135:195572

GI



I



II

AB The title compds. [I; A = hydrocarbon group which forms, together with the carbon atoms to which they are bonded, (un)substituted mono- or polycyclic arom. group optionally possessing .gtoreq.1 heteroatoms consisting of N,

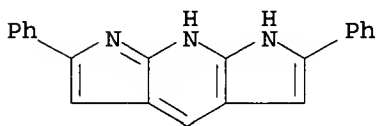
O, and S; R1, R2 = H, linear or branched satd. aliph. C1-20 hydrocarbon group, linear or branched alkyl unsatd. C2-20 hydrocarbon group, optionally alkyl-substituted (un)satd. alicyclic C3-20 hydrocarbon group, or C5-20 arom. hydrocarbon group alkyl, each of which is optionally substituted and possesses .gtoreq.1 heteroatoms consisting of halo, N, P, O, S, Sn, and B in the mol. skeleton] are prepd. by cyclization of alkynylaniline or .alpha.-amino-.beta.-alkynylheterocycles (II; R1, R2 = same as above; R1, R2, or a is optionally bonded to an org. or inorg. carrier) using a Na, K, Rb, or Cs compd. in a polar aprotic solvent. This process gives substituted indoles by a simple method in high yields. Thus, a soln. of 97 mg 2-phenylethynylaniline in N-methylpyrrolidone was added to 1.05 mmol potassium tert-butoxide in 4 mL N-methylpyrrolidone and vigorously stirred at 25.degree. for 4 h to give 79% 2-phenylindole. Similarly prepd. were pyrrolopyridine, pyrrolopyrimidine, pyrroloquinoline, etc.

IT 55463-72-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in polar aprotic solvent)

RN 55463-72-4 CAPLUS

CN Dipyrrolo[2,3-b:3',2'-e]pyridine, 1,7-dihydro-2,6-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 88 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:541405 CAPLUS

DOCUMENT NUMBER: 137:56990

TITLE: Hetero-association of caffeine and aromatic drugs and their competitive binding with a DNA oligomer

AUTHOR(S): Davies, David B.; Veselkov, Dennis A.; Djimant, Leonid N.; Veselkov, Alexei N.

CORPORATE SOURCE: University of London, Birkbeck College, School of Biological and Chemical Sciences, London, WC1H 0PP, UK

SOURCE: European Biophysics Journal (2001), 30(5), 354-366

CODEN: EBJOE8; ISSN: 0175-7571

PUBLISHER: Springer-Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB NMR spectroscopy has been used to elucidate the mol. basis of the action of caffeine (CAF) on the complexation with DNA of mutagens such as ethidium bromide, propidium iodide, proflavine and acridine orange, and anticancer drugs such as actinomycin D and daunomycin. The hetero-assocn. of CAF and each of the arom. ligands in 0.1 mol L-1 phosphate buffer (pD=7.1) has been investigated as a function of concn. and temp. by 500 MHz 1H NMR spectroscopy and analyzed in terms of a statistical-thermodn. model, in which mols. form indefinite aggregates for both self-assocn. and hetero-assocn. The anal. leads to detn. of the equil. consts. of hetero-assocn. and to the values of the limiting chem. shifts of the hetero-assocn. of CAF with each of the arom. mols. The hetero-assocn. consts. between CAF and each of the arom. drugs/dyes are found to be intermediate in magnitude between those for self-assocn. of CAF and the corresponding drug/dye. The most probable structures of the 1:1 CAF+ligand hetero-assocn. complexes have been detd. from the calcd. values of the induced limiting chem. shifts of the drug protons. Knowledge of

the equil. consts. for self-assocn. of CAF and the arom. ligands, for their hetero-assocn. and their complexation with a DNA fragment, the deoxytetranucleotide 5'-d(TpGpCpA), enabled the relative content of each of the CAF-ligand and CAF-ligand-d(TGCA) complexes to be calcd. as a function of CAF concn. in mixed solns. It is concluded that, on addn. of CAF to the soln., the decrease in binding of drug or mutagen with DNA is due both to competition for the binding sites by CAF and the arom. mols., and to formation of CAF-ligand hetero-assocn. complexes in the mixed soln.; the relative importance of each process depends on the drug or mutagen being considered.

IT 439668-50-5

RL: BSU (Biological study, unclassified); FMU (Formation, unclassified); PAC (Pharmacological activity); BIOL (Biological study); FORM (Formation, nonpreparative)

(hetero-assocn. of caffeine and arom. drugs and their competitive binding with DNA oligomer)

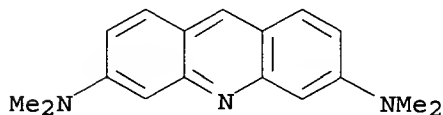
RN 439668-50-5 CAPLUS

CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3,7-trimethyl-, compd. with N,N,N',N'-tetramethyl-3,6-acridinediamine monohydrochloride (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 65-61-2

CMF C17 H19 N3 . Cl H

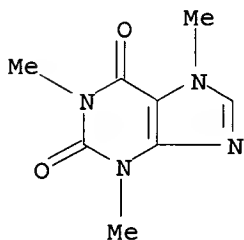


● HCl

CM 2

CRN 58-08-2

CMF C8 H10 N4 O2



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

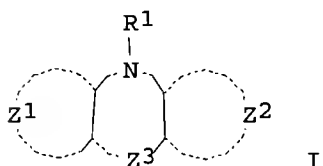
L12 ANSWER 89 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2001:423593 CAPLUS
 DOCUMENT NUMBER: 135:38787
 TITLE: Organic electroluminescent device
 INVENTOR(S): Ueda, Noriko; Okubo, Yasushi; Kita, Hiroshi

09/ 995,324

PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001160488	A2	20010612	JP 1999-341923	19991201
PRIORITY APPLN. INFO.:			JP 1999-341923	19991201
OTHER SOURCE(S):	MARPAT	135:38787		

GI



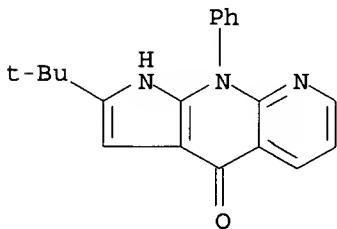
AB The invention relates to an org. electroluminescent device that provides high luminous intensity, comprising a compd. represented by I [Z1 = arom. heterocyclic ring; Z2 = linking or coupling group; Z3 = arom. hydrocarbon and arom. heterocyclic rings; and R1 = H or substituted group].

IT **343780-07-4**

RL: DEV (Device component use); USES (Uses)
(org. electroluminescent device)

RN 343780-07-4 CAPLUS

CN 4H-Pyrrolo[2,3-b][1,8]naphthyridin-4-one, 2-(1,1-dimethylethyl)-1,9-dihydro-9-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 90 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:300717 CAPLUS

DOCUMENT NUMBER: 134:326518

TITLE: Preparation of tricyclic compounds useful as HIV reverse transcriptase inhibitors

INVENTOR(S): Johnson, Barry L.; Patel, Mona; Rodgers, James D.; Wang, Haisheng

PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA

SOURCE: PCT Int. Appl., 230 pp.

CODEN: PIXXD2

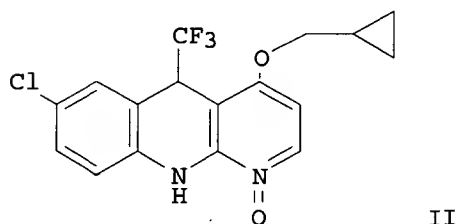
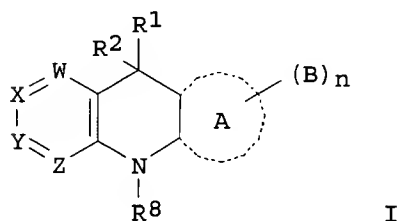
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029037	A2	20010426	WO 2000-US28824	20001019
WO 2001029037	A3	20020124		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1222186	A2	20020717	EP 2000-973644	20001019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002001835	A	20020618	NO 2002-1835	20020418
PRIORITY APPLN. INFO.:			US 1999-160329P	P 19991019
			US 2000-226171P	P 20000817
			WO 2000-US28824	W 20001019
OTHER SOURCE(S):		MARPAT 134:326518		
GI				



AB Title compds. [I; n = 0, 1, 2, 3; A = heterocycle; B = alkyl, OH, alkoxy, OCF₃, CF₃, F, Cl, Br, I, NO₂, CN; W = N, CR₃; X = N, CR_{3a}; Y = N, CF_{3b}; Z = N, CR_{3c}; R₃, R_{3a}-R_{3c} independently = H, alkyl, OH, OCF₃, halo, CN; R₁ = alkyl, cyclopropyl; R₂ = OH, CN, alkoxy, alkylamino; R₈ = H, alkylcarbonyl, alkoxyalkyl, aryloxyalkyl], stereoisomers, stereoisomers mixts., or pharmaceutically acceptable salts are prepd. as useful inhibitors of HIV reverse transcriptase. Pharmaceutical compns. and diagnostic kits comprising title compds. and methods for treating viral infections or as an assay std. or reagent were discussed. Thus, the title compd. II was prepd.

IT **335447-48-8P**

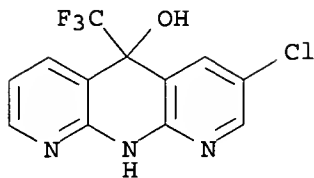
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of tricyclic compds. useful as HIV reverse transcriptase inhibitors)

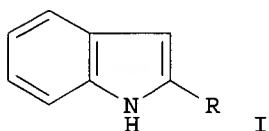
RN 335447-48-8 CAPLUS

CN 5-Anthyridinol, 3-chloro-1,5-dihydro-5-(trifluoromethyl)- (9CI) (CA INDEX

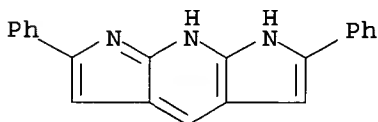
NAME)



L12 ANSWER 91 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 2000:514911 CAPLUS
 DOCUMENT NUMBER: 133:252337
 TITLE: Versatile indole synthesis by a 5-endo-dig cyclization mediated by potassium or cesium bases
 AUTHOR(S): Rodriguez, Alain Louis; Koradin, Christopher; Dohle, Wolfgang; Knochel, Paul
 CORPORATE SOURCE: Department Chemie, Universitat Munchen, Munchen, 81377, Germany
 SOURCE: Angewandte Chemie, International Edition (2000), 39(14), 2488-2490
 CODEN: ACIEF5; ISSN: 1433-7851
 PUBLISHER: Wiley-VCH Verlag GmbH
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:252337
 GI

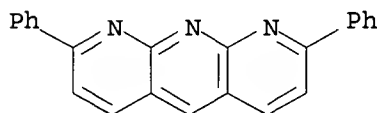


AB The combination of KOCMe₃, KH, or CsOCMe₃ with the polar solvent NMP allows a smooth prepn. of carious indoles and azaindoles by a 5-endo-dig cyclization. Thus, cyclization of 2-H₂NC₆H₄C.tplbond.CR (R = Ph, Bu, 2-thienyl, etc.) gave indoles I in good yields.
 IT **55463-72-4P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (endo-dig cyclization of alkynylanilines and derivs. to indoles and azaindoles mediated by potassium and cesium bases)
 RN 55463-72-4 CAPLUS
 CN Dipyrrolo[2,3-b:3',2'-e]pyridine, 1,7-dihydro-2,6-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1999:692251 CAPLUS
 DOCUMENT NUMBER: 132:35402
 TITLE: A caveat on the oxidation of 2,8-diphenyl-1,9,10-anthyridine to 2,8-diphenyl-5(10H)-1,9,10-anthyridone
 AUTHOR(S): Madhavi, N. N. Laxmi; Senthivel, Paramasivam; Nangia, Ashwini
 CORPORATE SOURCE: School of Chemistry, University of Hyderabad, Hyderabad, 500 046, India
 SOURCE: Journal of Physical Organic Chemistry (1999), 12(9), 665-667
 CODEN: JPOCEE; ISSN: 0894-3230
 PUBLISHER: John Wiley & Sons Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Crystn. of 2,8-diphenyl-1,9,10-anthyridine (1a) from various org. solvents afforded the corresponding anthyridone (2a). The conversion of anthyridine to anthyridone was monitored by ¹H NMR spectroscopy in deuterated solvents. The transformation is facile at ambient temp. and this could be relevant in triply H-bonded complexes of 1a with neutral and cationic mols.
 IT **63196-36-1**
 RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent) (autoxidn. on crystn.; caveat on oxidn. of 2,8-diphenyl-1,9,10-anthyridine to 2,8-diphenyl-5(10H)-1,9,10-anthyridone)
 RN 63196-36-1 CAPLUS
 CN Anthyridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 93 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1997:774016 CAPLUS
 DOCUMENT NUMBER: 128:114700
 TITLE: Evidence for the characterization of the C-H.cntdot..cntdot..cntdot..pi. interaction as a weak hydrogen bond: toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthyridine. [Erratum to document cited in CA128:34502]
 AUTHOR(S): Madhavi, N. N. Laxmi; Katz, Amy K.; Carrell, H. L.; Nangia, Ashwini; Desiraju, Gautam R.
 CORPORATE SOURCE: Sch. Chem., Univ. Hyderabad, Hyderabad, 500 046, India
 SOURCE: Chemical Communications (Cambridge) (1997), (22), 2249
 CODEN: CHCOFS; ISSN: 1359-7345
 PUBLISHER: Royal Society of Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB In footnote, "...5155 with F2 > 2 .THETA.(F2)..." should read "...5155 with F2 > 2.sigma.(F2)..."
 IT **199599-69-4P**
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (characterization of the C-H.cntdot..cntdot..cntdot..pi. interaction as a weak hydrogen bond in toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthyridine (Erratum))
 RN 199599-69-4 CAPLUS
 CN Anthyridine, 2,3,7,8-tetraphenyl-, compd. with methylbenzene (1:1) (9CI)

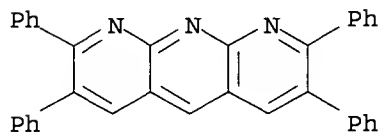
09/ 995,324

(CA INDEX NAME)

CM 1

CRN 63196-33-8

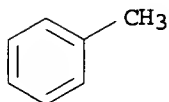
CMF C35 H23 N3



CM 2

CRN 108-88-3

CMF C7 H8



L12 ANSWER 94 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:727510 CAPLUS

DOCUMENT NUMBER: 128:34502

TITLE: Evidence for the characterization of the C-H.cntdot..cntdot..cntdot..pi. interaction as a weak hydrogen bond: toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthryridine

AUTHOR(S): Madhavi, N. N. Laxmi; Katz, Amy K.; Carrell, H. L.; Nangia, Ashwini; Desiraju, Gautam R.

CORPORATE SOURCE: Sch. Chem., Univ. Hyderabad, Hyderabad, 500 046, India

SOURCE: Chemical Communications (Cambridge) (1997), (20), 1953-1954

CODEN: CHCOFS; ISSN: 1359-7345

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The crystal structures of the toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthryridine are nearly identical save for differences in the mode of solvent inclusion; these differences have an important bearing on the nature of the C-H.cntdot..cntdot..cntdot..pi. interactions in these structures.

IT 199599-69-4P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (characterization of the C-H.cntdot..cntdot..cntdot..pi. interaction as a weak hydrogen bond in toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthryridine)

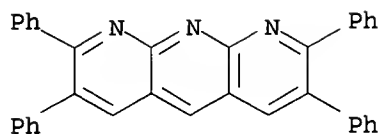
RN 199599-69-4 CAPLUS

CN Anthryridine, 2,3,7,8-tetraphenyl-, compd. with methylbenzene (1:1) (9CI) (CA INDEX NAME)

CM 1

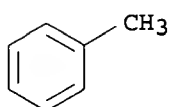
CRN 63196-33-8

CMF C35 H23 N3



CM 2

CRN 108-88-3
CMF C7 H8



L12 ANSWER 95 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:530912 CAPLUS

DOCUMENT NUMBER: 127:206017

TITLE: Dendrimers with anthyridine-based hydrogen-bonding units at their cores: synthesis, complexation and self-assembly studies

AUTHOR(S): Wang, Yue; Zeng, Fanwen; Zimmerman, Steven C.
CORPORATE SOURCE: Department of Chemistry, Roger Adams Laboratory, University of Illinois, Urbana, IL, 61801, USA

SOURCE: Tetrahedron Letters (1997), 38(31), 5459-5462
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

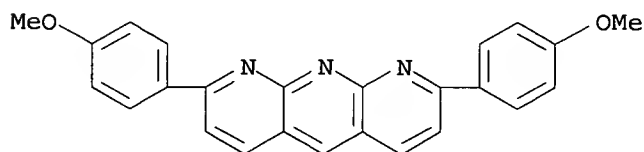
AB Generation 1-4 Frechet-type dendritic bromides were covalently linked to anthyridine to give "sticky" dendrons. The binding consts. of 1:1 complexes between the dendrons and benzamidinium salt were measured to assess their ability to act as building blocks for self-assembly. A 2:1 complex of the dendrons and pentamidine formed in 1% CD3CN/CDCl3 indicating the utility of these compds. for constructing larger dendritic assemblies.

IT 194716-53-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and characterization of)

RN 194716-53-5 CAPLUS

CN Anthyridine, 2,8-bis(4-methoxyphenyl) - (9CI) (CA INDEX NAME)



L12 ANSWER 96 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1997:521636 CAPLUS

DOCUMENT NUMBER: 127:259703

TITLE: Non-aqueous titrations as a tool in the study of molecular recognition phenomena. Uses in distinguishing hydrogen bonding from proton transfer, the measurement of complex induced pKa shifts, and the ability to distinguish the catalytic roles of general acids and bases

AUTHOR(S): Hannon, Christine L.; Bell, Dwayne A.; Kelly-Rowley, Anne M.; Cabell, Larry A.; Anslyn, Eric V.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Texas at Austin, Austin, TX, 78712, USA

SOURCE: Journal of Physical Organic Chemistry (1997), 10(5), 396-404
CODEN: JPOCEE; ISSN: 0894-3230

PUBLISHER: Wiley

DOCUMENT TYPE: Journal

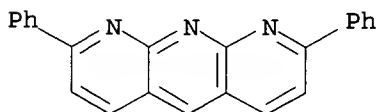
LANGUAGE: English

AB Whenever hydrogen bonding is involved in mol. recognition, the possibility of a proton transfer from the donor to the acceptor arises. In most cases the pKa of the donor is far enough above the pKa of the conjugate acid of the acceptor for it to be clear that no proton transfer will occur. However, as the difference between the donor and acceptor pKas decreases, it can become difficult to predict whether a proton transfer will occur. Since most hydrogen bond-driven mol. recognition is studied in low dielec. solvents, non-aq. titrns. can be used to measure the pKas and therefore predict proton transfers. In this paper three studies which involved non-aq. titrns. are summarized. The first deals with distinguishing simple proton transfer from host-guest complex formation. The second involves measuring pKa shifts upon host-guest complex formation. The last is a study of the catalysis of a phosphoryl transfer. In all three scenarios the non-aq. titrn. gave results which would have been difficult to obtain by other means, and which proved crucial for a complete understanding of the mol. recognition process.

IT 63196-36-1
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(non-aq. titrns. as tool in study of mol. recognition phenomena)

RN 63196-36-1 CAPLUS

CN Anthridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 97 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:657295 CAPLUS

DOCUMENT NUMBER: 123:198193

TITLE: Establishing a cationic AAA-DDD hydrogen bonding complex

AUTHOR(S): Bell, Dwayne A.; Anslyn, Eric V.

CORPORATE SOURCE: Dep. Chem. Biochem., Univ. Texas, Austin, TX, 78712, USA

SOURCE: Tetrahedron (1995), 51(26), 7161-72
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Pergamon

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The synthesis of a cationic donor-donor-donor (DDD) hydrogen bonding receptor is described. The binding of this receptor with an acceptor-acceptor-acceptor (AAA) guest is found to have a binding const.

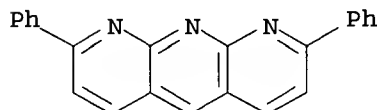
above 5 .times. 10⁵ M⁻¹. To prove that the isotherm from which this binding const. is detd. is not due to proton transfer from the receptor to the guest, nonaq. titrns. on a variety of pyridine-like structures were performed.

IT **63196-36-1**

RL: PEP (Physical, engineering or chemical process); PROC (Process)
(formation of a cationic acceptor-acceptor-acceptor/donor-donor-donor hydrogen bonding complex)

RN 63196-36-1 CAPLUS

CN Anthridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 98 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:536597 CAPLUS

DOCUMENT NUMBER: 123:32828

TITLE: Synthesis and complexation studies of heterocyclic compounds with two or three contiguous hydrogen bonding sites

AUTHOR(S): Murray, Thomas James

CORPORATE SOURCE: Univ. of Illinois, Urbana, IL, USA

SOURCE: (1994) 185 pp. Avail.: Univ. Microfilms Int., Order No. DA9503280

From: Diss. Abstr. Int. B 1995, 55(9), 3890

DOCUMENT TYPE: Dissertation

LANGUAGE: English

AB Unavailable

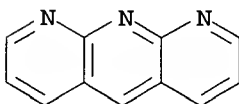
IT **261-15-4DP**, Anthridine, 5-substituted analogs

RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)

(synthesis and hydrogen bonding of heterocyclic compds. with contiguous hydrogen bonding sites)

RN 261-15-4 CAPLUS

CN Anthridine (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 99 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:336133 CAPLUS

DOCUMENT NUMBER: 122:213973

TITLE: Synthesis of heterocyclic compounds containing three contiguous hydrogen bonding sites in all possible arrangements

AUTHOR(S): Murray, Thomas J.; Zimmerman, Steven C.; Kolotuchin, Sergei V.

CORPORATE SOURCE: Department Chemistry, University Illinois, Urbana, IL, 61801, USA

SOURCE: Tetrahedron (1995), 51(2), 635-48

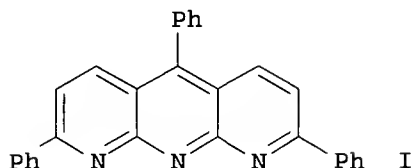
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

09/ 995,324

LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:213973
GI



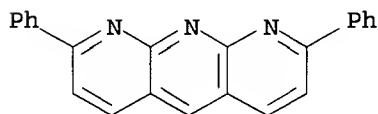
AB The synthesis of compds. contg. three contiguous hydrogen bond sites, e.g. the anthyridine I, is reported. There are six ways of arranging three adjacent hydrogen bond donor (D) and acceptor (A) sites. General synthetic routes to heterocyclic compds. with each arrangement is reported.

IT 63196-36-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of heterocyclic compds. contg. three contiguous hydrogen bonding sites in all possible arrangements)

RN 63196-36-1 CAPLUS

CN Anthyridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 100 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:33356 CAPLUS

DOCUMENT NUMBER: 122:9407

TITLE: Hydrogen bonded complexes with the AA.cntdot.DD, AA.cntdot.DDD, and AAA.cntdot.DD motifs: the role of three-centered (bifurcated) hydrogen bonding

AUTHOR(S): Zimmerman, Steven C.; Murray, Thomas J.

CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801-3792, USA

SOURCE: Tetrahedron Letters (1994), 35(24), 4077-80
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

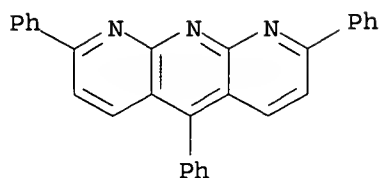
AB The stabilities of title hydrogen-bonded complexes were measured in chloroform. X-ray anal. of two 1,8-naphthyridine complexes and soln. studies support the formation of an unsym. bifurcated hydrogen-bonding motif.

IT 159415-34-6

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(role of bifurcated hydrogen bonds in complexes of)

RN 159415-34-6 CAPLUS

CN Anthyridine, 2,5,8-triphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 101 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:533999 CAPLUS
 DOCUMENT NUMBER: 121:133999
 TITLE: A synthesis of heterocyclic ring systems.
 Pyrido[3',2':4,5]thieno[2,3-b]pyrrolizine and
 pyrido[6',5':4,5][3',2':4,5]dithieno[2,3-b':2,3-
 b]dipyrrolizine
 AUTHOR(S): Peinador, Carlos; Veiga, M. Carmen; Vilar, Juan;
 Quintela, Jose M.
 CORPORATE SOURCE: Fac. Ciencias, Univ. de La Coruna, La Coruna, E-15071,
 Spain
 SOURCE: Heterocycles (1994), 38(6), 1299-305
 CODEN: HTCYAM; ISSN: 0385-5414
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 121:133999
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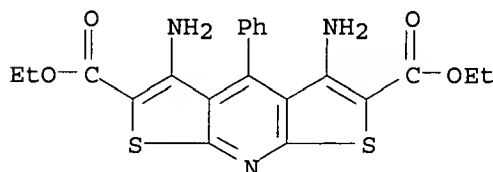
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A synthesis for two new polycyclic heterocyclic ring systems is reported.
 Cyclization of pyrrolidinocarboxamide derivs. of Et 3-(pyrrol-1-
 yl)thieno[2,3-b]pyridine-2-carboxylate I and Et 3,5-di(pyrrol-1-
 yl)dithieno[3',2'-e:2,3-b]pyridine-2,6-dicarboxylate II afford iminium
 salts that were transformed into the new title heteropolycyclic compds.
 III and IV, resp.

IT **157139-76-9P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (prepn. and reaction of, in prepn. of pyridodithienodipyrrolizine
 deriv.)

RN 157139-76-9 CAPLUS

CN Dithieno[2,3-b:3',2'-e]pyridine-2,6-dicarboxylic acid,
 3,5-diamino-4-phenyl-, diethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 102 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1994:482360 CAPLUS
 DOCUMENT NUMBER: 121:82360
 TITLE: New supramolecular architectures using hydrogen
 bonding

09/ 995,324

AUTHOR(S): Zimmerman, Steven C.; Murray, Thomas J.
CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA
SOURCE: Philosophical Transactions of the Royal Society of
London, Series A: Mathematical, Physical and
Engineering Sciences (1993), 345(1674), 49-56
CODEN: PTRMAD; ISSN: 0962-8428

DOCUMENT TYPE: Journal

LANGUAGE: English

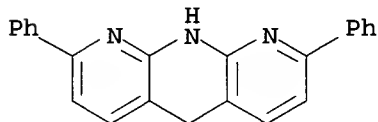
AB Several new multiply hydrogen bonded heterocyclic complexes have been studied to det. their strength and the specificity with which they form. While many factors contribute to the stability of multiply hydrogen bonded complexes, it appears that the arrangement of the hydrogen bond donor and acceptor groups is a particularly good predictor of binding strength. The results are consistent with W. L. Jorgensen's (1990) secondary electrostatic hypothesis. The heterocyclic recognition units that have been synthesized may serve as the basis for constructing new synthetic hosts or new self-assembling systems.

IT 63196-35-0

RL: PRP (Properties)
(hydrogen bonding of, NMR study of)

RN 63196-35-0 CAPLUS

CN Anthryridine, 1,5-dihydro-2,8-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 103 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:408583 CAPLUS

DOCUMENT NUMBER: 121:8583

TITLE: Multiply hydrogen bonded complexes for constructing
new supramolecular assemblies

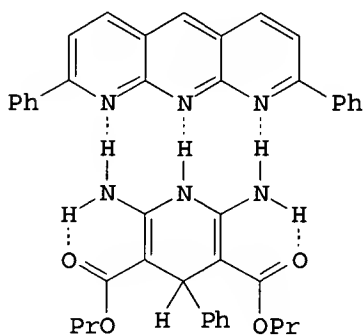
AUTHOR(S): Zimmerman, Steven C.; Baloga, Monica H.; Duerr, Brook
F.; Fenlon, Edward E.; Murray, Thomas J.

CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA
SOURCE: Polymer Preprints (American Chemical Society, Division
of Polymer Chemistry) (1993), 34(1), 94-5
CODEN: ACPPAY; ISSN: 0032-3934

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



09/ 995,324

AB Assocn. consts. and NMR complexation shifts were detd. for several doubly and triply hydrogen bonded complexes, e.g. I, involving heterocyclic compds. with 2 or 3 adjacent hydrogen bond donor or acceptor groups.

IT 155521-41-8

RL: PRP (Properties)
(multiple hydrogen bonding in)

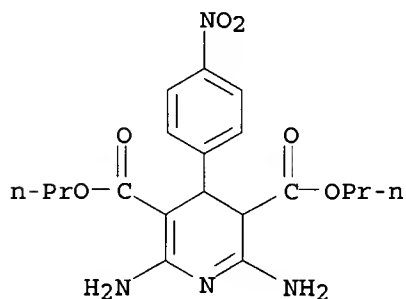
RN 155521-41-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2,6-diamino-3,4-dihydro-4-(4-nitrophenyl)-, dipropyl ester, compd. with 2,8-diphenyl-5(1H)-anthyridinone (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 155521-40-7

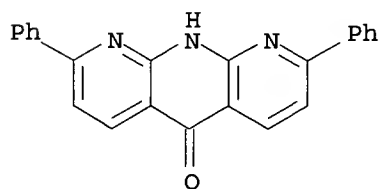
CMF C19 H24 N4 O6



CM 2

CRN 63196-37-2

CMF C23 H15 N3 O



L12 ANSWER 104 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:217564 CAPLUS

DOCUMENT NUMBER: 120:217564

TITLE: Intramolecular transamination of enaminones: a synthesis of fused, polycyclic, N-aryl pyridones. Part 2

AUTHOR(S): Friary, Richard J.; Seidl, Vera; Schwerdt, John H.; Cohen, Marvin P.; Hou, Donald; Nafissi, Mehdi

CORPORATE SOURCE: Schering-Plough Res. Inst., Kenilworth, NJ, 07033-0539, USA

SOURCE: Tetrahedron (1993), 49(33), 7169-78

CODEN: TETRAB; ISSN: 0040-4020

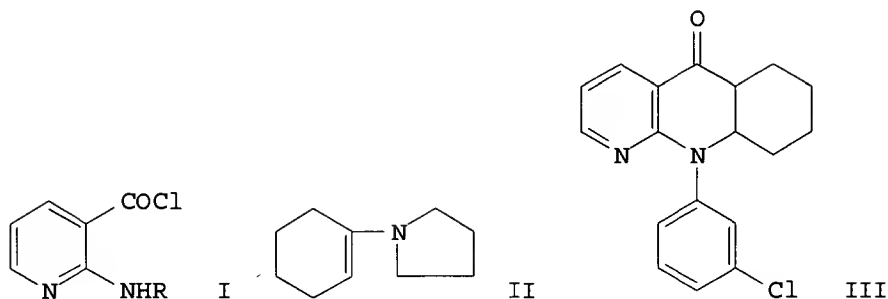
DOCUMENT TYPE: Journal

LANGUAGE: English

09/ 995,324

OTHER SOURCE(S) :
GI

CASREACT 120:217564



AB 2-Arylamino-3-pyridinecarbonyl chlorides I (R = Ph, aryl) acylated the .beta.-C atoms of enamines, and the resulting enaminones cyclized to give fused polycyclic N-aryl pyridones. The series included 10-(3-chlorophenyl)-6,8,9,10-tetrahydrobenzo[b][1,8]naphthyridin-5(7H)-one (Sch 40120), an antipsoriatic agent. The transamination and cyclocondensation of I (R = 3-chlorophenyl) with 1-(1-pyrrolidinyl)cyclohexene (II) gave Sch 40120 (III).

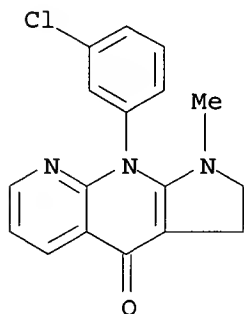
IT 110546-66-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, from enamine and (arylamino)pyridinecarbonyl chloride)

RN 110546-66-2 CAPLUS

CN 4H-Pyrrolo[2,3-b][1,8]naphthyridin-4-one, 9-(3-chlorophenyl)-1,2,3,9-tetrahydro-1-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 105 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:671097 CAPLUS

DOCUMENT NUMBER: 119:271097

TITLE: Synthesis and biological activity of new quinolone derivatives

AUTHOR(S): Antonello, C.; Uriarte, E.; Palumbo, M.; Valisena, S.; Parolin, C; Palu, G.

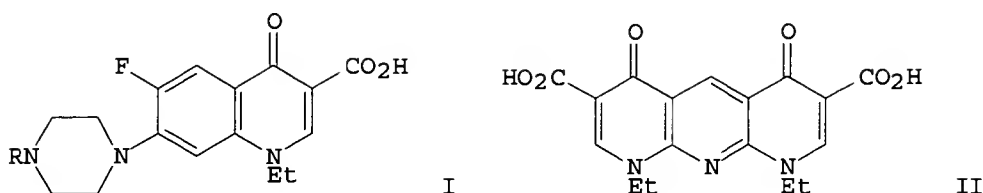
CORPORATE SOURCE: Dep. Pharm. Sci., Univ. Padua, Padua, 35131, Italy
SOURCE: European Journal of Medicinal Chemistry (1993), 28(4), 291-6

CODEN: EJMCA5; ISSN: 0223-5234

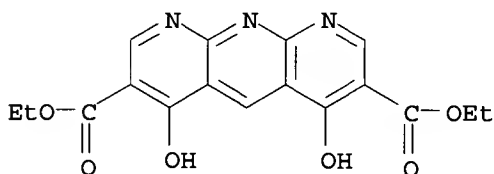
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



- AB A series of new quinolone derivs. I [R = (CH₂CH₂O)_nH, n = 2, 3, COCH₂CH₂CO₂H, 2-COC₆H₄CO₂H] bearing covalent modifications at the piperazine ring was synthesized and investigated for their biol. properties. Two different types of substitutions at the level of the nitrogen at the 4' position were considered: introduction of a di- or trioxymethylene chain to modify steric hindrance and improve soly. in aq. media or formation of a tertiary amide ending with a carboxylate group. In the latter case the net charge on the piperazine moiety changes from pos. to neg. at physiol. conditions. In addn., bis-quinolone compd. II was examd., which lacks the piperazine ring and is also neg. charged at neutral pH. The new derivs., except II, exhibited drug uptake, inhibition of DNA-gyrase activity and antibacterial potencies comparable to those of norfloxacin (I; R = H), and were modulated by the nature of the N4'-substituent. Besides indicating possible new modifications of the quinolone basic structure, the observation that substantially different substitution patterns at the same position did not cause impairment of biol. activity suggests that the steric and electronic properties of this part of the mol. are not crucial for the recognition of DNA-gyrase.
- IT **28733-29-1P**, Diethyl 4,6-dihydroxyanthryridine-3,7-dicarboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and N-ethylation of)
- RN 28733-29-1 CAPLUS
- CN 3,7-Anthyridinedicarboxylic acid, 4,6-dihydroxy-, diethyl ester (8CI, 9CI)
 (CA INDEX NAME)



L12 ANSWER 106 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1992:448483 CAPLUS
 DOCUMENT NUMBER: 117:48483
 TITLE: Nitrogen bridgehead compounds. Part 83. Synthesis and ring transformation of 6-methyl-4-oxo-4H-pyrido[1,2-a]pyrimidine-3-acrylates
 AUTHOR(S): Hermecz, Istvan; Horvath, Agnes
 CORPORATE SOURCE: CHINOLIN Pharm. and Chem. Works Ltd., Budapest, H-1325, Hung.
 SOURCE: Journal of Heterocyclic Chemistry (1992), 29(2), 559-64
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB The thermal ring transformation of 2-substituted 4-oxo-4H-pyrido[1,2-a]pyrimidine-3-acrylates gave 2-substituted 1,8-naphthyridine-3-acrylates,

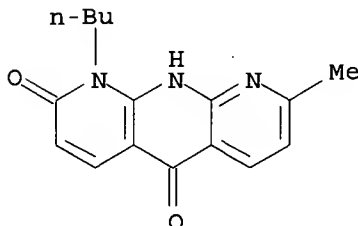
pyrano-1,8-naphthyridines and anthyridine, depending upon the nature of the 2-substituent. A longer reaction period and a higher reaction temp. favored the formation of tricyclic products from 1,8-naphthyridine-3-acrylate after isomerization of the side-chain at position 3.

IT **142406-36-8P**

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)

RN 142406-36-8 CAPLUS

CN 2,5(1H,9H)-Anthyridinedione, 1-butyl-8-methyl- (9CI) (CA INDEX NAME)



L12 ANSWER 107 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:235469 CAPLUS

DOCUMENT NUMBER: 116:235469

TITLE: New triply hydrogen bonded complexes with highly variable stabilities

AUTHOR(S): Murray, Thomas J.; Zimmerman, Steven C.

CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA

SOURCE: Journal of the American Chemical Society (1992), 114(10), 4010-11

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

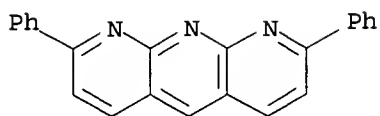
AB New triply hydrogen bonded complexes have been examd. in order to test Jorgensen's proposal (Jorgensen, W.L.; Pranata, J., 1990) that the arrangement of hydrogen bond donor (D) and acceptor (A) groups affects their stabilities. Two complexes of type ADA-DAD, 2,8-diphenyl-5(10H)-1,9,10-anthyridone with di-Pr 2,6-diamino-3,4-dihydro-4-(2-nitrophenyl)-3,5-pyridinedicarboxylate (I, Ar = 2-O₂NC₆H₄) and 2,8-diphenyl-5(10H)-1,9,10-anthyridone with 2,6-diamino-4-ethoxypyridine (II), were found to have Kassoc in chloroform of 78 M⁻¹ and 70 M⁻¹, resp. Two DDA-AAD type complexes, 7-acetamido-2,4-dimethylnaphthyridine with 2-amino-7-[3,4-di(octyloxycarbonyl)benzyl]-4H-pyrrolo[2,3-d]pyrimidine and 2',3',5'-tripentanoylguanosine with 4-ethylcytosine, were found to have Kassoc in chloroform of 9.3 x 10³ M⁻¹ and 104 M⁻¹, resp. The first reported complex of type DDD-AAA, 2,8-diphenyl-1,9,10-anthyridine with di-Pr 2,6-diamino-1,4-dihydro-4-(3-nitrophenyl)-3,5-pyridinedicarboxylate (III; Ar = 2-O₂NC₆H₄), exhibited a Kassoc .gtoreq. 105 M⁻¹. The highly variable complex stabilities correlate well the arrangement of hydrogen bond donor and acceptor groups.

IT **63196-36-1**

RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogen bonding of, in triply bonded complex)

RN 63196-36-1 CAPLUS

CN Anthyridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 108 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1992:59403 CAPLUS
 DOCUMENT NUMBER: 116:59403
 TITLE: Preparation of thienoquinoline and thienonaphthyridine derivatives as antitumor and antibacterial agents
 INVENTOR(S): Chiba, Katsumi; Yamamoto, Katsuhisa; Miyamoto, Koshi; Nakano, Junji; Matsumoto, Junichi; Nakamura, Shinichi; Nakada, Katsuhisa
 PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03223289	A2	19911002	JP 1990-319358	19901121
JP 3012684	B2	20000228		

PRIORITY APPLN. INFO.: JP 1989-320175 A1 19891208

OTHER SOURCE(S): MARPAT 116:59403

GI For diagram(s), see printed CA Issue.

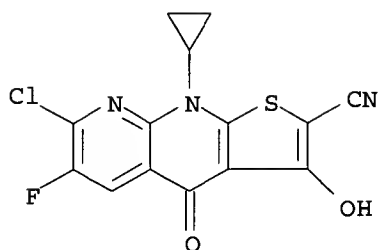
AB The title compds. [I; R1 = (cyclo)alkyl, haloalkyl, alkenyl, (un)substituted Ph; R2 = H, halo, NH₂, OH, Me; R3 = cyano, CONH₂ mono- or dialkylcarbamoyl, alkoxy-carbonyl, acyl, NO₂, CF₃, heterocyclyl; A = CY, N; Y = H, halo, Me, cyano, alkoxy; X = halo; Z = halo, Q-Q2; R4, R9 = H, alkyl, (halo)acyl; R5, R6, R16 = H, (halo)alkyl; R7 = H, halo, (hydroxy)alkyl, OH, NH₂, mono- or di(halo)alkylamino; R8 = H, halo, alkyl, alkoxy; m = 1, 2; n = 3, 4, 5] are prepd. Thus, a mixt. of Et 1-cyclopropyl-6,7-difluoro-2-mercapto-1,4-dihydro-4-oxoquinoline-2-carboxylate 975, NaHCO₃ 252, BrCH₂CN 417 mg, 20 mL THF, and 40 mL H₂O was stirred 30 min at room temp. to give 1.02 g Et 1-cyclopropyl-6,7-difluoro-2-cyanomethylthio-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate which)364 mg) was stirred 30 min at 60.degree. with 168 mg NaHCO₃, 10 mL THF, and 30 mL H₂O to give 293 mg I (R1 = cyclopropyl, R2 = X = F, R3 = cyano, Z = H, A = cyano). I (R1 = cyclopropyl, R2 = H, R3 = cyano, X = F, Z = 1-piperazinyl, A = CF) showed min. inhibitory concn. of 0.05 and 1.56 .mu.g/mL against Staphylococcus aureus 209P JC-1 and Pseudomonas aeruginosa, resp. I (R1 = cyclopropyl, R2 = NH₂, R3 = cyano, X = F, Z = 4-methyl-1-piperazinyl, A = CH) at 50 mg/kg i.p. prolonged 57% the life span of mice inoculated with P-388 tumor cells. A total of 70 I were prepd.

IT 138595-54-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, as antibacterial and antitumor agent)

RN 138595-54-7 CAPLUS

CN Thieno[2,3-b][1,8]naphthyridine-2-carbonitrile, 7-chloro-9-cyclopropyl-6-fluoro-4,9-dihydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



L12 ANSWER 109 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1990:188933 CAPLUS
 DOCUMENT NUMBER: 112:188933
 TITLE: Photoconductive coating film and electrophotographic
 photoreceptor using same
 INVENTOR(S): Fujio, Katsunori; Ishibashi, Setsuo
 PATENT ASSIGNEE(S): Alps Electric Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01172968	A2	19890707	JP 1987-332431	19871228
PRIORITY APPLN. INFO.:			JP 1987-332431	19871228

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title photoconductive coating film contains .gtoreq.1 azo pigment from I, II, III, and IV [A = moiety with a phenolic OH, V, C(COCH₃)HCONRR; R = H, lower alkyl, aryl, alkoxy carbonyl, aryloxy carbonyl, acyl, halogen, monovalent org. moiety; Z = group necessary to form an arom. ring or heterocyclic ring]. In the title photoreceptor, a photosensitive layer is composed of the photoconductive layer.

IT 126528-77-6

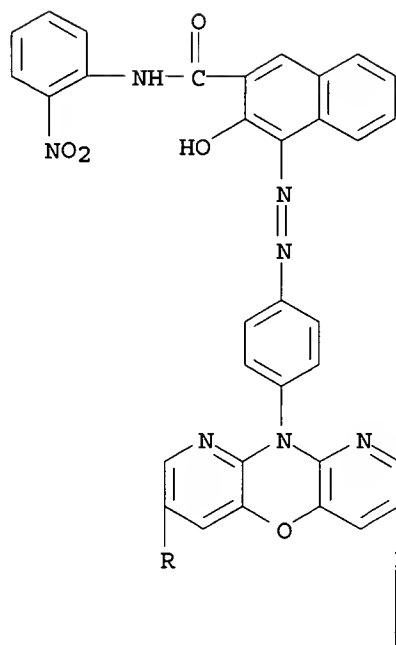
RL: USES (Uses)

(photoconductive layer contg., for electrophotog. photoreceptor)

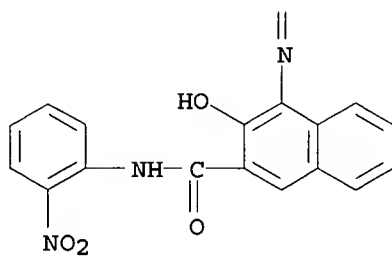
RN 126528-77-6 CAPLUS

CN 2-Naphthalenecarboxamide, 4,4'-[[10-[4-[[2-hydroxy-3-[[2-nitrophenyl]amino]carbonyl]-1-naphthalenyl]azo]phenyl]-10H-dipyrido[3,2-b:2',3'-e][1,4]oxazine-3,7-diyl]bis(azo)]bis[3-hydroxy-N-(2-nitrophenyl)-(9CI) (CA INDEX NAME)

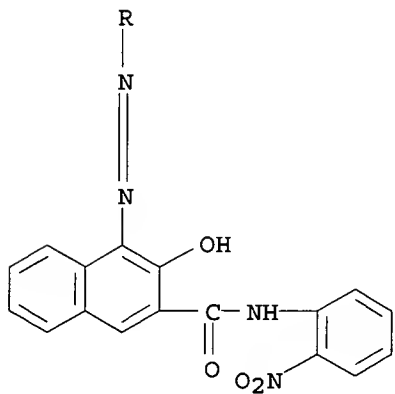
PAGE 1-A



PAGE 2-A

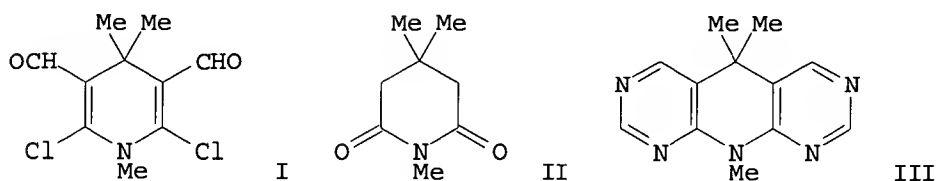


PAGE 3-A



09/ 995,324

L12 ANSWER 110 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:118748 CAPLUS
DOCUMENT NUMBER: 112:118748
TITLE: Reactivity of 2,6-dichloro-3,5-diformyl-1,4,4-trimethyl-1,4-dihydropyridine
AUTHOR(S): Kurfurst, Antonin; Sebek, Pavel
CORPORATE SOURCE: Dep. Org. Chem., Prague Inst. Chem. Technol., Prague, 166 28, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1989), 54(6), 1705-15
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:118748
GI



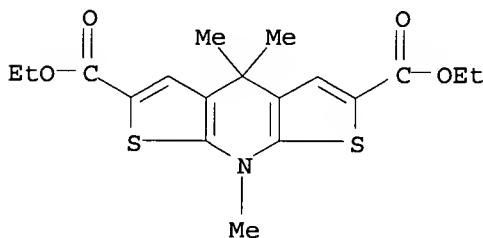
AB The title compd. (I) was prepd. in 65% yield by heating glutarimide II with DMF and excess POCl₃ at 100.degree. for 4 h. The reaction of I with NH₂OH, PhNHNH₂, R₂Na (R = Et, Me₂CH, Ph), piperidine, morpholine, Et thioglycolate, formamide, benzamidine, and PhCH₂SH was reported. E.g., heating I with formamide at 160-170.degree. for 16 h gave 45% pyridodipyrimidine III.

IT 125532-90-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 125532-90-3 CAPLUS

CN Dithieno[2,3-b:3',2'-e]pyridine-2,6-dicarboxylic acid,
4,8-dihydro-4,4,8-trimethyl-, diethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 111 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1989:614470 CAPLUS

DOCUMENT NUMBER: 111:214470

TITLE: Preparation, testing, and formulation of polycyclic quinolines, naphthyridine and pyrazinopyridine derivatives as drugs

INVENTOR(S): Ganguly, Ashit K.; Friary, Richard J.; Schwerdt, John H.; Siegel, Marvin I.; Smith, Sidney R.; Seidl, Vera A.; Sybertz, Edmund J.

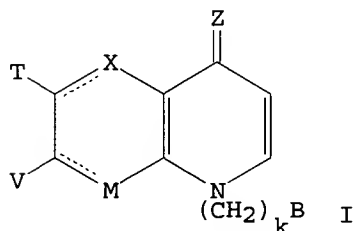
PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 861,788,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4810708	A	19890307	US 1987-17027	19870217
ZA 8604416	A	19870225	ZA 1986-4416	19860612
IL 79110	A1	19930131	IL 1986-79110	19860612
EP 229823	A1	19870729	EP 1986-904508	19860613
EP 229823	B1	19910925		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1306255	A1	19920811	CA 1986-511542	19860613
US 4988705	A	19910129	US 1989-307646	19890207
US 5116840	A	19920526	US 1990-576640	19900831
US 5126352	A	19920630	US 1990-576318	19900831
US 5439916	A	19950808	US 1990-576319	19900831
PRIORITY APPLN. INFO.:			US 1985-744865	19850613
			US 1986-861788	19860515
			US 1987-17027	19870217
			US 1989-307646	19890207
OTHER SOURCE(S):			CASREACT 111:214470; MARPAT 111:214470	
GI				



AB The title compds. [I; B = alkenyl, amino, carboxylic ester, (substituted) aryl; M, X = CH, N, (substituted)methylene, imino; XT = (substituted) phenylene; V = H, OH, alkyl, alkoxy, (substituted) Ph; T = V, F, Cl, Br; Z = O, S, imino, oximino; k = 0-2], useful for treating allergic reactions, inflammation peptic ulcer, hypertension, and hyperproliferative skin disease and for suppressing immune response, were prepd.

2-Chloronicotinoyl chloride in CHCl₃ was added to 1-(1-pyrrolidinyl)-1-cyclopentene and Et₃N in CHCl₃ at 5.degree.. The mixt. was kept for 21 h (at 25.degree. after the first hour) to give 2-chloro-3-pyridyl [2-(1-pyrrididiny1)-1-cyclopenten-1-yl]methanone. The latter was refluxed 26 h with 3-O₂NC₆H₄NH₂ in PhH contg. p-MeC₆H₄SO₃H to give 9-(3-nitrophenyl)-6,7,8,9-tetrahydro-5H-cyclopenta[b][1,8]naphthyridin-5-one (II). II showed an ED₅₀ of 0.1 mg/kg orally in rats in the reverse Arthus reaction. An ointment was prepd. contg. 1-20 mg II, 20 mg benzyl alc., 50 mg mineral oil, and petrolatum to make 1 g.

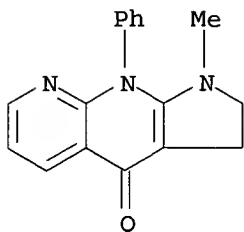
IT 110546-06-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)

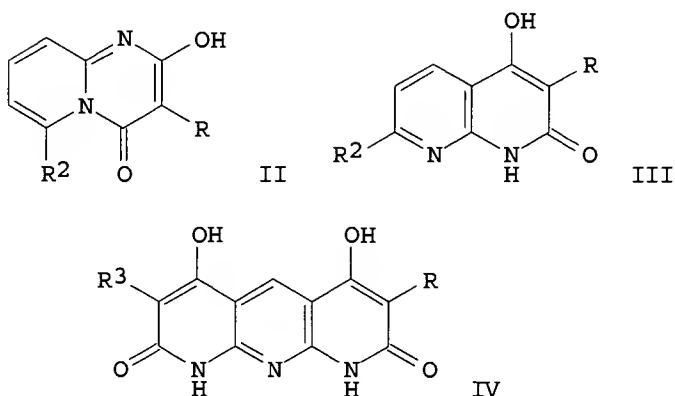
RN 110546-06-0 CAPLUS

CN 4H-Pyrrolo[2,3-b][1,8]naphthyridin-4-one, 1,2,3,9-tetrahydro-1-methyl-9-

phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 112 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1989:212647 CAPLUS
 DOCUMENT NUMBER: 110:212647
 TITLE: Rearrangement reactions of heterocycles. 12.
 Rearrangement of 6-substituted pyrido[1,2-
 a]pyrimidines to isomeric 1,8-naphthyridines and some
 of their further reactions
 AUTHOR(S): Schober, Bernt D.; Kappe, Thomas
 CORPORATE SOURCE: Inst. Org. Chem., Karl-Franzens-Univ., Graz, A-8010,
 Austria
 SOURCE: Journal of Heterocyclic Chemistry (1988), 25(4),
 1231-6
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 110:212647
 GI

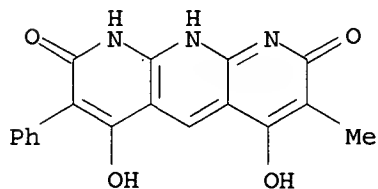


AB 2-Amino-6-methylpyridine reacts with $\text{RCH}(\text{CO}_2\text{R}_1)_2$ (I; R = Me, Bu, Ph, PhCH_2 ; $\text{R}_1 = \text{C}_6\text{H}_2\text{Cl}_3\text{-2,4,6}$, C_6Cl_5) in Me_2CO contg. Et_3N at room temp. to give hydroxymethylpyridopyrimidinones II (same R; $\text{R}_2 = \text{Me}$).
 2,6-Diaminopyridine reacts with I ($\text{R}_1 = \text{C}_6\text{H}_2\text{Cl}_3\text{-2,4,6}$) in the absence of Et_3N to give II (same R; $\text{R}_2 = \text{H}_2\text{N}$). At higher temps. II rearrange via ketene intermediates to give naphthyridinones III. III are also prepd. directly from the pyridine precursors by reaction with I ($\text{R}_1 = \text{Et}$) or I ($\text{R} = \text{C}_6\text{H}_2\text{Cl}_3\text{-2,4,6}$) at 240-250.degree.. Further reaction of III ($\text{R}_2 = \text{H}_2\text{N}$) with I ($\text{R} = \text{Ph}$, PhCH_2 , $\text{R}_1 = \text{C}_6\text{H}_2\text{Cl}_3\text{-2,4,6}$) gives pyridonaphthyridines IV ($\text{R}_3 = \text{Ph}$, PhCH_2).
 IT 120537-70-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

09/ 995,324

RN 120537-70-4 CAPLUS

CN 2,8(1H,9H)-Anthyridinedione, 4,6-dihydroxy-3-methyl-7-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 113 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:590272 CAPLUS

DOCUMENT NUMBER: 109:190272

TITLE: Synthesis of 2-(3-coumarinyl)-4(1H)-anthyridinones

AUTHOR(S): Reddy, K. Rajendar; Mogilaiah, K.; Srefnivasulu, B.

CORPORATE SOURCE: Dep. Chem., Kakatiya Univ., Warangal, 506 009, India

SOURCE: Journal of the Indian Chemical Society (1987), 64(11), 709-10

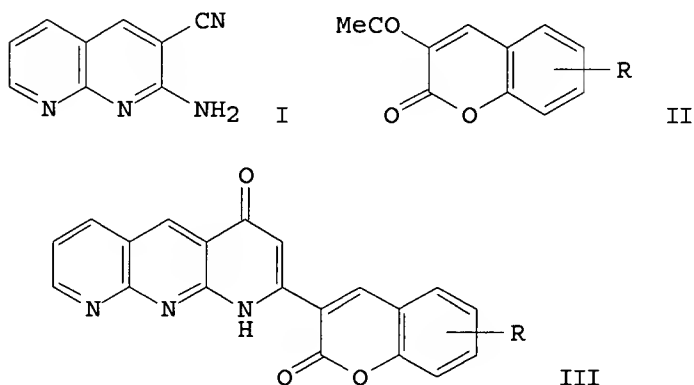
CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 109:190272

GI



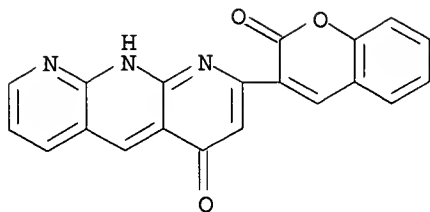
AB Cyclocondensation of naphthyridine I with acetylcoumarins II (R = H, 6-Cl, 6-Br, 6-NO₂, 8-NO₂, 7-OH, 8-OMe, 6,8-Cl₂, 6,8-Br₂, etc.) gave 62-80% anthyridinones III.

IT 117156-37-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 117156-37-3 CAPLUS

CN 4(1H)-Anthyridinone, 2-(2-oxo-2H-1-benzopyran-3-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 114 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1988:492978 CAPLUS
 DOCUMENT NUMBER: 109:92978
 TITLE: Method of treating hyperproliferative skin disease
 INVENTOR(S): Blythin, David J.
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: U.S., 21 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4740511	A	19880426	US 1987-15829	19870218
CA 1309658	A1	19921103	CA 1987-553464	19871203
WO 8804172	A1	19880616	WO 1987-US3112	19871204
W: JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 338010	A1	19891025	EP 1988-900504	19871204
EP 338010	B1	19920205		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02501574	T2	19900531	JP 1988-500848	19871204
JP 06010133	B4	19940209		
AT 72397	E	19920215	AT 1988-900504	19871204
PRIORITY APPLN. INFO.:			US 1986-938196	19861205
			US 1986-938217	19861205
			US 1987-15829	19870218
			EP 1988-900504	19871204
			WO 1987-US3112	19871204

OTHER SOURCE(S): MARPAT 109:92978

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; A = heteroatom-contg. fused-ring moieties Q, Q1; B = O, S; R1-R10 = H, C1-6 alkyl; adjacent R3-R10 may form a bond; V = (un)substituted Ph, naphthyl, indenyl, indanyl, pyridyl, pyrimidinyl, thienyl, furyl, thiazolyl; W, X = H, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio C3-7 cycloalkyl, C3-6 alkenyloxy, C3-6 alkynyloxy, OH, halo, NO2, alkanoyl, acylamino, (un)modified CO2H, carboxyalkoxy, (un)substituted PhO, etc.; Y, Z = CH, N; m, p = 0, 1; n = 0-2] for treatment of hyperproliferative skin diseases such as eczema, psoriasis, and dandruff. A mixt. of Et 2-[(3,4-dichlorophenyl)amino]-3-pyridinecarboxylate, .gamma.-valerolactone, and KOCMe3 was heated 5h at 110.degree. to give 1-(3,4-dichlorophenyl)-4-hydroxy-3-(2-hydroxypropyl)-1,8-naphthyridin-2(1H)-one. The latter was heated 2h at 70.degree. in Eaton's reagent (10% P2O5 in MeSO3H) to give furonaphthyridinone II (R11 = Cl). II (R11 = H) (III) had an ED50 of 0.13 mg topically in the arachidonic acid mouse ear test, a measure of its utility in treatment of hyperproliferative skin diseases. An ointment was prepd. contg. III 1.0-20.0, PhCH2OH 10.0, and mineral oil 50 mg plus white petrolatum to make 1.0 g.

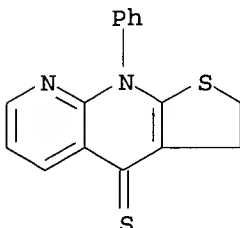
09/ 995,324

IT 95774-40-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of hyperproliferative skin disease)

RN 95774-40-6 CAPLUS

CN Thieno[2,3-b][1,8]naphthyridine-4(2H)-thione, 3,9-dihydro-9-phenyl- (9CI)
(CA INDEX NAME)



L12 ANSWER 115 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1988:37817 CAPLUS

DOCUMENT NUMBER: 108:37817

TITLE: Preparation of furo- and thienonaphthyridines and
their homologs as inotropic agents

INVENTOR(S): Blythin, David J.; Watkins, Robert W.

PATENT ASSIGNEE(S): Schering Corp., USA

SOURCE: U.S., 14 pp. Cont. of U.S. Ser. No. 513,544,
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4680297	A	19870714	US 1985-746914	19850620
PRIORITY APPLN. INFO.:			US 1983-513544	19830714

OTHER SOURCE(S): CASREACT 108:37817

GI For diagram(s), see printed CA Issue.

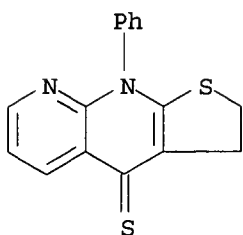
AB The title compds. (I; A = oxa- or thia-1-cycloalken-1,2-ylene(thio)carbonyl; n = 0, 1, 2; W, X = H, alkyl, alkoxy, etc.; Y, Z = CH, N; V = Ph, naphthyl, indanyl, pyridyl, etc.; R7 = H, alkyl), useful as inotropic agents, are prepd. A soln. of naphthyridine deriv. II in Eaton's reagent was heated at 70.degree. for 2 h to give furonaphthyridine deriv. III. I increased cardiac contractility in in vitro tests conducted on guinea pig left atria at 1 .mu.m/mL-1000 .mu.g/mL, generally 10 .mu.g/mL-100 .mu.g/mL, as well as in in vivo tests using dogs at 1 mg/kg-10 mg/kg p.o. General procedures are given for nearly 300 addnl. compds. without data.

IT 95774-40-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inotropic agent)

RN 95774-40-6 CAPLUS

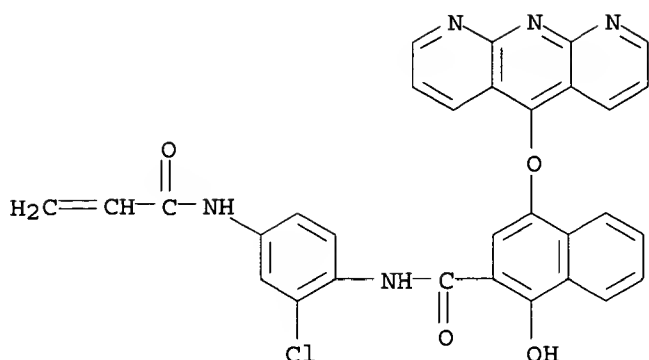
CN Thieno[2,3-b][1,8]naphthyridine-4(2H)-thione, 3,9-dihydro-9-phenyl- (9CI)
(CA INDEX NAME)



L12 ANSWER 116 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1988:13822 CAPLUS
 DOCUMENT NUMBER: 108:13822
 TITLE: Silver halide color photographic photosensitive materials
 INVENTOR(S): Yamashita, Kiyoshi; Kunieda, Sunao
 PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62147458	A2	19870701	JP 1985-289083	19851220
JP 06060996	B4	19940810		

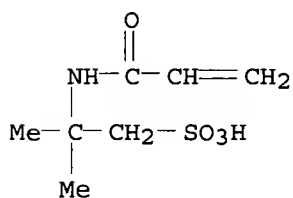
PRIORITY APPLN. INFO.: JP 1985-289083 19851220
 AB The title color photog. materials contain a development inhibitor releasing compd. and a colorless nondiffusible compd. of the formula LIG-X (X = moiety which releases the LIG during Ag halide development; LIG = ligand moiety) which is capable of forming a metal complex dye. The photog. materials show high sensitivity and excellent image quality.
 IT **111908-36-2**
 RL: USES (Uses)
 (ligand-releasing photog. coupler, for masking image formation)
 RN 111908-36-2 CAPLUS
 CN 1-Propanesulfonic acid, 2-methyl-2-[(1-oxo-2-propenyl)amino]-, monosodium salt, polymer with 4-(5-anthyridinyloxy)-N-[2-chloro-4-[(1-oxo-2-propenyl)amino]phenyl]-1-hydroxy-2-naphthalenecarboxamide (9CI) (CA INDEX NAME)
 CM 1
 CRN 111908-35-1
 CMF C31 H20 Cl N5 O4



CM 2

CRN 5165-97-9

CMF C7 H13 N O4 S . Na



● Na

L12 ANSWER 117 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1987:554317 CAPLUS
 DOCUMENT NUMBER: 107:154317
 TITLE: Polycyclic quinoline, naphthyridine, and
 pyrazinopyridine derivatives
 INVENTOR(S): Ganguly, Ashit Kumar; Schwerdt, John Herbert; Friary,
 Richard James; Siegel, Marvin Ira; Smith, Sidney
 Randall; Seidl, Vera Ann; Sybertz, Edmund J.
 PATENT ASSIGNEE(S): Schering Corp., USA
 SOURCE: PCT Int. Appl., 120 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8607359	A2	19861218	WO 1986-US1269	19860613
WO 8607359	A3	19870409		
W: AU, DK, FI, HU, JP, KR, NO				
RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
ZA 8604416	A	19870225	ZA 1986-4416	19860612
IL 79110	A1	19930131	IL 1986-79110	19860612
AU 8661224	A1	19870107	AU 1986-61224	19860613

AU 591922	B2	19891221		
HU 42482	A2	19870728	HU 1986-3255	19860613
HU 203098	B	19910528		
EP 229823	A1	19870729	EP 1986-904508	19860613
EP 229823	B1	19910925		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 63500518	T2	19880225	JP 1986-503579	19860613
JP 07062017	B4	19950705		
AT 67764	E	19911015	AT 1986-904508	19860613
CA 1306255	A1	19920811	CA 1986-511542	19860613
DK 8700706	A	19870212	DK 1987-706	19870212
NO 8700564	A	19870212	NO 1987-564	19870212
NO 168177	B	19911014		
NO 168177	C	19920122		

PRIORITY APPLN. INFO.:

US 1985-744865	19850613
US 1986-861788	19860515
EP 1986-904508	19860613
WO 1986-US1269	19860613

GI For diagram(s), see printed CA Issue.

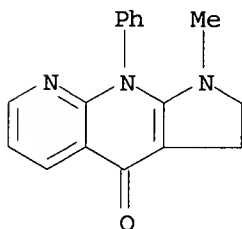
AB Title compds. I [W = (poly)cyclic group contg. C and optionally N, O, S; X, M = (un)substituted CH, N; T, V = H, OH, alkyl, alkoxy, (un)substituted Ph; T may also be F, Cl, Br; Z = O, S, imino; R = alkyl, amino, substituted CO₂R, O₂CR, arom., heteroarom.; n = 0-2], useful for treating allergic reactions, inflammation, peptic ulcers, hypertension, and psoriasis, and for suppressing the immune response in mammals, are prepd. Thus, 1-morpholinocyclohexene reacted with 2-chloronicotinoyl chloride to give enamino ketone II, which reacted with 3-chloroaniline to form benzonaphthyridinone III. III inhibited anaphylactic bronchospasms and allergen-induced SRS-A and histamine release in guinea pigs. III was also active as an antiinflammatory agent, an antihypertensive, and an immunosuppressive agent, and was useful in treatment of hyperproliferative skin disease in test animals. Formulations contg. 100 mg/vial active compd. and sterile water were prepd. for parenteral administration.

IT **110546-06-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and pharmaceutical activity of)

RN 110546-06-0 CAPLUS

CN 4H-Pyrrolo[2,3-b][1,8]naphthyridin-4-one, 1,2,3,9-tetrahydro-1-methyl-9-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 118 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1987:213788 CAPLUS

DOCUMENT NUMBER: 106:213788

TITLE: Synthesis of 2-aryl-4(1H)-anthyridinones

AUTHOR(S): Mogilaiah, K.; Reddy, K. Rajendar; Reddy, K.
Vijayender; Sreenivasulu, B.

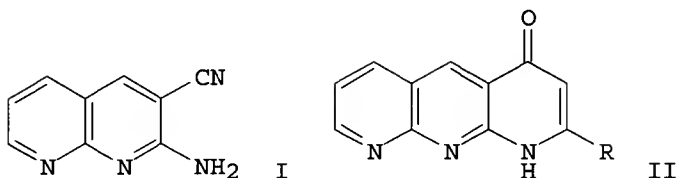
CORPORATE SOURCE: Dep. Chem., Kakatiya Univ., Warangal, 506 009, India
SOURCE: Journal of the Indian Chemical Society (1986), 63(3),
345-7

CODEN: JICSAH; ISSN: 0019-4522

DOCUMENT TYPE: Journal

09/ 995,324

LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:213788
GI



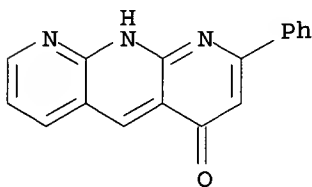
AB Cyclocondensation reaction of aminocyanonaphthyridine I with various aryl Me ketones in AcOH contg. catalytic amt. of H₂SO₄ yielded the title anthyridinones II (R = e.g. Ph, 2-HOC₆H₄, 4-ClC₆H₄, 1-naphthyl, 2-pyridyl, 2-furyl).

IT 107641-01-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 107641-01-0 CAPLUS

CN 4(1H)-Anthyridinone, 2-phenyl- (9CI) (CA INDEX NAME)

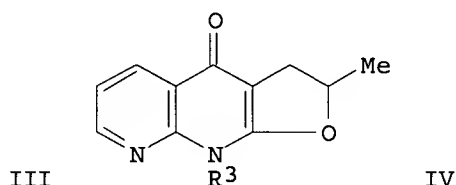
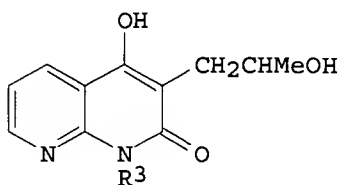
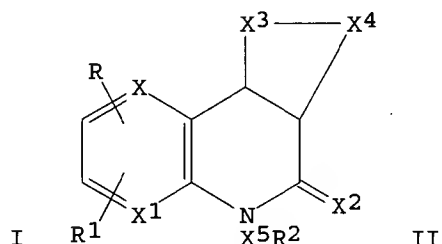
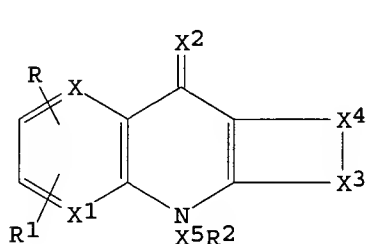


L12 ANSWER 119 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:166726 CAPLUS
DOCUMENT NUMBER: 102:166726
TITLE: Fused tricyclic derivatives of naphthyridinone,
pyridone and quinolone and the corresponding thiones
INVENTOR(S): Blythin, David John
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 127135	A2	19841205	EP 1984-105923	19840524
EP 127135	A3	19850821		
EP 127135	B1	19900829		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4680298	A	19870714	US 1984-597887	19840409
AT 56015	E	19900915	AT 1984-105923	19840524
DK 8402647	A	19841201	DK 1984-2647	19840529
DK 160557	B	19910325		
DK 160557	C	19910909		
AU 8428824	A1	19841206	AU 1984-28824	19840529
AU 559754	B2	19870319		

09/ 995,324

GB 2142013	A1	19850109	GB 1984-13582	19840529
GB 2142013	B2	19870121		
ZA 8404083	A	19850130	ZA 1984-4083	19840529
IL 71960	A1	19880731	IL 1984-71960	19840529
JP 60034967	A2	19850222	JP 1984-110656	19840530
JP 07047590	B4	19950524		
CA 1251208	A1	19890314	CA 1984-455491	19840530
PRIORITY APPLN. INFO.:			US 1983-499584	19830531
			US 1984-597887	19840409
			EP 1984-105923	19840524
OTHER SOURCE(S):			CASREACT 102:166726	
GI				



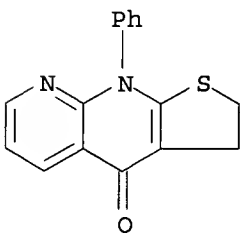
AB Antiallergy (no data) title compds. I and II [X, X1 = CH, N; X2, X3 = O, S; X4 = [(substituted) alkyl substituted] C2-4 alkylene, alkenylene; X5 = (alkyl substituted) C1-6 alkylene; R, R1 = H, OH, alkyl, cycloalkyl, cyano, NO2, alkoxy, etc.; R2 = (un)substituted Ph, naphthyl, indenyl, indanyl, pyridyl, etc.] (.apprx.60 compds.) were prepd. Thus, Et 2-(3,4-dichlorophenyl)nicotinate reacted with .gamma.-valerolactone to give naphthyridinone III (R3 = C6H3Cl2-3,4), which was treated with P2O5-methanesulfonic acid to give furonaphthyridinone IV.

IT 95774-41-7P

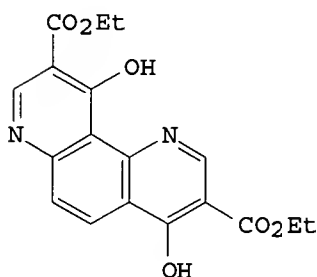
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and isomerization of)

RN 95774-41-7 CAPLUS

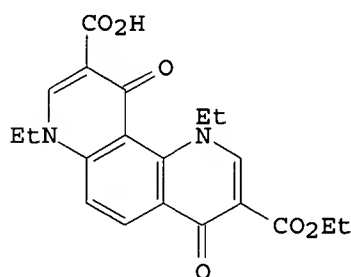
CN Thieno[2,3-b][1,8]naphthyridin-4(2H)-one, 3,9-dihydro-9-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 120 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1985:95565 CAPLUS
 DOCUMENT NUMBER: 102:95565
 TITLE: Studies on the synthesis of quinoline compounds. III. Syntheses of tricyclic aromatic compounds with two parts of 3-carboxy-1-ethyl-4-oxo-1,4-dihydropyridine
 AUTHOR(S): Hirao, Ichiro; Yamaguchi, Masahiko; Takefuji, Nobuo; Kawazoe, Yasushi
 CORPORATE SOURCE: Kyushu Inst. Technol., Kitakyushu, Japan
 SOURCE: Memoirs of the Kyushu Institute of Technology, Engineering (1984), 14, 23-7
 CODEN: MKIEBJ; ISSN: 0369-0512
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

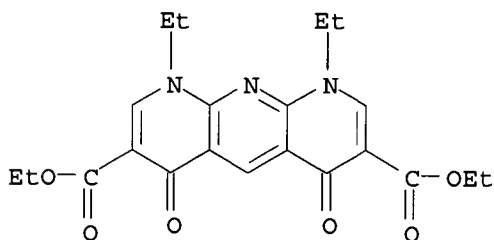


III



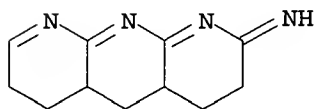
IV

- AB Benzenediamines 4-RC₆H₃(NH₂)₂-1,3 (I, R = H, Cl, Me), C₆H₄(NH₂)₂-1,4 and 2,6-pyridinediamine were converted to tricyclic quinolines by the Gould-Jacobs reaction by condensation with EtOCH:C(CO₂Et)₂ (II) followed by thermal cyclization of the resulting imines. Thus, I (R = H) and II were refluxed in MeOH, the diimine isolated and heated at 270.degree. in Ph₂O to give phenanthroline III. This was N-ethylated and hydrolyzed in aq. HCl to give IV.
- IT **94974-09-1P**
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and acid hydrolysis of)
- RN 94974-09-1 CAPLUS
- CN 3,7-Anthyridinedicarboxylic acid, 1,9-diethyl-1,4,6,9-tetrahydro-4,6-dioxo-, diethyl ester (9CI) (CA INDEX NAME)

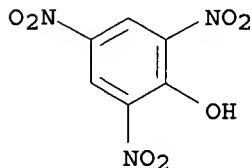


L12 ANSWER 121 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1983:406154 CAPLUS
 DOCUMENT NUMBER: 99:6154
 TITLE: The degradation and stabilization of

polyacrylonitrile. II. Degradation of
1,3,5,7-tetracyanoheptane
AUTHOR(S): Ayrey, G.; Chadda, S. K.; Poller, R. C.
CORPORATE SOURCE: Dep. Chem., Queen Elizabeth Coll., London, W8 7AH, UK
SOURCE: European Polymer Journal (1983), 19(4), 313-15
CODEN: EUPJAG; ISSN: 0014-3057
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A pure model compd. for polyacrylonitrile (I) [25014-41-9],
1,3,5,7-tetracyanoheptane [64918-24-7], discolors thermally in a manner
similar to the polymer. This and other evidence is presented to support
the view that thermal degrdn. of I is a free radical reaction involving
tertiary H atoms.
IT **86105-98-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 86105-98-8 CAPLUS
CN 2(3H)-Anthyridinimine, 4,4a,5,5a,6,7-hexahydro-, compd. with
2,4,6-trinitrophenol (1:4) (9CI) (CA INDEX NAME)
CM 1
CRN 86105-97-7
CMF C11 H14 N4

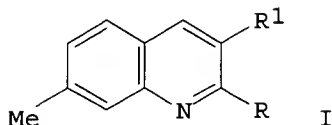


CM 2
CRN 88-89-1
CMF C6 H3 N3 O7



L12 ANSWER 122 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:19930 CAPLUS
DOCUMENT NUMBER: 96:19930
TITLE: A versatile new synthesis of quinolines and related
fused pyridines. Part 9. Synthetic application of
the 2-chloroquinoline-3-carboxaldehydes
AUTHOR(S): Meth-Cohn, Otto; Narine, Bramha; Tarnowski, Brian;
Hayes, Roy; Keyzad, Amitis; Rhouati, Salah; Robinson,
Andrew
CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5
4WT, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions
1: Organic and Bio-Organic Chemistry (1972-1999)
(1981), (9), 2509-17
CODEN: JCPRB4; ISSN: 0300-922X

DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



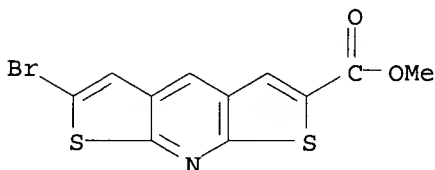
AB The 2-Cl group of the title compds. was replaced by H, iodo, OH, SR (R = alkyl), Li, CO₂H, Ph, pyridyl, and N₃ (giving the tetrazole), and the CHO group was converted to the oxime, hydrazone, and acrylic acid derivs. E.g., quinoline I (R = Cl, R₁ = CHO) reacted with Me₃CSH (K₂CO₃, EtOH, reflux, 2 h) to give 47% I (R = SCMe₃, R₁ = CHO) and with N₂H₄ (EtOH, reflux, 30 min, then 0.degree. to crystallize) to give 84% I (R = Cl, R₁ = CH:NNH₂). A variety of fused quinolines were prepd. from these functionalized derivs. E.g., I (R = R₁ = CHO) underwent cyclocondensation reaction with N₂H₄ (EtOH, room temp., 30 min) and with CO(CH₂CO₂Et)₂, (piperidine, dioxane, reflux, 3 h) to give I [RR₁ = CH:NN:CH, CH:C(CO₂Et)COC(CO₂Et):C] in 96 and 22% yield, resp.

IT 68236-37-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 68236-37-3 CAPLUS

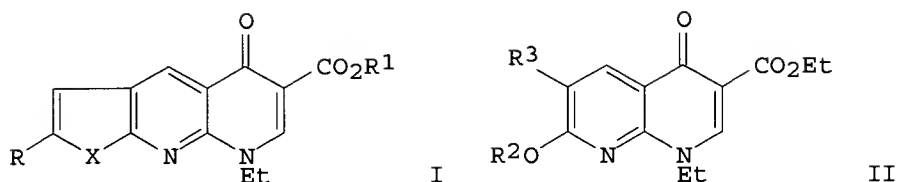
CN Dithieno[2,3-b:3',2'-e]pyridine-2-carboxylic acid, 6-bromo-, methyl ester
 (9CI) (CA INDEX NAME)



L12 ANSWER 123 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1981:103337 CAPLUS
 DOCUMENT NUMBER: 94:103337
 TITLE: Heterocyclic ring-condensed naphthyridine derivatives
 PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55118489	A2	19800911	JP 1979-26518	19790307
JP 62037637	B4	19870813		
PRIORITY APPLN. INFO.:			JP 1979-26518	19790307

GI



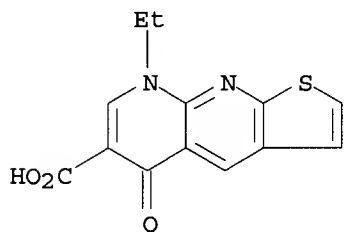
AB Title compds. I (R = R1 = H, X = O, S), useful as antibacterials (no data), were prepd. Thus, II (R2 = Et, R3 = O2N), obtained by ethylation of II (R2 = H, R3 = O2N) with EtI, was reduced with Fe-AcOH, the diazonium salt of II (R2 = Et, R3 = H2N) treated with CuCN-KCN, II (R2 = Et, R3 = cyano) heated with HCO2H-H2O-Raney Ni, II (R2 = Et, R3 = CHO) deethylated with AlCl3 in CH2Cl2, II (R2 = H, R3 = CHO) cyclocondensed with BrCH(CO2Et)2-K2CO3, I (R = EtO2C, R1 = Et, X = O) hydrolyzed (10% aq. NaOH), and the resulting dicarboxylic acid I (R = HO2C, R1 = H, X = O) decarboxylated to give I (R = R1 = H, X = O).

IT **75064-86-7P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of as bactericide)

RN 75064-86-7 CAPLUS

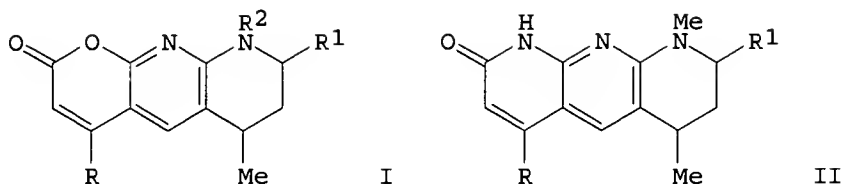
CN Thieno[2,3-b][1,8]naphthyridine-6-carboxylic acid, 8-ethyl-5,8-dihydro-5-oxo- (9CI) (CA INDEX NAME)



L12 ANSWER 124 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1980:639389 CAPLUS
 DOCUMENT NUMBER: 93:239389
 TITLE: Substituted-6,7,8,9-tetrahydropyrido- and
 -2H-pyrano[2,3-b][1,8]naphthyridines, stable efficient
 laser dyes
 PATENT ASSIGNEE(S): United States Dept. of the Navy, USA
 SOURCE: U.S., 7 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4202981	A	19800513	US 1978-888125	19780320
US 888125	A0	19780804	US 1978-888125	19780320
PRIORITY APPLN. INFO.:			US 1978-888125	19780320

GI



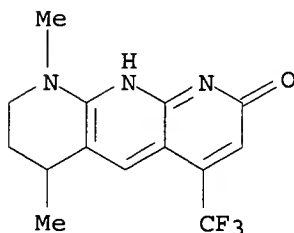
AB Pyrano[2,3-b][1,8]naphthyridines I and pyrido[2,3-b][1,8]naphthyridines II (R = H, Me, CF₃, HO, MeO; R₁ = Me, H, Ph; R₂ = Me, H, CH₂CO₂Et), useful as laser dyes (no data), were prepd. Thus, hydrogenation of 7-acetamido-2-chloro-4-methyl-1,8-naphthyridine over Pd/C followed by quaternization by 4-MeC₆H₄SO₃Me and hydrogenation over PtO₂ gave 7-acetamido-1,4-dimethyl-1,2,3,4-tetrahydro-1,8-naphthyridine (III). Deamination-hydroxylation of III followed by cyclocondensation with CF₃COCH₂CO₂Et gave I (R = CF₃, R₁ = H, R₂ = Me).

IT **65541-89-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 65541-89-1 CAPLUS

CN 2(1H)-Anthyridinone, 6,7,8,9-tetrahydro-6,9-dimethyl-4-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



L12 ANSWER 125 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:604497 CAPLUS

DOCUMENT NUMBER: 93:204497

TITLE: A new synthesis of 1,8,9-triazaanthracene derivatives
(anthyridine)

AUTHOR(S): Czuba, Wladyslaw; Bajgrowicz, Jerzy A.

CORPORATE SOURCE: Inst. Org. Phys. Chem., Tech. Univ. Wroclaw, Wroclaw,
Pol.

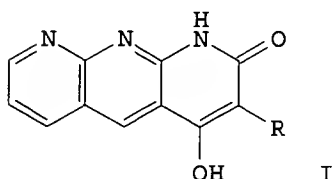
SOURCE: Bulletin de l'Academie Polonaise des Sciences, Serie
des Sciences Chimiques (1979), 27(7-8), 571-4

CODEN: BAPCAQ; ISSN: 0001-4095

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



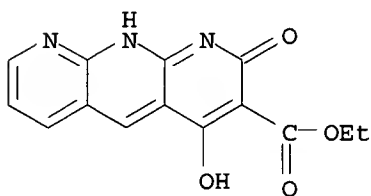
AB Anthyridinones I (R = H, CO₂Et) were obtained in 72.5 and 67.2% yield resp. by treating 2-amino-3-ethoxycarbonyl-1,8-naphthylidine (II) with RCH₂CO₂Et. II was prepd. by treating 2-aminonicotinaldehyde with CH₂(CN)₂ hydrolysis of the nitrile, and esterification.

IT 75388-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 75388-95-3 CAPLUS

CN 3-Anthyridinecarboxylic acid, 1,2-dihydro-4-hydroxy-2-oxo-, ethyl ester
(9CI) (CA INDEX NAME)



L12 ANSWER 126 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:568191 CAPLUS

DOCUMENT NUMBER: 93:168191

TITLE: Synthesis of antimicrobial agents. V. Synthesis and antimicrobial activities of some heterocyclic condensed 1,8-naphthyridine derivatives

AUTHOR(S): Suzuki, Norio

CORPORATE SOURCE: Res. Inst., Daiichi Seiyaku Co., Ltd., Tokyo, 132, Japan

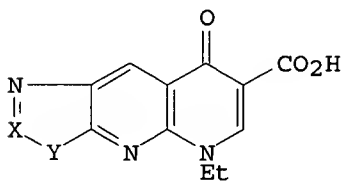
SOURCE: Chemical & Pharmaceutical Bulletin (1980), 28(3), 761-8

CODEN: CPBTAL; ISSN: 0009-2363

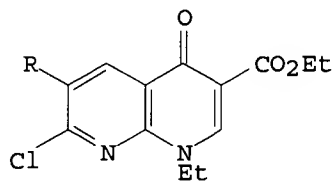
DOCUMENT TYPE: Journal

LANGUAGE: English

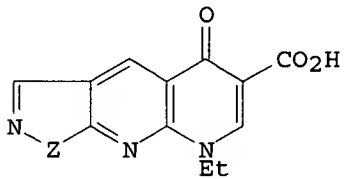
GI



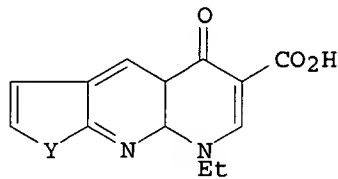
I



II



III



IV

AB I (X = NMe, Y = O; X = N, Y = S) were prepd. by hydrolysis of II (R = NH₂) with Na₂H, followed by cyclization with AC₂O or NaNO₂. III (Z = NMe, S) were prepd. by ring cyclization of II (R = CHO) with MeNHNH₂ or EtOH-NH₃ in the presence of S, followed by hydrolysis. Thieno- and

furo[2,3-b][1,8]naphthyridines IV were prepd. through a series of reaction steps, e.g., diazotization, redn. of II (R = CN), ring cyclization by means of Et mercaptoacetate or Et bromomalonate, hydrolysis and decarboxylation. The compds. obtained were tested for antimicrobial activities in vitro. IV (Y = S) exhibited the highest activities among these compds. against many gram-neg. bacteria, including *Ps. aeruginosa*, and against gram-pos. bacteria.

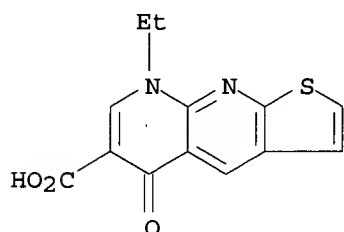
IT 75064-86-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antimicrobial activity of)

RN 75064-86-7 CAPLUS

CN Thieno[2,3-b][1,8]naphthyridine-6-carboxylic acid, 8-ethyl-5,8-dihydro-5-oxo- (9CI) (CA INDEX NAME)



L12 ANSWER 127 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:111035 CAPLUS

DOCUMENT NUMBER: 92:111035

TITLE: Aromatic .alpha.-halo[b]fused pyridines

INVENTOR(S): Meth-Cohn, Otto; Narine, Brahma

PATENT ASSIGNEE(S): Croda Synthetic Chemicals Ltd., UK

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

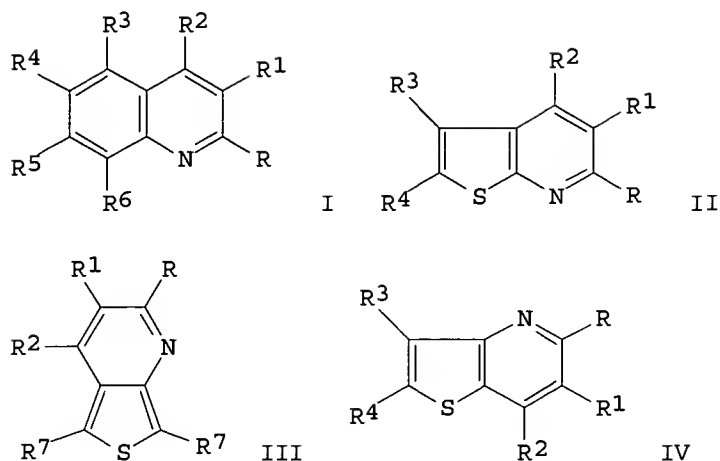
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 7900540	A1	19790809	WO 1979-GB17	19790124
W: DK, JP, SU, US				
EP 3645	A1	19790822	EP 1979-300117	19790124
EP 3645	B1	19820505		
R: BE, CH, DE, FR, GB, IT, NL, SE				
JP 55500047	T2	19800131	JP 1979-500317	19790124
JP 63050351	B4	19881007		
ES 477191	A1	19790916	ES 1979-477191	19790126
US 4375544	A	19830301	US 1979-217325	19790608
PRIORITY APPLN. INFO.:				
			GB 1978-3540	19780128
			GB 1978-20242	19780517
			WO 1979-GB17	19790124

GI

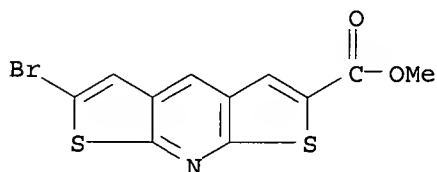


AB Fused pyridines I-IV (R = halo, R1 = H, alkyl, aryl, formyl; R2 = H, alkyl, aryl; R3-R7 = H, alkyl, alkoxy, alkylamino, dialkylamino, alkylthio, aryl, alkylaryl) were prep'd. Thus, refluxing 2-acetamido-5-bromothiophene in DMF-POCl₃ 3 h at 138.degree. gave 66% thieno[2,3-b]pyridine II (R = Br, R1-R3 = H, R4 = Cl), whereas refluxing in excess DMF-POCl₃ gave 66% II (R1 = R3 = H, R2 = CHO).

IT **68236-37-3P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 68236-37-3 CAPLUS

CN Dithieno[2,3-b:3',2'-e]pyridine-2-carboxylic acid, 6-bromo-, methyl ester
 (9CI) (CA INDEX NAME)



L12 ANSWER 128 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:110367 CAPLUS

DOCUMENT NUMBER: 92:110367

TITLE: Acetals of lactams and acid amides. 30. Ionization constants of 1,8-naphthyridine derivatives

AUTHOR(S): Granik, V. G.; Persianova, I. V.; Sochneva, E. O.; Anisimova, O. S.; Sheinker, Yu. N.

CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR

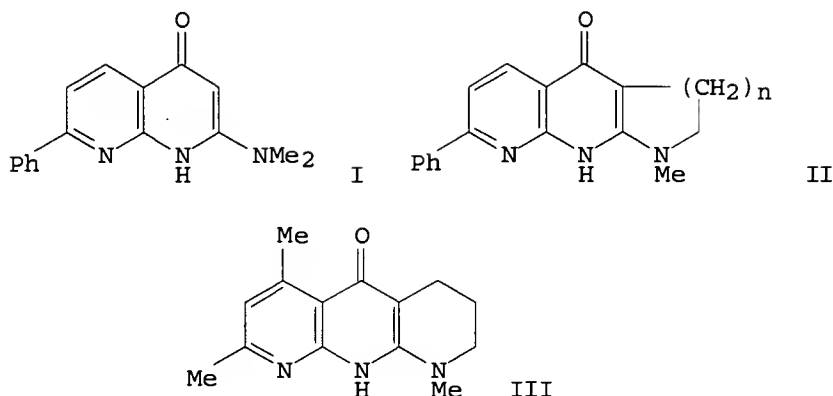
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1979), (9), 1255-7

CODEN: KGSSAQ; ISSN: 0453-8234

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI

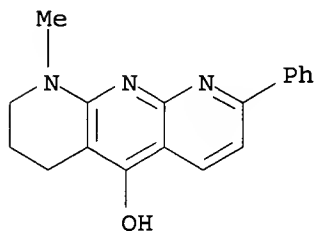


AB The pK values for protonation of I, II (n = 1, 2, 3), and III in 50% aq. EtOH were 3.85, 4.0, 3.86, 3.56, and 4.61, resp. The pK values for ionization of these compds. in 70% aq. DMF were 11.01, 10.42, 11.56, 11.56, and 12.86, resp. II (n = 2) was protonated on the O atom.

IT **72961-82-1P**
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (prepn. and spectra of)

RN 72961-82-1 CAPLUS

CN 5-Anthryridinol, 1,2,3,4-tetrahydro-1-methyl-8-phenyl-, conjugate monoacid (9CI) (CA INDEX NAME)



● H⁺

L12 ANSWER 129 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:575229 CAPLUS

DOCUMENT NUMBER: 91:175229

TITLE: Chemistry of 1,5,9-, 1,8,9- and 1,8,10-triazaanthracenes

AUTHOR(S): Czuba, Wladyslaw; Bajgrowicz, Jerzy A.

CORPORATE SOURCE: Inst. Chem. Org. Fiz., Politech. Wroclawskiej, Wroclaw, Pol.

SOURCE: Wiadomosci Chemiczne (1979), 33(2), 87-99
 CODEN: WICHAP; ISSN: 0043-5104

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Polish

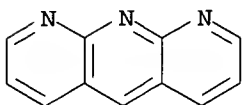
AB A review with 33 refs.

IT **261-15-4**
 RL: MSC (Miscellaneous) (chem. of)

RN 261-15-4 CAPLUS

09/ 995,324

CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 130 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:123073 CAPLUS

DOCUMENT NUMBER: 90:123073

TITLE: Substituted-6,7,8,9-tetrahydropyrido- and 2H-pyrano
[2,3-b][1,8]naphthyridines as stable, efficient laser
dyes

INVENTOR(S): Hammond, Peter R.; Henry, Ronald A.; Trias, John A.;
Schimitschek, Erhard J.

PATENT ASSIGNEE(S): United States Dept. of the Navy, USA

SOURCE: U. S. Pat. Appl., 23 pp. Avail. NTIS.

CODEN: XAXXAV

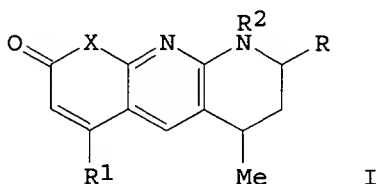
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 888125	A0	19780804	US 1978-888125	19780320
US 4202981	A	19800513	US 1978-888125	19780320
PRIORITY APPLN. INFO.: GI			US 1978-888125	19780320



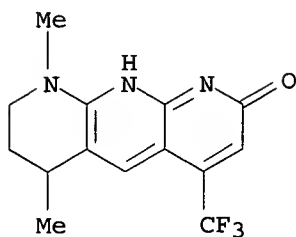
AB Stable, efficient laser dyes (I; R = H, Me, Ph; R1 = MeO, CF3, Me, H; R2 = H, Me, CH2CO2Et; X = NH, O) were prep'd. which emit in the blue-green region. Thus, 7-hydroxy-1,4-dimethyl-1,2,3,4-tetrahydro-1,8-naphthyridine [58301-18-1] (prepn. given) was condensed with Et trifluoroacetoacetate [372-31-6] to give I (R = H, R1 = CF3, R2 = Me, X = O) [58721-77-0], fluorescence max. 482 nm, excited 400 nm.

IT 65541-89-1P

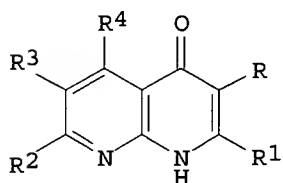
RL: PREP (Preparation)
(laser dye, manuf. of)

RN 65541-89-1 CAPLUS

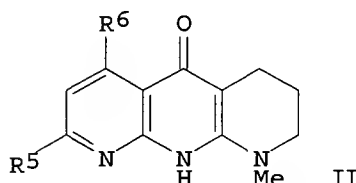
CN 2(1H)-Anthyridinone, 6,7,8,9-tetrahydro-6,9-dimethyl-4-(trifluoromethyl)-
(9CI) (CA INDEX NAME)



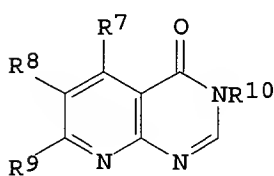
L12 ANSWER 131 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1979:121530 CAPLUS
 DOCUMENT NUMBER: 90:121530
 TITLE: Acetals of lactams and acid amides. XXIX. Synthesis of 1,8-naphthyridine and pyrido[2,3-d]pyrimidine
 AUTHOR(S): Sochneva, E. O.; Solov'eva, N. P.; Granik, V. G.
 CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
 SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1978), (12), 1671-6
 CODEN: KGSSAQ; ISSN: 0453-8234
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian
 GI



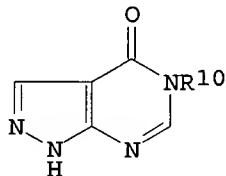
I



II



III



IV

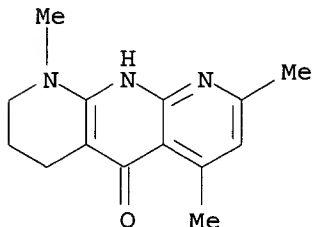
AB 1,8-Naphthyridine I (R = CO₂Et, R₁ = H, R₂ = MeS, R₃ = Bz, R₄ = Me₂N) was obtained in 81% yield by condensation of 2-aminopyridine with EtOCH:C(CO₂Et)₂ followed by heating 30 min at 280.degree.. I (R = R₃ = R₄ = H, R₁ = Me₂N, R₂ = Ph) was prepd. in 52% yield by cyclocondensation of an aminopyridine with Me₂NC(OEt)₂Me. Pyridonaphthyridines II (R₅ = Ph, R₆ = H; R₅ = R₆ = Me) were obtained by condensation of an aminopyridine with 2,2-diethoxy-1-methylpiperidine. Pyrrolonaphthyridines were obtained when the condensation was carried out with 2,2-diethoxy-1-methylpyrrolidine. Pyridopyrimidines III (R₇ = PhCH₂NH, Me, H; R₈ = Bz, H, Me; R₉ = SMe, Me, Ph; R₁₀ = PhCH₂, PhCH₂CH₂) were prepd. in 35-88% yields by cyclocondensation of Et [[(dimethylamino)methylene]amino]nicotines with R₁₀NH₂. Addnl. obtained were 51-61% pyrazolopyrimidines IV (R₁₀ = PhCH₂CH₂, PhCH₂, PhCH₂CHMe) from Et 2-[[[(dimethylamino)methylene]amino]pyrazole-3-carboxylate and R₁₀NH₂.

IT 69398-22-7P

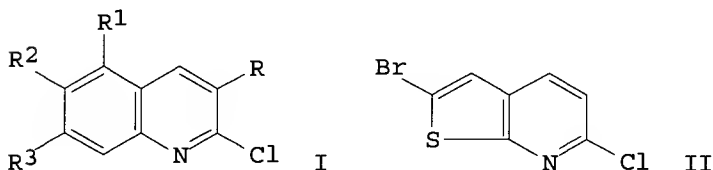
RL: SPN (Synthetic preparation); PREP (Preparation)

09/ 995,324

(prepn. of)
RN 69398-22-7 CAPLUS
CN 5(1H)-Anthyridinone, 2,3,4,9-tetrahydro-1,6,8-trimethyl- (9CI) (CA INDEX NAME)

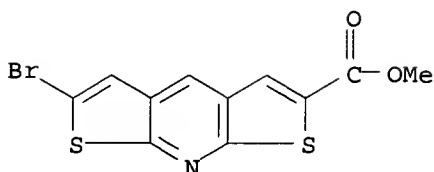


L12 ANSWER 132 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:597302 CAPLUS
DOCUMENT NUMBER: 89:197302
TITLE: A versatile new synthesis of quinolines,
thienopyridines and related fused pyridines
AUTHOR(S): Meth-Cohn, O.; Narine, Bramha
CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, UK
SOURCE: Tetrahedron Letters (1978), (23), 2045-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

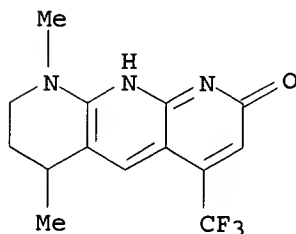


AB Quinolines I [(R = H) (R1 = R2 = H, R3 = OMe, Me; R1 = H, OMe, R2 = R3 = OMe)] were prepd. (59-73%) by Vilsmeier formylation of 3,4,5-R1R2R3C6H2NHAc with POCl3/DMF (3:1), whereas the corresponding formylquinolines I (R = CHO) were obtained (64-92%) using POCl3/DMF (7:3). Thienopyridines and their formyl derivs. were similarly prepd. in good yield by Vilsmeier formylation of acetamidothiophenes. E.g., thienopyridine II was obtained (66%) by treatment of 2-acetamido-5-bromothiophene with POCl3/DMF (3:1).

IT **68236-37-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68236-37-3 CAPLUS
CN Dithieno[2,3-b:3',2'-e]pyridine-2-carboxylic acid, 6-bromo-, methyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 133 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1978:51932 CAPLUS
 DOCUMENT NUMBER: 88:51932
 TITLE: Some derivatives of 1,8-naphthyridine,
 1,2-dihydropyrido[2,3-b][1,8]naphthyridine and
 2H-pyrano[2,3-b][1,8]naphthyridine
 AUTHOR(S): Henry, Ronald A.; Hammond, Peter R.
 CORPORATE SOURCE: Chem. Div., Nav. Weapons Cent., China Lake, CA, USA
 SOURCE: Journal of Heterocyclic Chemistry (1977), 14(6),
 1109-14
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB 7-Amino- and 7-hydroxy-1,4-dimethyl-1,2,3,4-tetrahydro-1,8-naphthyridines,
 as well as the homologous 1,2,4-tri-Me derivs., were synthesized.
 Condensation of these compds. with .beta.-keto esters gave substituted
 tetrahydro-1,2-dihydropyrido- or 2H-pyran-[2,3-b]-[1,8]naphthyridines,
 which are stable fluorescers (range 393-482 nm in EtOH) and laser dyes.
 IT 65541-89-1P
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and fluorescence of)
 RN 65541-89-1 CAPLUS
 CN 2(1H)-Anthyridinone, 6,7,8,9-tetrahydro-6,9-dimethyl-4-(trifluoromethyl)-
 (9CI) (CA INDEX NAME)



L12 ANSWER 134 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1978:50679 CAPLUS
 DOCUMENT NUMBER: 88:50679
 TITLE: Studies in the heterocyclic series. XII. The
 chemistry and applications of aza and thia analogs of
 phenoxazine and related compounds
 AUTHOR(S): Okafor, Charles O.
 CORPORATE SOURCE: Dep. Chem., Univ. Nigeria, Nsukka, Nigeria
 SOURCE: Heterocycles (1977), 7(1), 391-427
 CODEN: HTCYAM; ISSN: 0385-5414
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 AB Review with 106 refs. The chem. and uses of phenoxazines,
 pyrazinobenzoxazines, dipyridooxazines, pyrrolobenzoxazines,
 furobenzoxazines, dibenzoxazepines, pyrimidobenzoxazepines,
 pyridobenzoxazepines, thienobenzoxazepines, and dibenzoxazocines are

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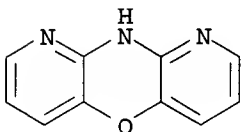
discussed.

IT 55609-26-2D, derivs.

RL: RCT (Reactant); RACT (Reactant or reagent)
(chem. and uses of)

RN 55609-26-2 CAPLUS

CN 1H-Dipyrido[3,2-b:2',3'-e][1,4]oxazine (9CI) (CA INDEX NAME)



L12 ANSWER 135 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:584397 CAPLUS

DOCUMENT NUMBER: 87:184397

TITLE: 1,9,10-Anthyridines

AUTHOR(S): Caluwe, Paul; Majewicz, Thomas G.

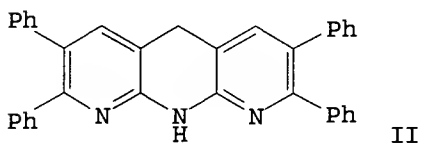
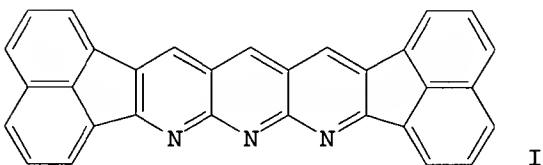
CORPORATE SOURCE: Coll. Environ. Sci. For., State Univ. New York,
Syracuse, NY, USA

SOURCE: Journal of Organic Chemistry (1977), 42(21), 3410-13
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB Friedlaender condensation of 2,6-diaminopyridine-3,5-dicarboxaldehyde with acenaphthenone gave diacenaphtho[1,2-b:1',2'-i]1,9,10-anthyridine (I) in 65% yield. Condensations with deoxybenzoin, .alpha.-tetraline, and acetophenone gave the 5,10-dihydro-1,9,10-anthyridine moiety, e.g. II, rather than the fully arom. nucleus. Base-catalyzed hydride transfer from the solvent to the anthyridine initially formed resulted in the overall redn. of this heterocyclic system. Oxidn. of the dihydroanthyridines with PhNO₂ or HNO₃ gave the fully arom. anthyridines in moderate yield. Treating 2,8-diphenyl-5,10-dihydro-1,9,10-anthyridine with hot HNO₃ gave mainly 2,8-diphenyl-5(10H)-1,9,10-anthyridone. Friedlaender condensation of 2-amino-5,6-diphenylpyridine-3-carboxaldehyde and deoxybenzoin gave 2,3,6,7-tetraphenyl-1,8-naphthyridine in excellent yield.

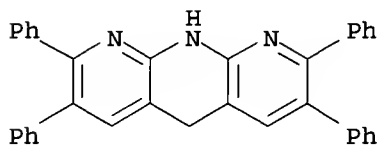
IT 63196-32-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(prepn. and oxidn. of)

09/ 995,324

RN 63196-32-7 CAPLUS

CN Anthyridine, 1,5-dihydro-2,3,7,8-tetraphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 136 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:502252 CAPLUS

DOCUMENT NUMBER: 87:102252

TITLE: Studies in the heterocyclic series. XIII. New CNS-depressants derived from 1,9-diazaphenoxazine and two isomeric triazaphenothiazine ring systems
AUTHOR(S): Okafor, Charles O.; Steenberg, Marie L.; Buckley, Joseph P.

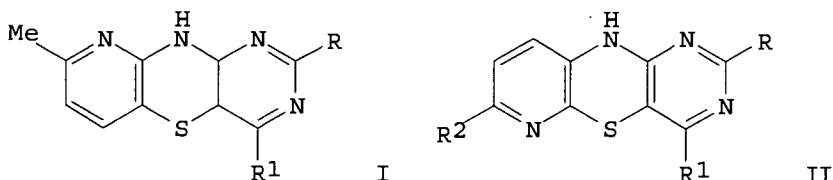
CORPORATE SOURCE: Dep. Chem., Harvard Univ., Cambridge, MA, USA
SOURCE: European Journal of Medicinal Chemistry (1977), 12(3), 249-56

CODEN: EJMCA5; ISSN: 0223-5234

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



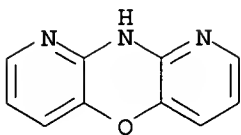
AB Triazaphenothiazines I (R = NH₂, H, SMe, OMe; R₁ = NH₂, Me, Cl, OH, OMe) and II (R = H, NH₂, Cl; R₁ = NH₂, OH, Cl; R₂ = MeO, Cl) were prepd. in 78-93% yield. Reaction of 2-amino-3-mercapto-6-picoline with 2-amino-5-bromo-4-chloro-6-methylpyrimidine in the presence of H₂SO₄ and Na₂SO₃ gave 77% I (R = NH₂, R₁ = Me). All I and II showed appreciable CNS depressant activities comparable with the activity of chlorpromazine when tested in mice and rats; I (R = H, R₁ = NH₂) and II (R = R₁ = Cl, R₂ = MeO) were the most promising. All I and II decreased motor activity and rate of respiration within 30 min and body temp. was decreased by 0.5-1.9.degree. compared to 0.8.degree. with chlorpromazine.

IT 55609-26-2

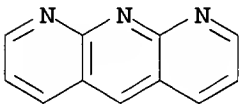
RL: RCT (Reactant); RACT (Reactant or reagent)
(CNS activity of)

RN 55609-26-2 CAPLUS

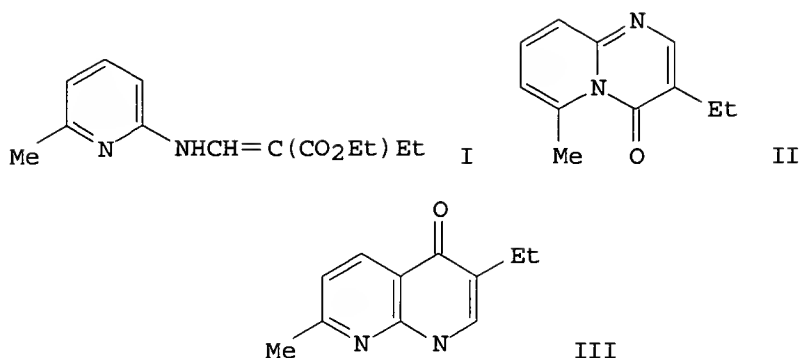
CN 1H-Dipyrido[3,2-b:2',3'-e][1,4]oxazine (9CI) (CA INDEX NAME)



L12 ANSWER 137 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1977:485309 CAPLUS
 DOCUMENT NUMBER: 87:85309
 TITLE: Synthesis of 1,9,10-anthyridines and annelation of
 1,8-naphthyridine units via Friedlander condensation
 AUTHOR(S): Majewicz, Thomas G.
 CORPORATE SOURCE: Coll. Environ. Sci. For., State Univ. New York,
 Albany, NY, USA
 SOURCE: (1976) 141 pp. Avail.: Univ. Microfilms Int., Order
 No. 77-14,556
 From: Diss. Abstr. Int. B 1977, 38(1), 216-17
 DOCUMENT TYPE: Dissertation
 LANGUAGE: English
 AB Unavailable
 IT **261-15-4DP**, fused polycyclic derivs.
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of, by Friedlaender condensation)
 RN 261-15-4 CAPLUS
 CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 138 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1977:484926 CAPLUS
 DOCUMENT NUMBER: 87:84926
 TITLE: Nitrogen bridgehead compounds. Part 4. 1.fwdarw.3
 Nitrogen.fwdarw.carbon-acyl migration. Part 2
 AUTHOR(S): Hermecz, Istvan; Meszaros, Zoltan; Vasvari-Debreczy,
 Lelle; Horvath, Agnes; Horvath, Gabor;
 Pongor-Csakvari, Mariann
 CORPORATE SOURCE: Res. Cent., Chinion Pharm. Chem. Works, Budapest,
 Hung.
 SOURCE: Journal of the Chemical Society, Perkin Transactions
 1: Organic and Bio-Organic Chemistry (1972-1999)
 (1977), (7), 789-95
 CODEN: JCPRB4; ISSN: 0300-922X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



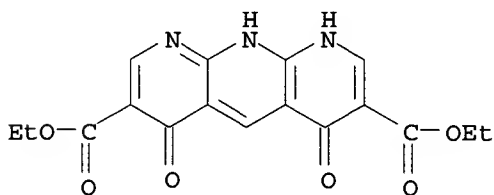
AB Ring closure of 2-substituted 3-(2-pyridylamino)acrylates in POCl₃-polyphosphoric acid gave pyrido[1,2-a]pyrimidines and in Dowtherm A gave pyrido[1,2-a]pyrimidines and 1,8-naphthyridines. E.g., I with POCl₃-polyphosphoric acid at 130.degree. gave 95% II and with Dowtherm A at 25% gave 62% II and 11% III. The pyridopyrimidines rearranged in Dowtherm A or liq. paraffin to give 1,8-naphthyridines. E.g., II in liq. paraffin at 325.degree. for 30 min gave 70% III. Similar 1.fwdarw.3, N.fwdarw.C-acyl migrations occurred in pyrimido[1,2-a]naphthyridines dipyrdo[2-a; 2',3'-d]pyrimidines, pyrimido[1,2-a]pyrazines, -[1,6-a]pyrimidines, and -[1,2b]-pyridazines.

IT **63736-14-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 63736-14-1 CAPLUS

CN 3,7-Anthyridinedicarboxylic acid, 1,4,6,9-tetrahydro-4,6-dioxo-, diethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 139 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:577289 CAPLUS

DOCUMENT NUMBER: 85:177289

TITLE: Synthesis and pharmacological activity of some 3-amino-11H-indolo[3,2-c][1,8]naphthyridines

AUTHOR(S): Da Settimo, A.; Primofiore, G.; Biagi, G.; Santerini, V.

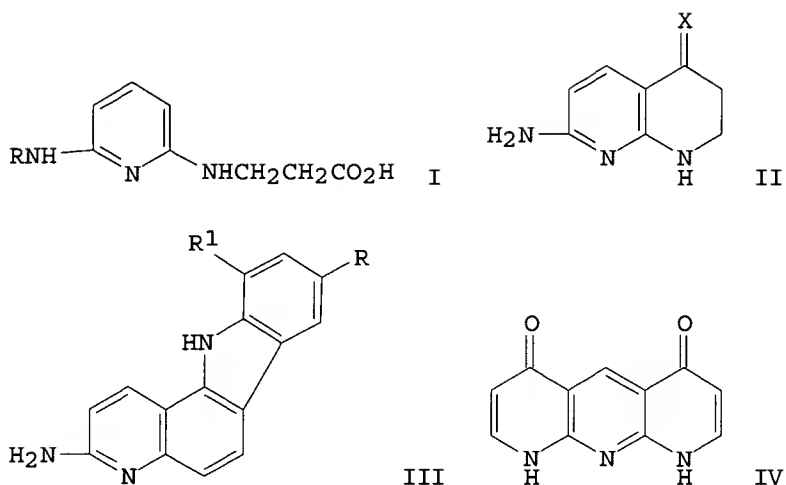
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy

SOURCE: Farmaco, Edizione Scientifica (1976), 31(8), 587-95
CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



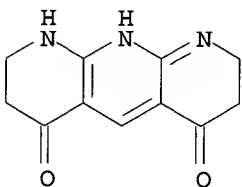
AB The pyridines I (R = H, Ac) were cyclized and the naphthyridine II (X = O) treated with 4,2-RR1C6H3NHNH2 (R = H, F, Cl, Br, Me, R1 = H; R = H, R1 = Cl, Me, MeO) to give II (X = 4,2-RR1C6H3NHN), which were cyclized with HCl to give the indolonaphthyridines III. III were also prepd. directly by treating II (X = O) with 4,2-RR1C6H3NHNH2 and HCl. 2,6-Diaminopyridine was treated with .beta.-propiolactone to give I (R = HO2CCH2CH2) which was cyclized and the product dehydrogenated to give anthyridinedione IV. At 50 mg/kg III (R = F, R1 = H) reduced delayed hypersensitivity, but was toxic.

IT **60943-66-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and dehydrogenation of)

RN 60943-66-0 CAPLUS

CN 4,6(1H,7H)-Anthyridinedione, 2,3,8,9-tetrahydro- (9CI) (CA INDEX NAME)



L12 ANSWER 140 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1975:140039 CAPLUS

DOCUMENT NUMBER: 82:140039

TITLE: Synthesis of dipyrdo[3,2-b:2',3'-e][1,4]oxazine (1,9-diazaphenoxazine)

AUTHOR(S): Okafor, Charles O.

CORPORATE SOURCE: Dep. Chem., Univ. Nigeria, Nsukka, Nigeria

SOURCE: Journal of the Chemical Society, Chemical Communications (1974), (21), 878-9

CODEN: JCCCAT; ISSN: 0022-4936

DOCUMENT TYPE: Journal

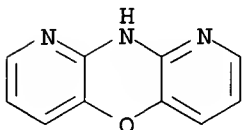
LANGUAGE: English

GI For diagram(s), see printed CA Issue.

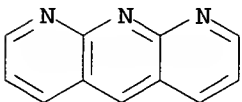
AB The title compd. (I) was prepd by refluxing 2-aminopyridin-3-ol with 2-chloro-3-nitropyridine for 12 hr and cyclization of the product with

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NaOH in refluxing Me₂SO for 9 hr.
IT **55609-26-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55609-26-2 CAPLUS
CN 1H-Dipyrido[3,2-b:2',3'-e][1,4]oxazine (9CI) (CA INDEX NAME)



L12 ANSWER 141 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:57580 CAPLUS
DOCUMENT NUMBER: 82:57580
TITLE: Syntheses and reactions of naphtyridine and its derivatives
AUTHOR(S): Hamada, Yoshiki; Takeuchi, Isao
CORPORATE SOURCE: Fac. Pharm., Meijo Univ., Nagoya, Japan
SOURCE: Yuki Gosei Kagaku Kyokaishi (1974), 32(8), 602-19
CODEN: YGKKAE; ISSN: 0037-9980
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review with 158 refs.
IT **261-15-4**
RL: RCT (Reactant); RACT (Reactant or reagent))
RN 261-15-4 CAPLUS
CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 142 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:4147 CAPLUS
DOCUMENT NUMBER: 82:4147
TITLE: Application of the Bischler reaction to the preparation of pyrrolopyridines and the novel dipyrrolopyridine system
AUTHOR(S): Bancroft, Keith C. C.; Ward, Terence J.; Brown, Kevan
CORPORATE SOURCE: Sch. Chem., City Leicester Polytech., Leicester, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (15), 1852-8
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The Bischler reaction of .alpha.-hydroxy ketones and 2,6-diaminopyridine gave 6-amino-1H-pyrrolo[2,3-b]pyridines and the 1,7-dihydrodipyrrolo[2,3-b:3',2'-e]pyridine system with various alkyl and aryl substituents. 2,6-Diphenyl-1,7-dihydrodipyrrolo[2,3-b:3',2'-e]-pyridine (I) underwent 3,5-disubstitution by electrophiles.
IT **55463-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

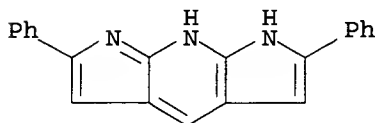
09/ 995,324

(Reactant or reagent)

(prepn. and Mannich reaction of)

RN 55463-72-4 CAPLUS

CN Dipyrrolo[2,3-b:3',2'-e]pyridine, 1,7-dihydro-2,6-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 143 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1974:449600 CAPLUS

DOCUMENT NUMBER: 81:49600

TITLE: Anthyridine and 1,10,11,12-tetraazanaphthacene derivatives. Synthesis and biological properties
AUTHOR(S): Carboni, S.; Da Settimo, A.; Bertini, D.; Ferrarini, P. L.; Livi, O.; Tonetti, I.

CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
SOURCE: Farmaco, Edizione Scientifica (1974), 29(5), 366-74
CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

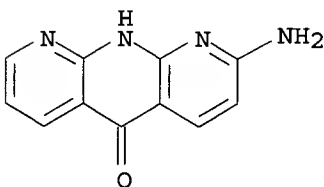
AB anthyridines I and tetraaza-naphthacenes II (R = Me, Et, CHMe2, Bu, allyl, CH2Ph; R1 = CO2H, H) were prepd. by alkylating I and II (R = H). II (R H) were prepd. by treating 2-aminoanthyridin-5(10H)-one with EtOCH:C(CO2Et)2, cyclizing to II (R = H, R1 = CO2Et), hydrolyzing the ester group to give II (R = H, R1 = CO2H), and decarboxylating to II (R = R1 = H). I (R = Me, R1 = CO2H) had a min. inhibitory concn. against Streptococcus pyogenes hemolyticus of 50 .gamma./ml and II (R = Et, R1 = CO2H) had a min. inhibitory concn. against Bacillus subtilis of 100 .gamma./ml; all other I and II were inactive.

IT 23450-74-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(malonic ester reaction of)

RN 23450-74-0 CAPLUS

CN 5(10H)-Anthyridinone, 2-amino- (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 144 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1973:136134 CAPLUS

DOCUMENT NUMBER: 78:136134

TITLE: Preparation and biological activity of some anthyridine derivatives

AUTHOR(S): Carboni, S.; Da Settimo, A.; Bertini, D.; Ferrarini, P. L.; Livi, O.; Tonetti, I.

CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
SOURCE: Farmaco, Edizione Scientifica (1973), 28(2), 134-42

CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal

LANGUAGE: English

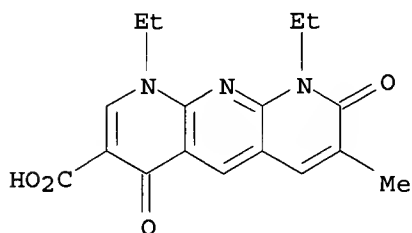
AB Anthyridinediones I (R = CO₂Et; R₁ = H; R₂ = H, Me; R₃ = H, Me, Ph) reacted with appropriate alkyl halides in aq. KOH to give I (R = CO₂H; R₁ = Me, Et, CH₂:CHCH₂, PhCH₂) (16 compds.), which were decarboxylated in refluxing quinoline contg. copper chromite to yield the ocrresponding I (R = H). I (R = CO₂H; R₁ = R₂ = Me, R₃ = H; R₁ = Et, R₂ = R₃ = H; R₁ = PhCH₂, R₂ = H, R₃ = Me) were active against Mycobacterium tuberculosis, Bacillus subtilis, and Mycoplasma gallisepticum, resp; I (R = CO₂H; R₁ = Et, R₂ = Me, R₃ = H; R₁ = CH₂:CHCH₂, R₂ = R₃ = H) possessed antiinflammatory activity in vivo in rats.

IT **40343-80-4P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiinflammatory of)

RN 40343-80-4 CAPLUS

CN 3-Anthyridinecarboxylic acid, 1,9-diethyl-1,4,8,9-tetrahydro-7-methyl-4,8-dioxo- (9CI) (CA INDEX NAME)



L12 ANSWER 145 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1972:526466 CAPLUS

DOCUMENT NUMBER: 77:126466

TITLE: Preparation of 3-aminoanthyridine

AUTHOR(S): Carboni, S.; Da Settimo, A.; Bertini, D.; Ferrarini, P. L.; Livi, O.; Tonetti, I.

CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Pisa, Pisa, Italy

SOURCE: Journal of Heterocyclic Chemistry (1972), 9(4), 801-4
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

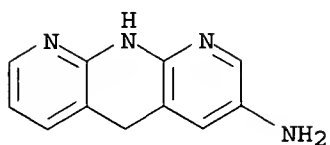
AB Nitration of anthyridine-2,6-dione (I, X = O, R = H) gave 7-nitroanthyridine-2,6-dione (II, R = NO₂) which was treated with P₂S₅ to give I (X = S, R = NH₂). Desulfurization of I (X = S, R = NH₂) with Raney Nickel and subsequent aromatization of 5,10-dihydro-II gave 3-aminoanthyridine (II). The structure of II was demonstrated since its physicochemical features are not in agreement with that previously reported (T. Takahashi, et al., 1947). The prepn. of 3-aminoanthyridine-5-one is also described.

IT **37063-87-9**

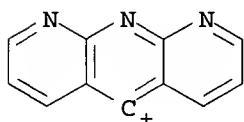
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydrogenation of)

RN 37063-87-9 CAPLUS

CN 3-Anthyridinamine, 1,5-dihydro- (9CI) (CA INDEX NAME)



L12 ANSWER 146 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1972:85217 CAPLUS
 DOCUMENT NUMBER: 76:85217
 TITLE: Stabilization of the phenyl cation
 AUTHOR(S): Gleiter, Rolf; Hoffmann, Roald; Stohrer, Wolf D.
 CORPORATE SOURCE: Phys.-Chem. Inst., Univ. Basel, Basel, Switz.
 SOURCE: Chemische Berichte (1972), 105(1), 8-23
 CODEN: CHBEAM; ISSN: 0009-2940
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 AB The stabilization of phenyl and similar bridgehead cations by "through bond" interactions is examd. by extended Hueckel calcns. Stabilization energies are calcd. for 35 cations, e.g., substituted phenyl, pyridyl, diazinyl, anthyridinyl, 1-aza-4-norbornyl, 1-aza-3-adamantyl, 1-azabicyclo[2.2.2]oct-4-yl, and 1-azabicyclo[2.2.2]octa-2,5,7-trien-4-yl cations.
 IT **35895-98-8**
 RL: PRP (Properties)
 (stabilization energy of)
 RN 35895-98-8 CAPLUS
 CN 5-Anthyridinium (9CI) (CA INDEX NAME)

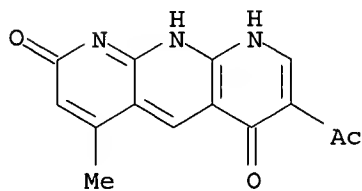


L12 ANSWER 147 OF 156 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1971:529775 CAPLUS
 DOCUMENT NUMBER: 75:129775
 TITLE: Naphthyridines. IV. Preparation of anthyridines and pyrimido[4,5-b][1,8]naphthyridines from 2-amino-1,8-naphthyridines
 AUTHOR(S): Wibberley, D. G.; Harper, J. F.
 CORPORATE SOURCE: Dep. Pharm., Univ. Aston, Birmingham, UK
 SOURCE: Journal of the Chemical Society [Section] C: Organic (1971), (18), 2991-4
 CODEN: JSOOAX; ISSN: 0022-4952
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB Anthyridines were prepd. by thermal cyclization of 2-[[[(ethoxycarbonyl)vinyl]amino]-1,8-naphthyridines, and by reaction of 2,6-diamino-4-ethoxypyridine with EtOCH:C(CO2Et)2; prepn. from 2-amino-1,8-naphthyridine-3-carbonitrile was unsuccessful. 2-Acetamido-1,8-naphthyridine-3-carboxamides cyclized in aq. NH3 to pyrimido[4,5-b][1,8]naphthyridin-4(3H)-ones (e.g.I).
 IT **33853-66-6P**
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

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RN 33853-66-6 CAPLUS

CN 2,6(1H,9H)-Anthyridinedione, 7-acetyl-4-methyl- (8CI) (CA INDEX NAME)



L12 ANSWER 148 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1971:510203 CAPLUS

DOCUMENT NUMBER: 75:110203

TITLE: Synthesis of anthyridine

AUTHOR(S): Carboni, S.; Da Settimo, A.; Bertini, D.; Mori, C.; Tonetti, I.

CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Pisa, Pisa, Italy

SOURCE: Journal of Heterocyclic Chemistry (1971), 8(4), 637-42
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

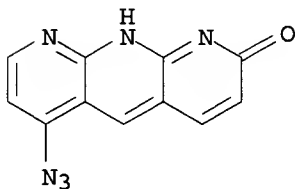
AB The sulfuration of various anthyridones to the corresponding thio derivs. and the desulfuration of these to 5,10-dihydroanthyridine is described. The prepn. of anthyridin-5-one from 6'-methyl-2,2'-dipyridylamino-3-carboxylic acid is also described.

IT **33548-15-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 33548-15-1 CAPLUS

CN 2(1H)-Anthyridinone, 6-azido- (8CI) (CA INDEX NAME)



L12 ANSWER 149 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1971:463657 CAPLUS

DOCUMENT NUMBER: 75:63657

TITLE: 1,8-Naphthyridines and 1,9,10-anthyridines

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Ferrarini, Pier L.; Tonetti, Imperio

CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Pisa, Pisa, Italy

SOURCE: Gazzetta Chimica Italiana (1971), 101(2), 129-38
CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

AB 2-Amino-5-hydroxy-1,8-naphthyridine (I) is converted to 4,6-dihydroxy-1,9,10-anthyridine (II) in a series of reactions. Thus, I is treated with EtOCH:C(CO₂Et)₂ to give III which is heated in Ph₂O to give IV. IV is hydrolyzed to V which is decarboxylated to II. I is prepd. from VI.

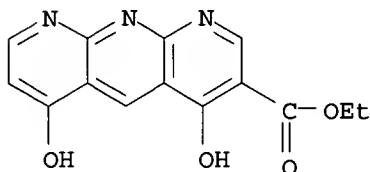
09/ 995,324

IT 33007-31-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 33007-31-7 CAPLUS

CN 3-Anthyridinecarboxylic acid, 4,6-dihydroxy-, ethyl ester (8CI) (CA INDEX NAME)



L12 ANSWER 150 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1970:477197 CAPLUS

DOCUMENT NUMBER: 73:77197

TITLE: Synthesis of 1,9,10-anthyridine

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Tonetti, Imperio

CORPORATE SOURCE: Inst. Pharm., Univ. Pisa, Pisa, Italy

SOURCE: Journal of Heterocyclic Chemistry (1970), 7(4), 875-8

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

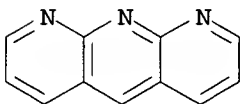
AB 1,9,10-Anthyridine was synthesized by oxidn. of 5,10-dihydro-1,9,10-anthyridine with chromic acid. The structures of these were detd. by uv and NMR anal.

IT 261-15-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 261-15-4 CAPLUS

CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 151 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1969:491348 CAPLUS

DOCUMENT NUMBER: 71:91348

TITLE: Synthesis of anthyridines. IV

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Bertini, Daniele; Biagi, Giuliana

CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Pisa, Pisa, Italy

SOURCE: Gazzetta Chimica Italiana (1969), 99(7), 677-89

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

AB The condensation of 7-amino-3-phenyl-2-hydroxy-1,8-naphthyridine (I) with Et ethoxymethyl-malonate (II) gave Et N-(6-phenyl-7-hydroxy-1,8-naphthyridin-2-yl)aminomethylenemalonate (III). Similar products were obtained when I was reacted with Et acetoactate (IV) or Et .beta.-oxoglutarate (V). IV was also reacted with other 1,8-naphthyridines. III and the related condensation products were

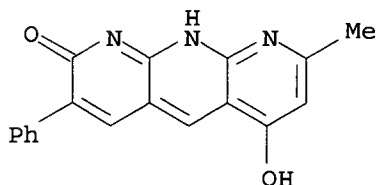
subjected to cyclization reactions. The resulting naphthyridino-pyrimidin-10-ones heated in an inert solvent were converted into 7-carbethoxy-2,6-dihydroxy-3-phenylanthryridine and subsequently into 2,6-dihydroxy-3-phenyl-8-methylanthryridine. A mixt. of 40 g. 2,6-diaminopyridine and 55 g. Et phenyl(formyl)-acetate was heated 2 hrs. at 100.degree. cooled, dild. with ice H₂O, treated with 100 ml. concd. H₂SO₄, added dropwise, heated 7 hrs. at 100.degree., and worked up to yield 53 g. I, m. >310.degree. [HCONMe₂(DMF)] (ir spectrum shown). A mixt. of 3 g. I, 25 ml. II, and 2 drops concd. HCl was refluxed 15 min. and cooled to ppt. 63% iIII, and m. 262-5% (DMF). Similarly prepd. from I and V (or other oxoglutarates (at 165.degree.)) were the following VI [R, R₁, m.p. (EtOH) and % yield given]: Ph, H, 197-200.degree., 55; H, H, 180-1.degree., 60; H, Ph, 90-2.degree., 47; H, Me, 203-5.degree., 43; and Me, H, 199-201.degree., 26. A mixt. of 2 g. I and 40 ml. IV was heated 5 hrs. at 165.degree. and worked up to yield 45% Et .beta.-(6-phenyl-7-hydroxy-1,8-naphthyridin-2-ylamino)crotonate, m. 244-6.degree. (DMF). VI (R = Ph, R₁, H) (0.2 g.) in 5 ml. Downtherm A was refluxed 2-3 min. cooled, and mixed with petroleum ether to ppt. 0.17 g. VII (R = Ph, R₁ = H), m. 198-201.degree. (EtOH). The following VII were similarly prepd. (R, R₁, m.p. and % yield given): H, H, 193-6.degree., 81; H, Ph, 158-60.degree., 77; H, Me, 180-2.degree., 80; and Me, H, 194-5.degree., 68. Similarly, III was converted into 10H-9-carbethoxy-3-phenyl-2-hydroxypyrimido[1,2-a]-1,8-naphthyridin-10-one, m. 235.degree. (toluene). VII (R = Ph, R₁ = H) was converted by heating as above into 10H-3-phenyl-2-hydroxy-8-methylpyrimidol[1,2-a]-1,8-naphthyridin-10-one, m. 225-8.degree. (toluene). VII (R = Ph, R₁ = H) heated in petrolatum 10 min. at 310-20.degree. gave 76% 2,6-dihydroxy-3-phenyl-8-methylanthryridine, m. >320.degree. (Me₂SO), (ir spectrum shown). III on heating in Downtherm A was converted into 76% 7-carbethoxy-2,6-dihydroxy-3-phenylanthryridine, m. >320.degree. (me₂SO). Hydrolysis of 0.6 g. of this in 10 ml. 10% NaOH and 10 ml. EtOH 1 hr. at 100.degree. yielded 7-carboxy-2,6-dihydroxy-3-phenylanthryridine, m. >320.degree. (Me₂SO-H₂O), decarboxylated on heating (dry) to yield 58% 2,6-dihydroxy-3-phenylanthryridine, m. >320.degree. (Me₂SO), (uv and ir spectra shown).

IT 23787-63-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 23787-63-5 CAPLUS

CN 2,6-Anthyridinediol, 8-methyl-3-phenyl- (8CI) (CA INDEX NAME)



L12 ANSWER 152 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1969:430447 CAPLUS

DOCUMENT NUMBER: 71:30447

TITLE: New synthesis of anthryridine derivatives

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Segnini, D.

CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Pisa, Pisa, Italy

SOURCE: Journal of Heterocyclic Chemistry (1969), 6(3), 369-74

CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB An Ullmann reaction between 2-bromonicotinic acid and 2,6-diaminopyridine

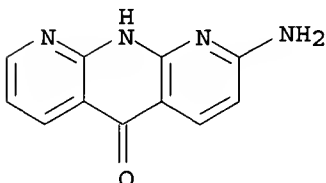
gave 6'-amino-2,2'-dipyridylamino-3-carboxylic acid, converted into 7-amino-5H-dipyrido[1,2-a:2',3'-d]pyrimidin-5-one (I) by heating with polyphosphoric acid and into 2-amino-5,10H-anthyridin-5-one (II) by heating with concd. H₂SO₄. Structure proofs of I and II are given and some derivs. of II are described.

IT **23450-74-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 23450-74-0 CAPLUS

CN 5(10H)-Anthyridinone, 2-amino- (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 153 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1968:95722 CAPLUS

DOCUMENT NUMBER: 68:95722

TITLE: Anthyridines. II

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Ferrarini, Pier L.; Tonetti, Imperio

CORPORATE SOURCE: Univ. Pisa, Pisa, Italy

SOURCE: Gazzetta Chimica Italiana (1967), 97(8), 1262-73

CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

AB Compds. of the general formula I, which are prepd., are refluxed with Dowtherm A to give compds. of the general formula II; mixts. of I and petrolatum are heated at 300-20.degree. to give compds. of the general formula III. Thus, a mixt. of 0.5 g. 2-amino-7-hydroxy-1,8-naphthyridine (IV), 12 ml. AcCH₂CO₂Et, and 1 drop concd. HCl is heated at 170.degree. to give 36% 2-(1-methyl-2-carbethoxy-ethylidene)-7-hydroxy-1,8-naphthyridine (V), m. 207-8.degree. (EtOH). Similarly prepd. are the following I (R, R₁, R₂, m.p., and % yield given): Me, H, H, 226-8.degree. (EtOH), 34; H, Ph, H, 227-9.degree. (C₆H₆), 54; H, H, Ph, 228-30.degree. (C₆H₆), 59; H, CO₂Et, H, 243-4.degree. (dioxane), 26. A mixt. of 0.1 g. V and 3 ml. Dowtherm A is refluxed 10 min. to give 84% 10H-2-hydroxy-8-methylpyrimido[1,2-a]-1,8-naphthyridin-10-one, m. 308-10.degree. (EtOH). Similarly prepd. are the following II (R, R₁, R₂, m.p., and % yield given): Me, H, H, 298-300.degree. (MeOH), 78; H, Ph, H, 236-8.degree. (EtOH), 69; H, H, Ph, 216-18.degree. (MeOH), 75; H, CO₂Et, H, 225-7.degree. (EtOH), 86. A mixt. of 0.1 g. V and 3 ml. petrolatum is heated 5 min. at 310-20.degree. to give 84% 4,8-dihydroxy-2-methylanththyridine (VI), m. >340.degree. (Me₂SO), which is prepd. in 83% yield from II (R = R₁ = R₂ = H). Similarly prepd. are the following III (R, R₁, R₂, m.p., % yield from I, and % yield from II given): Me, H, H, >340.degree. (Me₂SO), 95, 65; H, Ph, H, >340.degree. (Me₂SO), 52, 50; H, H, Ph, >340.degree. (HCONMe₂), 75, 67. A mixt. of 0.4 g. VI, 20 ml. HOAc, and 5 ml. 35% H₂O₂ is heated 1 hr. to give 0.13 g. 7-hydroxy-2-amino-1,8-naphthyridine-3-carboxylic acid N8-oxide (VII), m. >320.degree. (HCONMe₂). Similarly prepd. is 7-hydroxy-2-amino-4-phenyl-1,8-naphthyridine-3-carboxylic acid N8-oxide, m. >300.degree. (decompn.) (aq. HCONMe₂). Ir data for the N-oxides are given. A mixt. of 0.1 g. VII, 0.05 g. Cu chromite, and 3 ml. quinoline is refluxed 2 hrs. to give 0.04 g. IV.

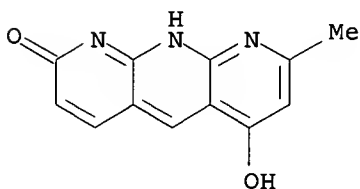
Similarly prepd. is 2-amino-4-phenyl-7-hydroxy-1,8-naphthyridine. Mixts. of 0.1 g. I and 5 ml. 10% NaOH are heated 15 min. to give 0.04-0.05 g. corresponding 2-amino-7-hydroxy-1,8-naphthyridines which are also obtained from the II and NaOH. A mixt. of 10 g. 2-hydroxy-4-phenyl-7-acetamido-1,8-naphthyridine and 100 ml. POCl₃ is refluxed 30 min. to give 10.2 g. 2-chloro-4-phenyl-7-acetamido-1,8-naphthyridine (VIII), m. 267-9.degree. (EtOH). A mixt. of 2.0 g. VIII and 30 ml. 10% H₂SO₄ is refluxed 1 hr. to give 1.7 g. 2-chloro-4-phenyl-7-amino-1,8-naphthyridine (IX), m. 263-5.degree. (dioxane). A soln. of 1.0 g. IX and 5 ml. concd. H₂SO₄ is cooled, treated with 0.4 g. NaNO₂, and kept 15 mins. in ice to give 0.75 g. 2-chloro-4-phenyl-7-hydroxy-1,8-naphthyridine (X), m. 245-7.degree.. X (2.0 g.) in 50 ml. EtOH is treated 80 hrs. at 120.degree. and 25-30 atm. with NH₃ to give 1.45 g. 2-amino-4-phenyl-7-hydroxy-1,8-naphthyridine, m. >330.degree. (HCONMe₂).

IT 17982-18-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17982-18-2 CAPLUS

CN 2,6-Anthyridinediol, 8-methyl- (8CI) (CA INDEX NAME)



L12 ANSWER 154 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1968:95721 CAPLUS

DOCUMENT NUMBER: 68:95721

TITLE: Anthyridines. III

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Ferrarini, Pier L.; Tonetti, Imperio; Bertini, Daniele

CORPORATE SOURCE: Univ. Pisa, Pisa, Italy

SOURCE: Gazzetta Chimica Italiana (1967), 97(8), 1274-85
CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

AB Mixts. of the compds. of the general formula I, which are prepd., and Dowtherm A are heated to give compds. of the general formula II (X = CO₂Et). Also prepd. are compds. of the general formulas II (X = CO₂H) and II (X = H) and III. A mixt. of 1.0 g. 2-amino-7-hydroxy-1,8-naphthyridine, 10 ml. EtOH:C(CO₂Et)₂, and 1 drop concd. HCl is refluxed about 13 min. to give 66% diethyl [N-(7-hydroxy-1,8-naphthyridin-2-yl)-amino]methylenemalonate (IV), m. 217-19.degree. (MeOH). Similarly prepd. are the following I (R, R₁, m.p., and % yield given): Me, H, 255-6.degree. (EtOH), 43; H, Me, 252-4.degree. (dioxane), 74; H, Ph, 203-5.degree. (EtOH), 75. A mixt. of 0.7 g. IV and 10 ml. Dowtherm A is refluxed 20 min. to give 63% Et 2,6-dihydroxy-anthyridine-7-carboxylate (V), m. >320.degree. (Me₂SO). Similarly prepd. are the following II (X = CO₂Et) (R, R₁, m.p., and % yield given): Me, H, >320.degree. (Me₂SO), 75; H, Me, >320.degree. (HCONMe₂), 62; H, Ph, >320.degree. (HCONMe₂), 75. A mixt. of I (R = Me, R₁ = H) and Dowtherm A is heated to give 0.78 g. II (X = CO₂Et, R = Me, R₁ = H) and 0.3 g. III [10H-9-carbethoxy-2-hydroxy-3-methylpyrimido[1,2-a]-1,8-naphthyridin-10-one], m. 262-4.degree. (EtOH). A mixt. of 0.35 g. V and 10 ml. 10% NaOH is refluxed 1 hr. to give 89% 2,6-dihydroxyanthyridine-7-carboxylic acid (VI), m. >320.degree. (decompn.) (Me₂SO). Similarly prepd. are the following II (X = CO₂H) (R,

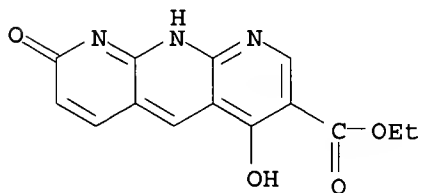
R1, m.p., and % yield given): Me, H, >320.degree. (decompn.) (Me2SO), 94; H, Me, >320.degree. (decompn.) (Me2SO), 92; H, Ph, >320.degree. (decompn.) (Me2SO), 92. VI (0.1 g.) is heated at 330-40.degree. and 2-3 mm. to give 72% 2,6-dihydroxyanthryridine, m. >320.degree. (sublimation). Similarly prepd. are the following: II (X = H) (R, R1, m.p., and % yield given): Me, H, >320.degree. (sublimation), 60; H, Me, >320.degree. (sublimation), 60; H, Ph, >320.degree. (sublimation), 63. A mixt. of 0.1 g. II (X = H, R = H, R1 = Me), 5 ml. HOAc, and 1.5 ml. 35% H2O2 is refluxed 1 hr. and filtered, the filtrate evapd., water added to the residue, and the mixt. extd. with 10% NaHCO3 to give 2-amino-7-hydroxy-5-methyl-1,8-naphthyridine-3-carboxylic acid N8-oxide. The I compds. and III are heated with 2N NaOH to give the corresponding 2-amino-7-hydroxy-1,8-naphthyridines which are also prepd. by the treatment of the II (X = H) with 2N NaOH. 2-Amino-5-methyl-7-hydroxy-1,8-naphthyridine (0.2 g.) is heated 1 hr. with 3 ml. HCO2H to give 0.006 g. 7-formamido-2-hydroxy-4-methyl-1,8-naphthyridine, m. >300.degree..

IT **17981-99-6P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17981-99-6 CAPLUS

CN 3-Anthyridinecarboxylic acid, 4,8-dihydroxy-, ethyl ester (8CI) (CA INDEX NAME)



L12 ANSWER 155 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1967:104928 CAPLUS

DOCUMENT NUMBER: 66:104928

TITLE: Anthyridines. I

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Segnini, Domenico; Tonetti, Imperio

CORPORATE SOURCE: Univ. Pisa, Pisa, Italy

SOURCE: Gazz. Chim. Ital. (1966), 96(11), 1443-55

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

AB Synthesis of 4,6-dihydroxy-2,8-dimethylanthyridine (IIIa) was described. Thus, 0.3 g. Ia mixed with 5-6 g. polyphosphoric acid and heated 7 min. at 200.degree. yielded after pptn. in cool water and treatment with NH4OH 0.13 g. IIa. Ia (0.2 g.) heated at 340.degree. with 6-7 ml. vaseline oil gave 0.14 g. IIIa, m. >340.degree. (HCONMe2). IIIa (0.12 g.) was also obtained by heating 0.2 g. Ia at 320-5.degree.. By the same way IIa treated with vaseline oil at 340.degree. or heated at 320.degree. gave IIIa. Ib (2 g.) refluxed in 50 ml. Et acetoacetate and 2 drops HCl gave 1.2 g. Ic, m. 245-6.degree. (dioxane). Ib was recovered on hydrolysis of Ic with H2SO4 or NaOH. Ib heated with polyphosphoric acid yielded IIb, m. 285-7.degree. (EtOH), which gave Ib on hydrolysis with 2N NaOH. Starting from Ic or IIb, 2,6-dihydroxy-4,8-dimethylanthyridine (IIIb), m. >340.degree. (HCONMe2), was also prepd. The oxidn. of IIIb with peroxyacetic acid gave the N-oxide (IV). Uv and ir spectra were reported.

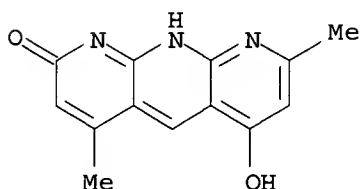
IT **13858-60-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 13858-60-1 CAPLUS

09/ 995,324

CN 2,6-Anthyridinediol, 4,8-dimethyl- (8CI) (CA INDEX NAME)



L12 ANSWER 156 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1962:403965 CAPLUS

DOCUMENT NUMBER: 57:3965

ORIGINAL REFERENCE NO.: 57:791i,792a-d

TITLE: Reaction between 2,6-diaminopyridine and ethyl oxalacetate

AUTHOR(S): Carboni, Salvatore; Pirisino, Gerolamo

CORPORATE SOURCE: Univ. Sassari, Italy

SOURCE: Ann. Chim. (Rome) (1962), 52, 279-88

DOCUMENT TYPE: Journal

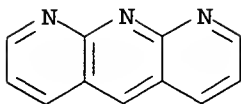
LANGUAGE: Unavailable

AB The title reaction gave 1,8-naphthyridine (I) derivs., instead of expected 1,8,9-triazaanthracenes. By refluxing 1 hr. 4 g. 2,6-diaminopyridine and 12 g. EtO₂CCH₂COCO₂Et in 30 cc. C₆H₆, evapg., adding 50 cc. concd. NH₃, filtering, and washing with MeCN and tetrahydrofuran was obtained 1 g. 2-hydroxy-4-carbethoxy-7-amino deriv. (II) of I, m. 320.degree. (pyridine). Hydrolysis of 1 g. II by refluxing 10 min. with 20 cc. 10% NaOH, dilg., adding AcOH gave 0.9 g. 2-hydroxy-4-carboxy-7-amino deriv. (III) of I, m. above 360.degree. (HCONMe₂). Diazotization of 0.2 g. II in 2 cc. concd. H₂SO₄ with 0.1 g. NaNO₂ gave 2,7-dihydroxy-4-carbethoxy deriv. (IV) of I, m. 264-5.degree. (HCONMe₂). 2,7-Dihydroxy-4 carboxy deriv. (V) of I, decomp. above 360.degree. (HCONMe₂), was prepd. by similar diazotization of III, or by alk. hydrolysis of IV. By refluxing 1 hr. 0.3 g. V, 0.6 g. PCl₅, and 0.5 g. POCl₃, cooling, pouring in ice, dissolving the ppt. in Na₂CO₃, and pptg. with HCl was obtained 2,7-dichloro-4-carboxy deriv. of I, m. 287.degree. (50% AcOH). Decarboxylation of 0.5 g. III with 0.5 g. powd. Cu at 320-60.degree. in vacuo and sublimation of crude product gave 2-hydroxy-7-amino deriv. (VI) of I, m. 365-8.degree. (infrared spectrum given). V could not be decarboxylated. Diazotization of 0.5 g. VI in concd. H₂SO₄ and treatment of reaction mixt. with Na₂CO₃ and AcOH, gave 0.4 g. 2,7-dihydroxy deriv. of I, subliming at 250.degree. (infrared curve shown). Its treatment with PCl₅ as above gave 2,7dichloro deriv. (VII) of I, subliming at 259.degree.. Redn. of 0.3 g VII in 100 cc. MeOH with 5 g. Pd on CaCO₃, filtering, evapg., taking up with Et₂O, adding picric acid in Et₂O, filtering the picrate, decomp. with 1:3 HCl, extg. with Et₂O, treating the org. layer with NaOH, extg. with Et₂O, evapg. the ext., taking up with petr. ether, and evapg. gave 1,2,3,4-tetrahydro deriv. of I, m. 69.degree.; picrate m. 230-2.degree. (EtOH). Infrared spectra in Nujol of 2-hydroxy-4-methyl-7-amino deriv. of I, and of 2,7-dihydroxy-4-methyl deriv. of I are given.

IT 261-15-4, Anthyridine
(derivs., synthesis of)

RN 261-15-4 CAPLUS

CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



=> s dithia and (cyclopenta or cyclopentyl)
 UNMATCHED LEFT PARENTHESIS 'AND (CYCLOPENTA'
 The number of right parentheses in a query must be equal to the
 number of left parentheses.

=> s dithia and (cyclopenta or cyclopentyl)
 1933 DITHIA
 7132 CYCLOPENTA
 7988 CYCLOPENTYL
 L14 4 DITHIA AND (CYCLOPENTA OR CYCLOPENTYL)

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 YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):y

L14 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1973:492126 CAPLUS
 DOCUMENT NUMBER: 79:92126
 TITLE: Phthalides and 1,3-indandiones. XLIX. Preparation of
 3-arylmethylene-4,7-dithia
 -4,5,6,7-tetrahydrophthalides and 2-aryl-4,7-
 dithia-4,5,6,7-tetrahydro-1,3-indandiones
 AUTHOR(S): Hrciar, P.
 CORPORATE SOURCE: Fac. Nat. Sci., Komensky Univ., Bratislava, Czech.
 SOURCE: Chemicke Zvesti (1973), 27(3), 372-80
 CODEN: CHZVAN; ISSN: 0366-6352
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB Condensation of 3,6-dithia-3,4,5,6-tetrahydrophthalic anhydride
 with arylacetic acids gave I which rearranged with NaOMe to yield
 2-aryl-4,7-dithia-4,5,6,7-tetrahydro-1,3-indandiones (II).

L14 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS
 ACCESSION NUMBER: 1967:75967 CAPLUS
 DOCUMENT NUMBER: 66:75967
 TITLE: Synthesis and desulfurization of the derivatives of
 1,2-dimercapto -1-cyclopentene-3,5-dione
 AUTHOR(S): Hahn, Witold E.; Radzynkiewicz, Ryszard
 CORPORATE SOURCE: Univ. Lodz, Lodz, Pol.
 SOURCE: Roczniki Chemii (1966), 40(10), 1781-3
 CODEN: ROCHAC; ISSN: 0035-7677
 DOCUMENT TYPE: Journal
 LANGUAGE: Polish
 GI For diagram(s), see printed CA Issue.
 AB 2-Phenyl-4,7-dithia-4,5,6,7-tetrahydroindan-1,3-dione (I) was
 substituted in position 2 with N:NAr (Ar = Ph, C6H4Me), R (Me, Et, Pr),
 and CH2Z (Z = pyrrolidino, piperidino, and morpholino) by treating with
 ArN2+Cl-, RI, and ZH + CH2O, resp. Derivs. of 2-(.omega.-carboxyl)-2-
 phenyl-4,7 - dithia - 4,5,6,7 - tetrahydro - 1,3 - indandione
 (II) were cyclized with polyphosphoric acid to the resp. spiranes (III).
 Fro I, II, and III and Raney Ni cyclopentane-1,3-diol and
 cyclopentane-1,3-dione derivs. were obtained.

L14 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1964:20548 CAPLUS
 DOCUMENT NUMBER: 60:20548
 ORIGINAL REFERENCE NO.: 60:3620b-d
 TITLE: Infrared spectra of organosulfur compounds
 AUTHOR(S): Rao, C. N. R.; Venkataraghavan, R.; Kasturi, T. R.
 CORPORATE SOURCE: Indian Inst. Sci., Bangalore
 SOURCE: Can. J. Chem. (1964), 42(1), 36-42
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB Infrared spectra of various types of org. S compds. were examd. and group frequencies arising from C-S, S-S, N-S, O-S, and C:S stretching vibrations were assigned and discussed. The C-S bands of thioketals and S-S bands of tri- and tetra-sulfides show splittings due to vibrational coupling. The O-S and N-S stretching frequencies are found near 890 and 820 cm.⁻¹, resp., values much higher than the C-S stretching frequencies. K alkyl xanthates exhibit the asymmetric and symmetric stretching frequencies of the CS₂⁻ ion. The splitting of C-O and C:S stretching bands in dialkyl dioxanthogens were interpreted in terms of the Fermi interaction with the combination tone of C-S and S-S stretching vibrations and with the overtone of S-S stretching vibrations, resp. The relative intensity of the C:S stretching bands in a few derivatives show marked dependence on the electronegativities of the elements directly linked to the thiocarbonyl group. The earlier assignments of the :N-C:S bands due to mixed vibrations in thioamide-type derivatives are well justified on the basis of the recent normal coordinate treatment. Another band tentatively designated as the :N-C:S IV band was assigned for these derivatives, 850-680 cm.⁻¹ Examn. of the spectra of some thioamide-type derivs. has shown no evidence for the presence of thiol tautomers. All of them exist as thiones, exhibiting characteristic N-H absorption and :N-C:S bands.

L14 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1963:415551 CAPLUS
 DOCUMENT NUMBER: 59:15551
 ORIGINAL REFERENCE NO.: 59:2787h,2788a-e
 TITLE: Organic sulfur compounds. VII. Condensation of carbon disulfide with cyclanones
 AUTHOR(S): Thuillier, Andre; Vialle, Jean
 CORPORATE SOURCE: Fac. Sci., Caen
 SOURCE: Bull. Soc. Chim. France (1962) 2194-8
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB The following cycloketones were condensed with CS₂ by the method described earlier: cyclopentanone, cyclohexanone, 4-methyl- and 2,2-dimethylcyclohexanone, 1-menthone, camphor, cycloheptanone, cyclooctanone, and 2-tetralone and gave the following .alpha.-[bis(methylthio)methylene]cyclanones (XIX) (all yellow): n = 1, 65%, b0.2 118.degree.; n = 2, 72%, b0.1 123-4.degree., m. 32-3.degree. (4-Me deriv., 75%, b0.1 112.degree.; 2,2-Me₂ deriv., 70%, b0.15 102.degree.; 2-Me, 6-Me₂CH deriv., 90%, b0.1 104.degree.). Condensation of camphor with CS₂ in the presence of NH₂Na followed by methylation gave 37% .alpha.-[bis(methylthio)methylene]camphor, b0.2 117-18.degree.. XIX (n = 3), 65%, yellow, b0.2 112.degree.; XIX (n = 4), 65%, pale yellow, b0.05 113.degree.; 1-[bis(methylthio)methylene]-2-tetralone, 53%, m. 85.degree.. By treating XIX with P₂S₅ in xylene the following 1,2-dithiole-3-thiones were prepd.: 5,6-dihydro-1,2,4H-cyclopentadithiole-3-thione, 20%, yellow-brown crystals, m. 122-3.degree.; 4,5,6,7-tetrahydro-1,2-benzodithiole-3-thione, 70%, yellow-orange, m. 102.degree.; (5-Me deriv., 53%, orange, m. 66.degree.; 7,7-dimethyl deriv., 30%, orange, m. 78.degree., yellow form m. 52.degree.; 7-isopropyl-4-methyl deriv., 30%, orange, m. 78.degree., yellow form m. 52.degree.; 7-isopropyl-4-methyl deriv. 30%, red-orange, m. 85.degree., which on dehydrogenation with S at 230.degree., yielded 7-isopropyl-4-methyl-1,2-benzothiole-3-thione,

red-orange, m. 74.degree.; 1,10,10-trimethyl-3,4-dithia
 [5,2,1,02.5]tricyclo-2(6)-decene-5-thione, 26%, orange m. 174.degree.;
 5,6,7,8-tetrahydro-1,2,4H-cycloheptadithiole-3-thione, 45%, yellow m.
 99.degree.; 4,5,6,7,8,9-hexahydro-1,2-cyclooctadithiole-3-thione, 45%,
 yellow, m. 104-5.degree.; 4,5-dihydro[2,1-c]naphtho-2,3-dithiole-1-thione,
 35%, red, m. 119.degree., which on dehydrogenation with S at 220.degree.
 gave 12.5% [2,1-c]naphtho-2,3-dithiole-1-thione, red, m. 147.degree..
 Condensation of XIX with CS₂ followed by alkylation gave the following
 .alpha.,.alpha.'-di[bis(alkylthio)methylene]cyclanones:
 2,5-di[bis(methylthio)methylene] cyclopentanone (XX), 84%, orange, m.
 54-5.degree.; 2-[bis(ethylthio)methylene]-5-[bis(methylthio)methylene]cycl
 opentanone, 60%, yellow oil, b0.05 106.degree.; 2,5-
 di[bis(ethylthio)methylene] cyclopentanone, 78%, orange, m. 52-3.degree.;
 2,6-di[bis(methylthio)methylene]cyclohexanone, 86%, orange, m.
 40-2.degree.; 2-[bis(ethylthio)methylene]-6-[bis(methylthio)methylene]cycl
 ohexanone was prepd. and purified by chromatography over Al₂O₃ via
 2-[bis(ethylthio)methylene]cyclohexanone, 66%, yellow oil, b0.03
 107.degree.; 2,6-di[bis(ethyl thio)methylene]cyclohexanone, 67%, orange,
 m. 38-40.degree.; 2,7-di[bis(methylthio)methylene]cycloheptanone, 51%,
 yellow, m. 83-4.degree.; 2,8-di[bis(methylthio)methylene]cyclooctanone,
 38%, yellow, m. 56-7.degree.. Condensation of cyclopentadecanone with 2
 moles CS₂ in the presence of 4 moles I followed by methylation gave 40%
 3,5-dodecamethylene-2,6-bis(methylthio)-1-thio-4-pyranone, m. 85.degree..
 Sulfuration of XX with P₂S₅ in xylene, isolation of the reaction product
 via its Hg complex, and chromatography of the regenerated product gave 42%
 Me (3-methylthio-4,5-dihydro-1,2-cyclopentadithiole)bithiocarboxylate
 (XXI, n = 2, R = R' = Me), red-violet, m. 169-70.degree.. The following
 XXI were prepd. in the same way (n, R, R', % yield, color, and m.p. given):
 2, Me, Et, 24, red-violet, 144.degree.; 2, Et, Et, 26, red-violet,
 120.degree.; 3, Me, Me, 58, red, 148-9.degree.; 3, Me, Et, 17, red,
 80.degree.; 3, Et, Et, 48, red, 94.degree.; 4, Me, Me, 35, red-orange,
 154-5.degree.. Me (4-ethyl-5-methylthio-1,2-dithiole-3-
 ylidene)bithioacetate, 50%, red, m. 109-10.degree..

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(FILE 'HOME' ENTERED AT 13:55:43 ON 15 JAN 2003)

FILE 'REGISTRY' ENTERED AT 13:56:03 ON 15 JAN 2003

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L1          STRUCTURE UPLOADED
L2          STRUCTURE UPLOADED
L3          STRUCTURE UPLOADED
L4          17 S L1
L5          3890 S L1 FUL
L6          300 S L2 FUL
L7          71 S L3 FUL
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FILE 'CAPLUS' ENTERED AT 13:58:50 ON 15 JAN 2003

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L8          334 S L5
L9          86 S L5 /BIOL
L10         72 S L6
L11         3 S L7
L12         156 S (L9 OR L10) NOT L11
L13         86 S L9 NOT L10
L14         4 S DITHIA AND (CYCLOPENTA OR CYCLOPENTYL)
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1184.17

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09/ 995,324

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STN INTERNATIONAL LOGOFF AT 14:04:21 ON 15 JAN 2003